

 **PALM INTRANET**KW
Day : Wednesday

Date: 10/18/2006

Time: 16:38:56

Inventor Information for 10/523412

Inventor Name	City	State/Country
STERK, JAN GEERT	UTRECHT	NETHERLANDS
STERK, JAN GEERT	JJ UTRECHT	NETHERLANDS

Appln Info	Contents	Petition Info	Atty/Agent Info	Continuity/Reexam	Foreign C
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Search Another: Application# or Patent# PCT / / or PG PUBS # Attorney Docket # Bar Code #

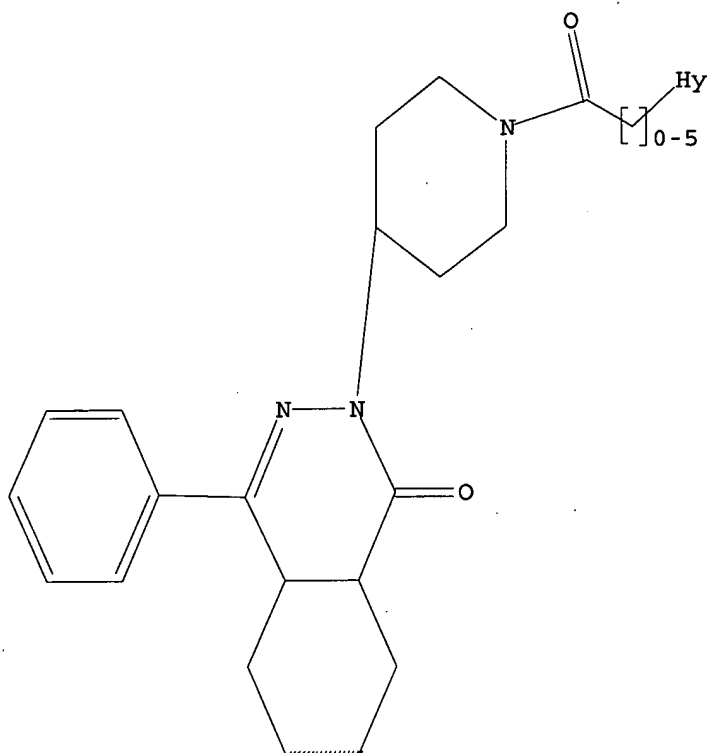
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EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1845	514/248, 544/237	US-PGPUB; USPAT	OR	OFF	2006/10/18 16:33
L2	572	514/248, 544/237	US-PGPUB	OR	OFF	2006/10/18 16:33
L3	1273	514/248, 544/237	USPAT	OR	OFF	2006/10/18 16:33

(2)



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:46:25 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 78 TO ITERATE

100.0% PROCESSED 78 ITERATIONS 1 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 1031 TO 2089
 PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 12:46:31 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 1746 TO ITERATE

100.0% PROCESSED 1746 ITERATIONS 20 ANSWERS
 SEARCH TIME: 00.00.01

L3 20 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 12:46:36 ON 18 OCT 2006
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FILE LAST UPDATED: 16 Oct 2006 (20061016/ED)

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L4 12 L3

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L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:823689 CAPLUS

DOCUMENT NUMBER: 143:229869

TITLE: Preparation of phthalazinone derivatives as PDE4

inhibitors

INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard;
Kley, Hans-Peter; Christiane, Johannes A. M.; Menge,
Wiro M. P. B.; Sterk, Geert Jan

PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXX2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005075457	A1	20050818	WO 2005-EP50417	20050201
WO 2005075457	C1	20060302		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

SM RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2004-2423 A 20040204

OTHER SOURCE(S): MARPAT 143:229869

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I (R1 and R2 are both H or together from an addnl. bond; R3 = (un)substituted phenyl; R4 = OH, alkoxy, NHRS, etc.; R5 = OH, alkoxy or alkoxyalkyl; n = 0, 2, 3, or 4) and their pharmaceutically acceptable salts, are prepared and disclosed as PDE4 inhibitors. Thus, e.g., II was prepared by coupling of

(4aS,8aR)-4-(3,4-dimethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (preparation given) with succinic anhydride. The inhibitory activity of I was evaluated using two different methods utilizing CAMP and it was revealed that compds. of the invention displayed -logIC50 values in the range of 8.4 up to 10.4 mol/L. I as inhibitor of PDE4 should prove useful in the treatment of airway disorders. Pharmaceutical compns. comprising I are disclosed.

IT 862462-48-4P 862462-50-8P 862462-53-1P

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

862462-55-3P 862462-56-4P 862462-60-0P

862462-61-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phthalazinone derivs. as PDE4 inhibitors)

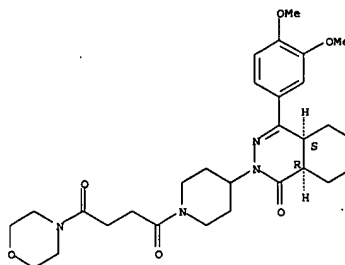
RN 862462-48-4 CAPLUS

CN Morpholine, 4-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-1,4-dioxobutyl]-

(9CI)

(CA INDEX NAME)

Absolute stereochemistry.



RN 862462-50-8 CAPLUS

CN Piperazine, 1-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-1,4-dioxobutyl]-4-methyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

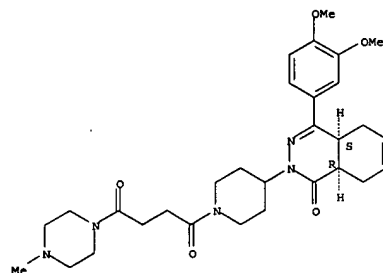
CRN 862462-49-5

CMF C30 H41 N5 O5

Absolute stereochemistry.

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

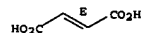


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 862462-53-1 CAPLUS

CN Piperazine, 1-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-1,4-dioxobutyl]-4-[2-(2-oxo-1-pyrrolidinyl)ethyl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

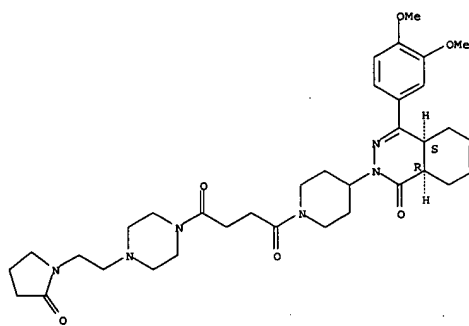
CRN 862462-52-0

CMF C35 H48 N6 O6

Absolute stereochemistry.

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

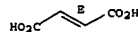


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

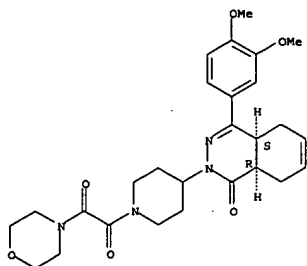


RN 862462-55-3 CAPLUS

CN Morpholine, 4-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxocetyl]- (9CI) (CA INDEX NAME)

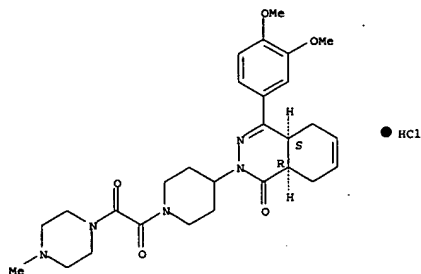
Absolute stereochemistry.

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-56-4 CAPLUS
 CN Piperazine,
 1-[[4-[[4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]-4-methyl-,
 monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

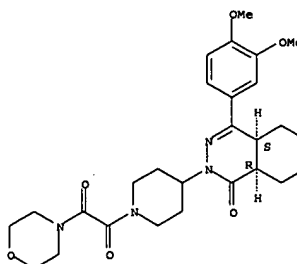


RN 862462-60-0 CAPLUS
 CN Morpholine, 4-[[4-[[4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

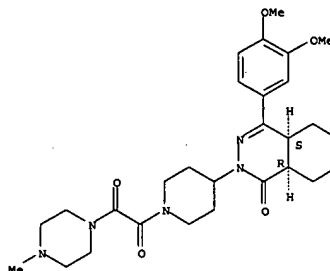
L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 862462-61-1 CAPLUS
 CN Piperazine, 1-[[4-[[4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]-4-methyl-,
 monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

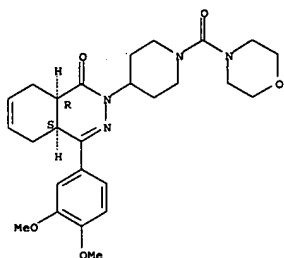
ACCESSION NUMBER: 2005:232615 CAPLUS
 DOCUMENT NUMBER: 142:291403
 TITLE: Use of phosphodiesterase 4 (PDE4) inhibitors for the treatment of diabetes mellitus
 INVENTOR(S): Hauser, Daniela; Hanauer, Guido; Grundler, Gerhard; Schmidt, Beate; Kemkowski, Joerg; Kley, Hans-Peter
 PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023253	A1	20050317	WO 2004-EP52005	20040902
WO 2005023253	C1	20060302		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TW, TN, TR, TT, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MN, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KZ, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004269923	A2	20050317	AU 2004-269923	20040902
AU 2004269923	A1	20050317		
CA 2537230	AA	20050317	CA 2004-2537230	20040902
PRIORITY APPL. INFO.: EP 2003-20126 A 20030905				
WO 2004-EP52005 W 20040902				

AB The invention discloses the use of certain known PDE4 inhibitors for the treatment of diabetes mellitus and accompanying disorders thereof.
 IT 449760-26-3 449760-35-4 449760-42-3
 449760-47-8 596102-07-7 596102-09-9
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (phosphodiesterase 4 inhibitors for treatment of diabetes mellitus)
 RN 449760-26-3 CAPLUS
 CN Morpholine,
 4-[[4-[[4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

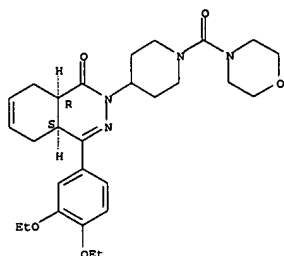
Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

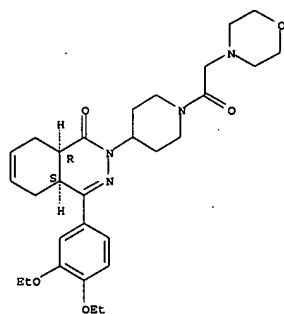
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

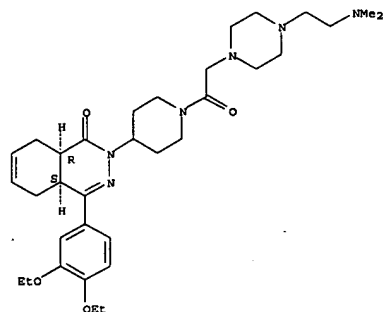
Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 596102-09-9 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-(2-(dimethylamino)ethyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

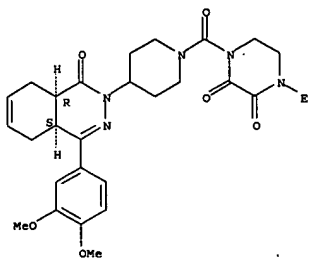
Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

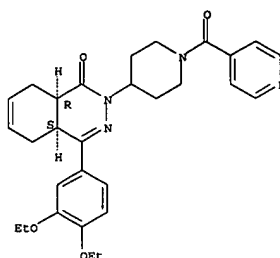
Habte

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596102-07-7 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

10/18/2006

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1036929 CAPLUS

DOCUMENT NUMBER: 144:16925

TITLE: Composition comprising a PDE4 inhibitor and a PDE5 inhibitor

INVENTOR(S): Dunkern, Thorsten; Hatzelmann, Armin; Schudt, Christian; Grimminger, Friedrich; Ghofrani, Hossein Ardeschir

PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

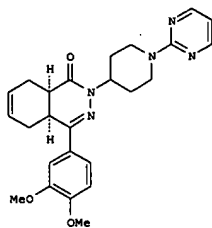
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103407	A2	20041202	WO 2004-EP50869	20040519
WO 2004103407	A3	20050217		
W:				
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RW:				
BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004241749	A1	20041202	AU 2004-241749	20040519
CA 2525946	AA	20041202	CA 2004-2525946	20040519
EP 1628682	A2	20060301	EP 2004-766017	20040519
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
HR				
BR 2004010326	A	20060523	BR 2004-10326	20040519
CN 1791429	A	20060621	CN 2004-80013349	20040519
US 2006094723	A1	20060504	US 2005-556888	20051115
NO 2005005941	A	20051214	NO 2005-5941	20051214
PRIORITY APPLN. INFO.:			EP 2003-11609	A 20030522
			WO 2004-EP50869	W 20040519

GI

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The invention relates to the combined administration of a PDE4 inhibitor and a PDE5 inhibitor for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or phosphodiesterase 5 (PDE5) activity is detrimental. Patients were administered orally one tablet of Roflumilast and once daily a tablet of Viagra. An example of another selected PDE4 inhibitor is I.

IT 449760-26-3 449760-35-4 449760-42-3

449760-47-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(composition comprising a PDE4 inhibitor and a PDE5 inhibitor)

RN 449760-26-3 CAPLUS

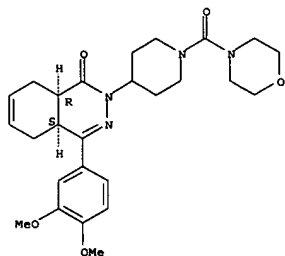
CN Morpholine,

4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-

1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

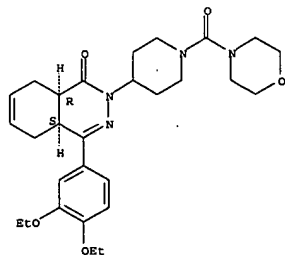


RN 449760-35-4 CAPLUS

CN Morpholine,

4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

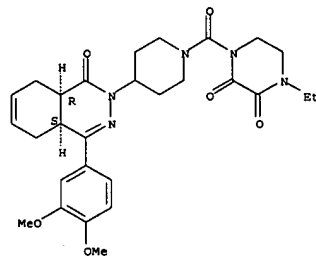


RN 449760-42-3 CAPLUS

CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

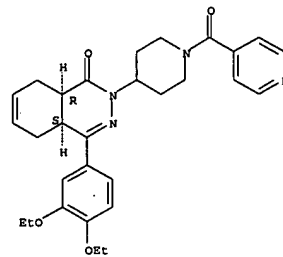


RN 449760-47-8 CAPLUS

CN Piperidine,

4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:996001 CAPLUS
 DOCUMENT NUMBER: 141:406065
 TITLE: Composition comprising a PDE-4 inhibitor and a TNF-alpha antagonist
 INVENTOR(S): Barsig, Johannes; Weimar, Christian
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany
 SOURCE: PCT Int. Appl., 29 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098633	A1	20041118	WO 2004-EP50748	20040510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

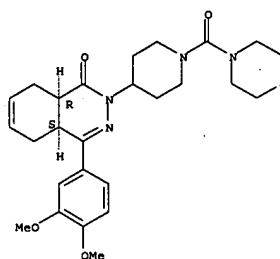
PRIORITY APPLN. INFO.: EP 2003-10581 A 20030512

AB The invention relates to the combined administration of a PDE4 inhibitor and a TNF α antagonist selected from the group consisting of etanercept, onercept and pegsunercept for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or tumor necrosis factor alpha (TNF α) activity is detrimental.

IT 449760-26-3 449760-35-4 449760-42-3
 449760-47-8
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (therapeutic activity of phosphodiesterase 4 inhibitors and TNF α antagonists)
 RN 449760-26-3 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

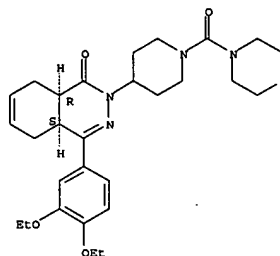
Absolute stereochemistry.

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

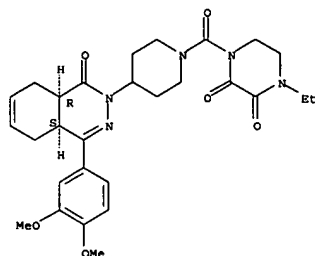
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

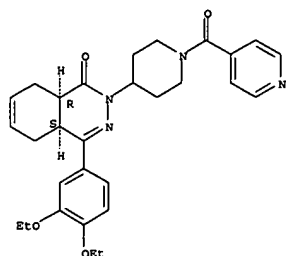
Absolute stereochemistry.

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995979 CAPLUS
 DOCUMENT NUMBER: 141:406064
 TITLE: Composition comprising a PDE4 inhibitor and soluble human Type II interleukin-1 receptor (shuIL-1RII) for disease therapy
 INVENTOR(S): Barsig, Johannes
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany
 SOURCE: PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098606	A1	20041118	WO 2004-EP50749	20040510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

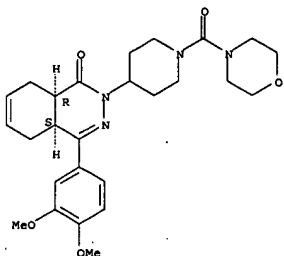
PRIORITY APPLN. INFO.: EP 2003-10596 A 20030512

AB The invention relates to the combined administration of a PDE4 inhibitor and shuIL-1RII for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or interleukin-1 (IL-1) activity is detrimental.

IT 449760-26-3 449760-35-4 449760-42-3
 449760-47-8
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (composition comprising a PDE4 inhibitor and soluble human Type II interleukin-1 receptor (shuIL-1RII) for disease therapy)
 RN 449760-26-3 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

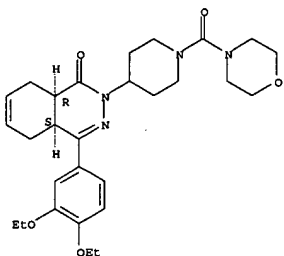
Absolute stereochemistry.

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-9CI (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl-9CI (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995978 CAPLUS
 DOCUMENT NUMBER: 141:406063
 TITLE: Pharmaceutical composition comprising a PDE4 inhibitor
 INVENTOR(S): Barsig, Johannes
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany
 SOURCE: PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098605	A1	20041118	WO 2004-EP50747	20040510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: EP 2003-10631 A 20030512

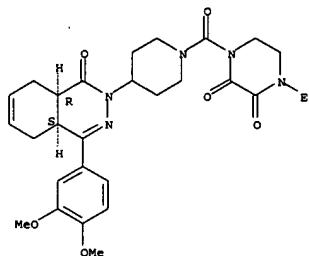
AB The invention relates to the combined administration of a PDE4 inhibitor and IL-1 Trap for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or interleukin-1 (IL-1) activity is detrimental.

IT 449760-26-3 449760-35-4 449760-42-3
 449760-47-8
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceutical composition comprising a PDE4 inhibitor and IL-1 trap for treatment of disease)

RN 449760-26-3 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-9CI (CA INDEX NAME)

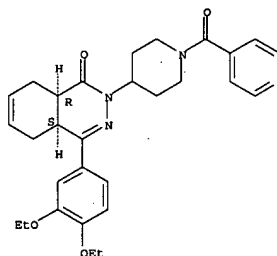
Absolute stereochemistry.

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



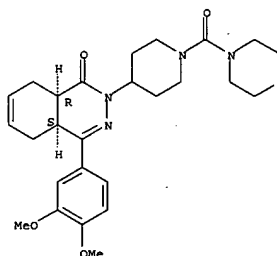
RN 449760-47-8 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-9CI (CA INDEX NAME)

Absolute stereochemistry.



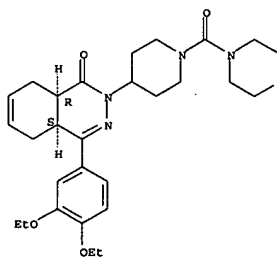
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-9CI (CA INDEX NAME)

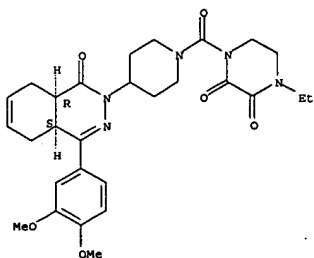
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl-9CI (CA INDEX NAME)

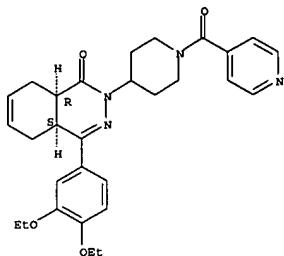
Absolute stereochemistry.

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004.995956 CAPLUS
 DOCUMENT NUMBER: 141:416024
 TITLE: Composition comprising a PDE4 inhibitor and a
 TNF α antagonist
 INVENTOR(S): Barsig, Johannes; Weimar, Christian
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany
 SOURCE: PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

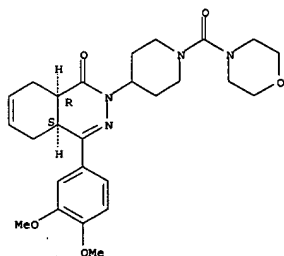
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098578	A2	20041118	WO 2004-EP50750	20040510
WO 2004098578	A3	20041229		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLM. INFO.:			EP 2003-10593	A 20030512

AB The invention relates to the combined administration of a PDE4 inhibitor and a TNF α antagonist selected from the group consisting of infliximab, adalimumab, cdp870 and cdp871 for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or tumor necrosis factor alpha (TNF α) activity is detrimental.

IT 449760-26-3 449760-35-4 449760-42-3
 449760-47-8
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceutical injections containing phosphodiesterase 4 inhibitors
 in combination with TNF α antagonists for treatment of arthritis and other diseases)
 RN 449760-26-3 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

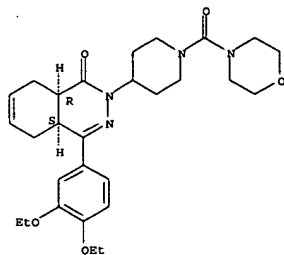
Absolute stereochemistry.

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

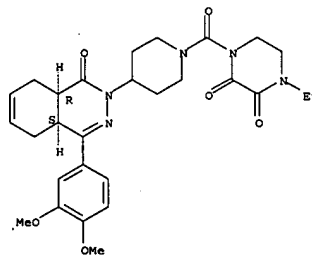
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

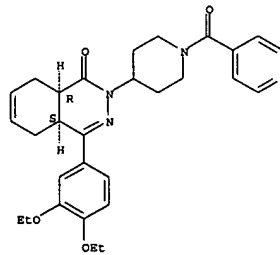
Absolute stereochemistry.

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:610086 CAPLUS
 DOCUMENT NUMBER: 141:134069
 TITLE: PDE4 inhibitors for the treatment of neoplasms of lymphoid cells
 INVENTOR(S): Hatzelmann, Armin; Tenor, Hermann; Gekeler, Volker; Sanders, Karl; Garattini, Enrico; Braunger, Juergen; Schudt, Christian
 PATENT ASSIGNEE(S): Altana Pharma Ag, Germany
 SOURCE: PCT Int. Appl., 78 pp.
 CODEM: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062671	A2	20040729	WO 2004-EP196	20040114
WO 2004062671	A3	20050127		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ			
AU 2004204355	A1	20040729	AU 2004-204355	20040114
CA 2512819	AA	20040729	CA 2004-2512819	20040114
EP 1587512	A2	20051026	EP 2004-701902	20040114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 200551367	T2	20060525	JP 2006-500561	20040114
US 2006148804	A1	20060706	US 2005-542088	20050713
PRIORITY APPLN. INFO.:			EP 2003-787	A 20030114
			WO 2004-EP196	W 20040114

OTHER SOURCE(S): MARPAT 141:134069

AB The invention relates to the use of certain PDE4 inhibitors alone or in combination with one or more differentiation inducing agents and/or an agent effective in raising intracellular concns. of cAMP or a stable analog of cAMP in the preparation of pharmaceutical compns. for the treatment

of neoplasms of lymphoid cells.

IT 449760-26-3 449760-35-4 449760-42-3

449760-47-8 596102-07-7 596102-09-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(phosphodiesterase 4 (PDE4) inhibitors for treatment of neoplasms of lymphoid cells in combination with differentiation inducers and agents that increase cAMP levels or cAMP analogs)

RN 449760-26-3 CAPLUS

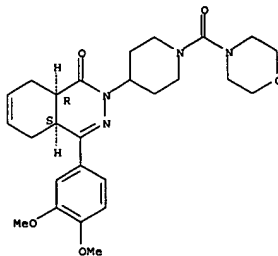
CN Morpholine,

4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

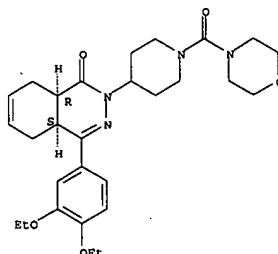


RN 449760-35-4 CAPLUS

CN Morpholine,

4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



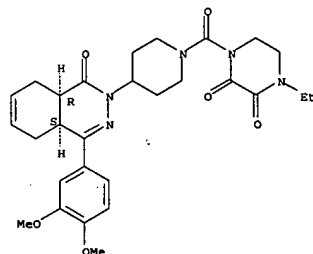
RN 449760-42-3 CAPLUS

CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

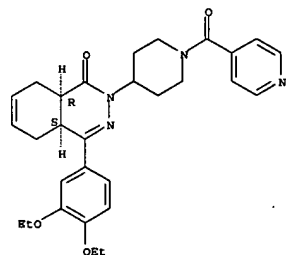


RN 449760-47-8 CAPLUS

CN Piperidine,

4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596102-07-7 CAPLUS

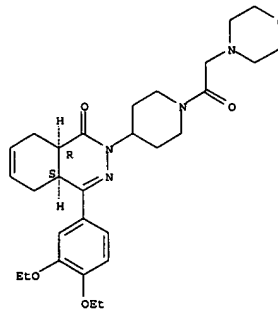
CN Piperidine,

4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-morpholinyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

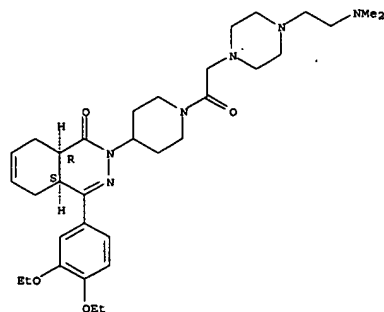


RN 596102-09-9 CAPLUS

CN Piperidine,

4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



own
work

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:182870 CAPLUS

DOCUMENT NUMBER: 140:217652

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018457	A1	20040304	WO 2003-EP8675	20030806
WO 2004018457	C1	20040506		
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2494613	AA	20040304	CA 2003-2494613	20030806
AU 2003258576	A1	20040311	AU 2003-258576	20030806
EP 1537100	A1	20050608	EP 2003-792257	20030806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013330	A	20050614	BR 2003-13330	20030806
CN 1671695	A	20050921	CN 2003-818520	20030806
JP 2006500370	T2	20060105	JP 2004-530086	20030806
US 2006160813	A1	20060720	US 2005-523412	20051107
PRIORITY APPL. INFO.:			EP 2002-19977	A 20020810
			WO 2003-EP8675	W 20030806

OTHER SOURCE(S):

MARPAT 140:217652

GI

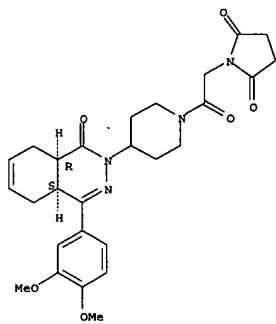
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 1-(4-Piperidinyl)-4a,5,8,8a-tetrahydro-1H-phthalazin-1-one compds. of formula (I) (R1 and R2 are both H or together form an addnl. bond; R3 = a Ph derivative of formulas Q or Q1; R4 = Cl-4 alkoxy or Cl-4 alkoxy which

is completely or predominantly substituted by fluorine; R5 = Cl-4 alkoxy, C3-7 cycloalkoxy, C3-7 cycloalkylmethoxy, Cl-4 alkoxy which is completely or predominantly substituted by fluorine; R6 = Cl-4 alkoxy or Cl-4 alkoxy which is completely or predominantly substituted by fluorine; wherein R7

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



REFERENCE COUNT: 4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

C1-4 alkyl; R8 = H, Cl-4 alkyl; or R7 and R8 together and with inclusion of the two carbon atoms, to which they are bonded, form a spiro-linked

5-

6- or 7-membered hydrocarbon ring, optionally interrupted by an oxygen or sulfur atom; R9 = CO(CH2)n-R10; wherein R10 = 2,5-dioxypyrrolidin-1-yl; n = an integer of 1-4 and the salts of these compds. These compds. are useful in the prepn. of pharmaceutical compns. for the treatment of an illness treatable by the administration of a PDE4 inhibitor, in

particular

airway disorders. Thus,

1-[2-[4-[(4a,8a)-4-(3,4-dimethoxyphenyl)-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]piperidin-1-yl]-2-oxoethyl]pyrrolidine-2,5-dione >. Thus, a mixt. of 1 g (4a,8a)-2-[1-(2-Chloroethanoyl)piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one, 0.4 g succinimide, 1 g

potassium

carbonate in 20 mL DMF was stirred for 18 h at room temp. to give, after workup and silica gel chromatog. and crystn. from EtOAc,

1-[2-[4-[(4a,8a)-4-(3,4-dimethoxyphenyl)-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]piperidin-1-yl]-2-oxoethyl]pyrrolidine-2,5-dione (II).

II

showed -logIC50(mol/L) of 10.66 against PDE4.

IT

666735-56-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolidinedione substituted piperidine-phthalazones

airway diseases)

as

cyclic nucleotide phosphodiesterase-4 (PDE4) inhibitors for treating

RN 666735-56-4 CAPLUS

CN Piperidine, 4-[(4a,8a)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(2,5-dioxo-1-pyrrolidinyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:719308 CAPLUS

DOCUMENT NUMBER: 139:240373

TITLE: Pharmaceutical composition of a phosphodiesterase 4 (PDE4) inhibitor or a PDE3/4 inhibitor and a

histamine

receptor antagonist for the treatment of respiratory

INVENTOR(S):

Beume, Rolf; Bundschuh, Daniela; Weinmar, Christian;

Wollin, Stefan-lutz

Altana Pharma Ag, Germany

PCT Int. Appl., 87 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074055	A1	20030912	WO 2003-EP1876	20030225
W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
CA 2478612	AA	20030912	CA 2003-2478612	20030225
AU 2003212268	A1	20030916	AU 2003-212268	20030225
EP 1482938	A1	20041208	EP 2003-708130	20030225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008220	A	20050104	BR 2003-8220	20030225
US 2005112069	A1	20050526	US 2003-506875	20030225
JP 2005524666	T2	20050818	JP 2003-572572	20030225
NZ 535611	A	20060331	NZ 2003-535611	20030225
NO 2004004230	A	20041206	NO 2004-4230	20041006
PRIORITY APPL. INFO.:			EP 2002-4987	A 20020306
			WO 2003-EP1876	W 20030225

AB The invention discloses the combined administration of PDE4 or PDE3/4 inhibitors and histamine receptor antagonists for the treatment of respiratory diseases.

IT 449760-26-3 449760-35-4 449760-42-3

449760-47-8 596102-07-7 596102-09-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(phosphodiesterase 4 (PDE4) inhibitor or PDE3/4 inhibitor combination with histamine receptor antagonist for treatment of respiratory disease)

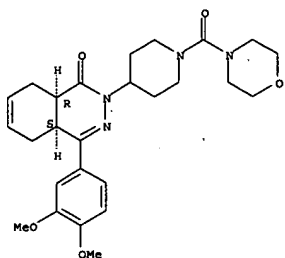
RN 449760-26-3 CAPLUS

CN Morpholine,

4-[[4-[(4a,8a)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

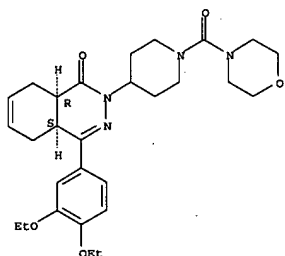
Absolute stereochemistry.

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
CN Morpholine,
4-[[4-(4a,8a)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

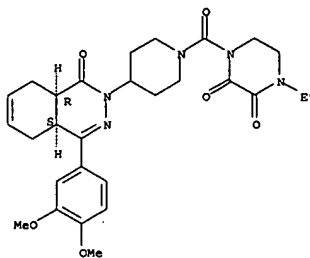
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-({4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl}carbonyl)-4-ethyl-9CI) (CA INDEX NAME)

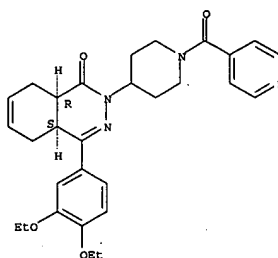
Absolute stereochemistry.

L4 ANSWER 10 OF 12 CAPLUS - COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
CN Piperidine
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-
2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)-(9CI) (CA INDEX NAME)

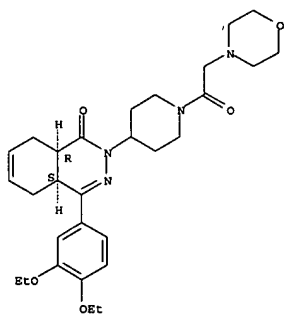
Absolute stereochemistry.



RN 596102-07-7 CAPLUS
CN Piperidine,
4-[(4a,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-
2(1H)-phthalaziny]-1-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

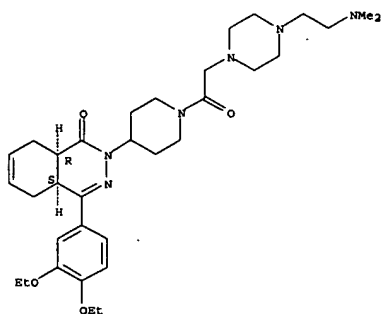
L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 596102-09-9 CAPLUS
CN Piperidine,
4-{[(4S,8R)-4-{3,4-diethoxyphenyl}-4a,5,8,8a-tetrahydro-1-oxo-
2(1H)-phthalazinyl]-1-[[4-(2-(dimethylamino)ethyl)-1-piperazinyl]acetyl]-
9(CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

Habte

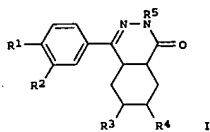
10/18/2006

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002.832801 CAPLUS
 DOCUMENT NUMBER: 137.137906
 TITLE: Preparation of phthalazinones as phosphodiesterase
 4/7 inhibitors.
 INVENTOR(S): Hetzelmann, Armin; Marx, Degenhard; Steinhilber,
 Wolfram; Sterk, Geert Jan
 PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085906	A2	20021031	WO 2002-EP4438	20020423
WO 2002085906	A3	20021219		
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2445233	AA	20021031	CA 2002-2445233	20020423
EP 1385848	A2	20040204	EP 2002-747291	20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300514	A	20040216	EE 2003-514	20020423
CN 1503792	A	20040609	CN 2002-808742	20020423
BR 2002009149	A	20040713	BR 2002-9149	20020423
JP 2004526789	T2	20040902	JP 2002-583433	20020423
NZ 529221	A	20050429	NZ 2002-529221	20020423
US 2004127707	A1	20040701	US 2003-475657	20031023
WO 2003004773	A	20031210	NO 2003-4773	20031024
BG 108294	A	20040930	BG 2003-108294	20031027
ZA 2003008930	A	20040609	ZA 2003-8930	20031117
PRIORITY APPLN. INFO.:			EP 2001-110228	A 20010425
			WO 2002-EP4438	W 20020423

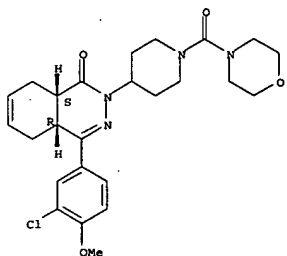
OTHER SOURCE(S): MARPAT 137:337906
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L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

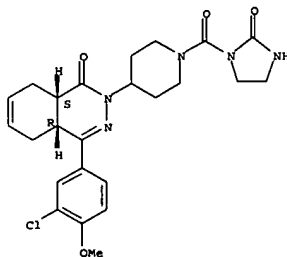


AB Title compds. (I; R1 = alkoxy, fluoroalkoxy; R2 = F, Br, Cl; R3, R4 = H; R3R4 = bond; R5 = alkyl, cycloalkyl, cycloalkylmethyl, alkenyl, alkynyl, phenylalkenyl, polycycloalkyl, naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, etc.), were prepared Thus.
 cis-4-(3-chloro-4-methoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one (preparation given) was stirred 16 h with morpholine-4-carbonyl chloride in pyridine to give
 cis-4-(3-chloro-4-methoxyphenyl)-2-[1-(1-morpholin-4-ylmethanoyl)piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one. The latter inhibited PDE4
 and PDE7 with -log IC50 = 8.64 and 7.64, resp.
 IT 474122-96-6P 474123-17-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 RN 474122-96-8 CAPLUS
 CN Morpholine, 4-[(4a,8a)-4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinylcarbonyl-, rel- (9CI) (CA INDEX NAME)
 Relative stereochemistry.

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 474123-17-6 CAPLUS
 CN Piperidine,
 4-[(4a,8a)-4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(2-oxo-1-imidazolidinyl)carbonyl]-, rel- (9CI) (CA INDEX NAME)
 Relative stereochemistry.



L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002.637671 CAPLUS
 DOCUMENT NUMBER: 137.185496
 TITLE: Preparation of piperidinyl benzopyridazine
 derivatives.

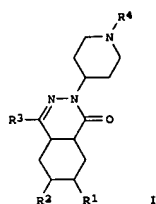
INVENTOR(S): as PDE4 inhibitors for treatment of airway disorders
 Hetzelmann, Armin; Bundschuh, Daniela; Kley,
 Hans-peter; Timmerman, Hendrik; Christiaens, Johannes
 A. M.; Grundler, Gerhard; Gutterer, Beate; Sterk,
 Geert Jan
 PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik GmbH, Germany
 SOURCE: PCT Int. Appl., 41 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064584	A1	20020822	WO 2002-EP1547	20020214
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2438520	AA	20020822	CA 2002-2438520	20020214
EE 200300311	A	20031015	EE 2003-311	20020214
EP 1362044	A1	20031119	EP 2002-701277	20020214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007278	A	20040210	BR 2002-7278	20020214
JP 2004518727	T2	20040524	JP 2002-564515	20020214
CN 1524080	A	20040825	CN 2002-805038	20020214
NZ 527424	A	20050225	NZ 2002-527424	20020214
US 2004067946	A1	20040408	US 2003-467832	20030813
US 6953853	B2	20051011		
NO 2003003618	A	20031015	NO 2003-3618	20030814
BG 108124	A	20040831	BG 2003-108124	20030821
ZA 2003006815	A	20040617	ZA 2003-6815	20030901
US 2005234062	A1	20051020	US 2005-143721	20050603
PRIORITY APPLN. INFO.:			EP 2001-103496	A 20010215

W 2002-EP1547
 US 2003-467832
 A1 20030813

OTHER SOURCE(S): MARPAT 137:185496
 GI

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Piperidinyl benzopyridazine derivs. [I: wherein R1 and R2 = H, or together form an addnl. bond; R3 = substituted benzene, benzopyran derivative; R4 = (C1-C4)alkoxy, optionally substituted with fluorine] were prepared. Thus, to a solution of

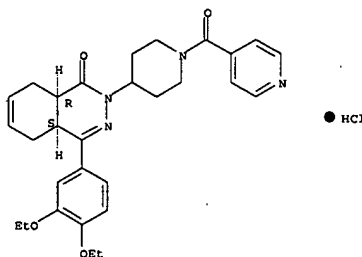
(4aS,8aR)-4-(3,4-diethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (synthetic preparation given) and

p-TsCl in pyridine is stirred to give (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one. The prepared compds. are effective PDE4 inhibitors useful in the treatment of airway disorders.

IT 449760-18-3P 449760-26-3P 449760-35-4P 449760-42-3P 449760-47-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperidinyl benzopyridazine derivs. as PDE4 inhibitors for treatment of airway disorders)
 RN 449760-18-3 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

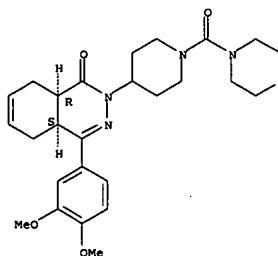
Absolute stereochemistry.

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-26-3 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

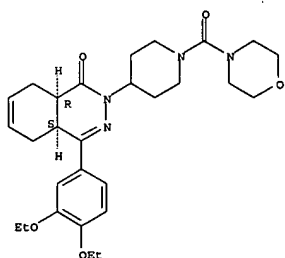
Absolute stereochemistry.



RN 449760-35-4 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

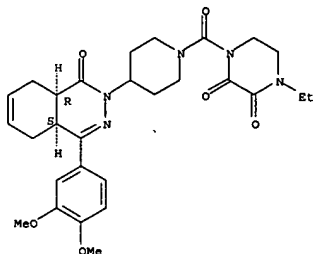
Absolute stereochemistry.

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

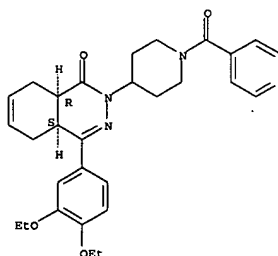
Absolute stereochemistry.



RN 449760-47-8 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT



chain nodes :

7

ring nodes :

1 2 3 4 5 6 8 9 10 11 13 14 15 16 17 18 19 20 21 22 23 24

chain bonds :

1-13 4-7

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-8 6-11 8-9 9-10 10-11 13-14 13-18 14-15

15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-8 6-11 8-9 9-10 10-11 19-20 19-24 20-21

21-22 22-23 23-24

exact bonds :

1-13

normalized bonds :

13-14 13-18 14-15 15-16 16-17 17-18

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom

11:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

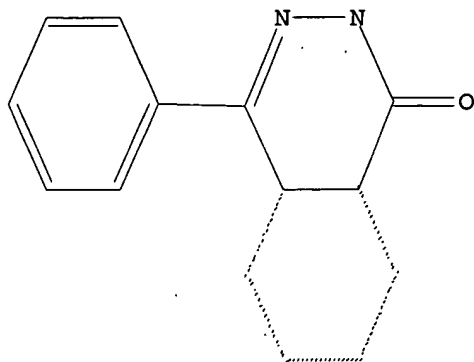
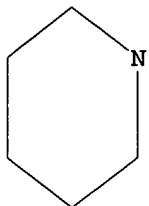
21:Atom 22:CLASS 23:Atom 24:Atom

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 09:06:03 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1738 TO ITERATE

100.0% PROCESSED 1738 ITERATIONS

22 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 32260 TO 37260

PROJECTED ANSWERS: 159 TO 721

L2 22 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 09:06:10 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 36109 TO ITERATE

100.0% PROCESSED 36109 ITERATIONS

425 ANSWERS

SEARCH TIME: 00.00.01

L3 425 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 09:06:14 ON 18 OCT 2006

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FILE COVERS 1907 - 18 Oct 2006 VOL 145 ISS 17

FILE LAST UPDATED: 16 Oct 2006 (20061016/ED)

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L4 47 L3

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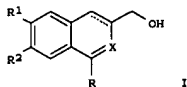
Habte

10/18/2006

L4 ANSWER 1 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2006:411819 CAPLUS
 DOCUMENT NUMBER: 144:425730
 TITLE: Use of a pyridine compound for the preparation of a medicament for the treatment of skin lesions
 INVENTOR(S): Takagi, Tamotsu; Naotsuka, Atsuko
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006046774	A1	20060504	WO 2005-JP20241	20051028
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
JP 2006151964	A2	20060615	JP 2005-313669	20051028
PRIORITY APPLN. INFO.:			JP 2004-315553	A 20041029

OTHER SOURCE(S): MARPAT 144:425730
 GI

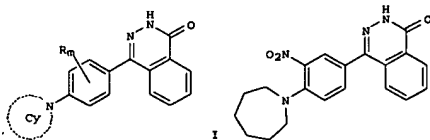


AB The invention discloses the use of a pyridine compound I [R = substituted pyridyl group; R0 = C1-6 alkoxy-C1-6 alkyl; R1, R2 = C1-6 alkoxy; X = -N-, -C(CH2OH)-; Ring A = (un)substituted (un)saturated 10-membered N-containing heterobicyclic group; dotted line = presence or absence of double bond], or a pharmaceutically acceptable salt thereof, for the preparation of a medicament for treatment of skin lesion.
 IT 209261-70-1
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2006:317255 CAPLUS
 DOCUMENT NUMBER: 144:370107
 TITLE: Preparation of phthalazinone derivatives as cytokine modulators
 INVENTOR(S): Zembower, David E.; Singh, Jasbir; Mishra, Rama K.
 PATENT ASSIGNEE(S): Angion Biomedica Corp., USA
 SOURCE: PCT Int. Appl., 143 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006036981	A2	20060406	WO 2005-US34669	20050928
WO 2006036981	A3	20060727		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 2006116365	A1	20060601	US 2005-238285	20050928
PRIORITY APPLN. INFO.:			US 2004-613740P	P 20040928
			US 2005-675241P	P 20050427

OTHER SOURCE(S): MARPAT 144:370107
 GI

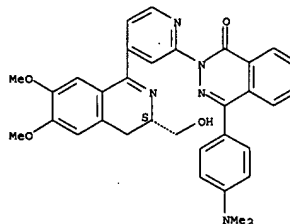


AB Title compds. represented by the formula I [wherein m = 1-4; R = independently H, halo, OH, (un)substituted (hetero)cyclyl, etc.; Cy = (un)substituted homopiperidinyl, piperidinyl, pyrrolidinyl, etc.; and pharmaceutically acceptable deriva. thereof] were prepared as cytokine modulators. For example, II was provided in a multi-step synthesis starting from 2-(4'-chloro-3'-nitrobenzoyl)benzoic acid. I showed activity for inducing proliferation of HUVEC (endothelial cells) and oligodendrocyte with the EC50 value of 2.5 μM and 0.2 μM, resp.

Hasbe

L4 ANSWER 1 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (Biological study); USES (Uses)
 (pyridine deriva. for prepn. of medicaments for treatment of skin lesions)
 RN 209261-70-1 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[4-[(3S)-3,4-dihydro-3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

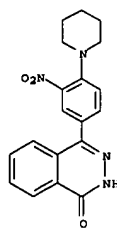
Absolute stereochemistry.



● HCl

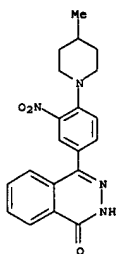
REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 Thus, I and their pharmaceutical compns. are useful for the treatment of HGF/SF or other cytokine activity assocd. diseases, disorders or conditions.
 IT 218144-45-7P 575460-40-1P 673444-00-3P
 882000-11-5P 882000-12-6P 882000-13-7P
 882000-14-8P 882000-36-4P 882000-37-5P
 882000-38-6P 882000-41-1P 882000-42-2P
 882000-45-5P 882000-46-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of phthalazinone deriva. as cytokine modulators)
 RN 218144-45-7 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

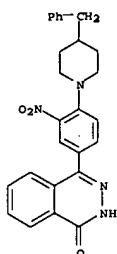


RN 575460-40-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[4-(4-methyl-1-piperidinyl)-3-nitrophenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

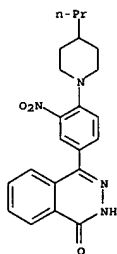


RN 673444-00-3 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-[3-nitro-4-(4-(phenylmethyl)-1-piperidinyl)phenyl]-
 (9CI) (CA INDEX NAME)

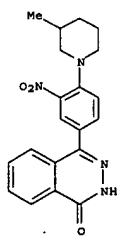


RN 882000-11-5 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[4-(2-methyl-1-piperidinyl)-3-nitrophenyl]- (9CI)
 (CA INDEX NAME)

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

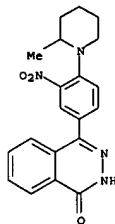


RN 882000-14-8 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[4-(3-methyl-1-piperidinyl)-3-nitrophenyl]- (9CI)
 (CA INDEX NAME)

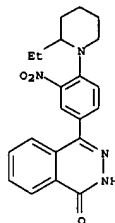


RN 882000-36-4 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[3-amino-4-(1-piperidinyl)phenyl]- (9CI) (CA
 INDEX NAME)

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

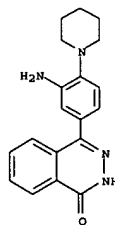


RN 882000-12-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[4-(2-ethyl-1-piperidinyl)-3-nitrophenyl]- (9CI)
 (CA INDEX NAME)

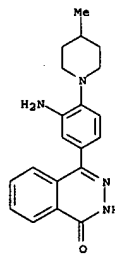


RN 882000-13-7 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(4-propyl-1-piperidinyl)phenyl]- (9CI)
 (CA INDEX NAME)

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

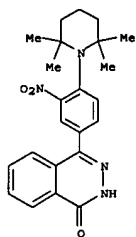


RN 882000-37-5 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[3-amino-4-(4-methyl-1-piperidinyl)phenyl]- (9CI)
 (CA INDEX NAME)

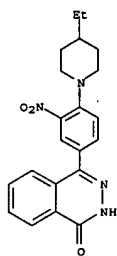


RN 882000-38-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(2,2,6,6-tetramethyl-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

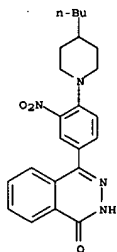


RN 882000-41-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-[4-(4-ethyl-1-piperidiny)-3-nitrophenyl]- (9CI)
(CA INDEX NAME)

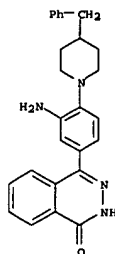


RN 882000-42-2 CAPLUS
CN 1(2H)-Phthalazinone,
4-[3-amino-4-[4-(phenylmethyl)-1-piperidiny]phenyl]-
(9CI) (CA INDEX NAME)

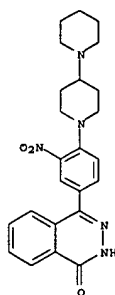
L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 882000-45-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[4-[1,4'-bipiperidin]-1'-yl-3-nitrophenyl]- (9CI)
(CA INDEX NAME)

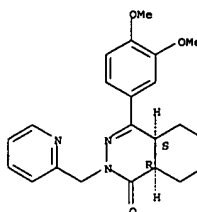


RN 882000-46-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[4-(4-butyl-1-piperidiny)-3-nitrophenyl]- (9CI)
(CA INDEX NAME)

L4 ANSWER 3 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:98192 CAPLUS
DOCUMENT NUMBER: 145:116682
TITLE: QSAR studies on cis-hexa and tetra-hydrophthalazinones: a new class of selective PDE-4 inhibitors
AUTHOR(S): Lakshmi, M.; Dixit, Anshuman; Saxena, Anil K.
CORPORATE SOURCE: Medicinal and Process Chemistry Division, Central Drug Research Institute, Lucknow, 226 001, India
SOURCE: Indian Journal of Chemistry, Section A: Inorganic, Bio-inorganic, Physical, Theoretical & Analytical Chemistry (2006), 45A(1), 34-44
CODEN: ICACEC; ISSN: 0376-4710
PUBLISHER: National Institute of Science Communication and Information Resources
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Quant. structure activity relation studies have been carried out on cis-hexa and tetra-hydrophthalazinones, a new class of selective PDE-4 inhibitors. The 78 compds. analyzed were divided into a training set of 62 and test set of 15 mols. (excluding one compound) each describing a similar range of biol. activity. Among several 2D and 3D parameters, the molar refractivity, dielec. energy and structural parameters as indicator variables (I1, I2, I3) best describe the variation in PDE-4 inhibitory activity in the training set with high correlation (r>0.9) of >99% significance. These equations also show a good test set prediction (r>0.8) and thus may be useful in designing new potential PDE4 inhibitors.
IT 210467-38-2 210467-43-9 439660-83-0
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(QSAR studies on hydrophthalazinones as PDE-4 inhibitors)
RN 210467-38-2 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(2-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

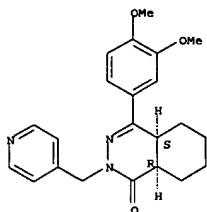
Relative stereochemistry.



RN 210467-43-9 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(4-

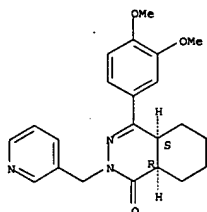
L4 ANSWER 3 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 439660-83-0 CAPLUS
CN 1(2H)-Phthalazinone,
4-((3,4-dimethoxyphenyl)-(4a,5,6,7,8,8a-hexahydro-2-(3-
pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

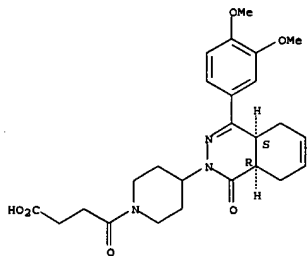
Relative stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of phthalazinone deriva. as PDE4 inhibitors)
RN 862462-47-3 CAPLUS
CN 1-Piperidinebutanoic acid,
4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-
tetrahydro-1-oxo-2(1H)-phthalazinyl]-γ-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 862462-48-4P 862462-50-8P 862462-51-9P
862462-53-1P 862462-54-2P 862462-55-3P
862462-56-4P 862462-57-5P 862462-58-6P
862462-59-7P 862462-60-0P 862462-61-1P
862462-62-2P 862462-63-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of phthalazinone deriva. as PDE4 inhibitors)
RN 862462-48-4 CAPLUS
CN Morpholine, 4-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-
tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-1,4-dioxobutyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:823689 CAPLUS
DOCUMENT NUMBER: 143:229869
TITLE: Preparation of phthalazinone derivatives as PDE4
inhibitors
INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard;
Kley, Hans-Peter; Christiaens, Johannes A. M.; Menge,
Wiro M. P. B.; Sterk, Geert Jan
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

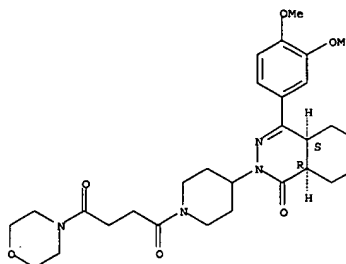
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005075457	A1	20050818	WO 2005-EP50417	20050201
WO 2005075457	C1	20060302		

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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,
SM
RW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG
PRIORITY APPL. INFO.: EP 2004-2423 A 20040204
OTHER SOURCE(S): MARPAT 143:229869
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

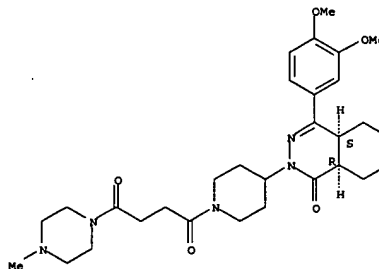
AB Title compds. I [R1 and R2 are both H or together from an addnl. bond; R3
= (unsubstituted phenyl; R4 = OH, alkoxy, NHRS, etc.; R5 = OH, alkoxy or
alkoxyalkyl; n = 0, 2, 3, or 4) and their pharmaceutically acceptable
salts, are prepared and disclosed as PDE4 inhibitors. Thus, e.g., II was
prepared by coupling of
(4aS,8aR)-4-(3,4-dimethoxyphenyl)-2-piperidin-4-yl-
4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (preparation
given) with
succinic anhydride. The inhibitory activity of I was evaluated using two
different methods utilizing cAMP and it was revealed that compds. of the
invention displayed -logIC50 values in the range of 8.4 up to 10.4 mol/L.
I as inhibitor of PDE4 should prove useful in the treatment of airway
disorders. Pharmaceutical compns. comprising I are disclosed.
IT 862462-47-3P

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-50-8 CAPLUS
CN Piperazine, 1-[4-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-
tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-1,4-dioxobutyl]-4-
methyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)
CM 1
CRN 862462-49-5
CMP C30 H41 N5 O5

Absolute stereochemistry.

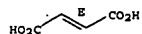


CM 2

CRN 110-17-8

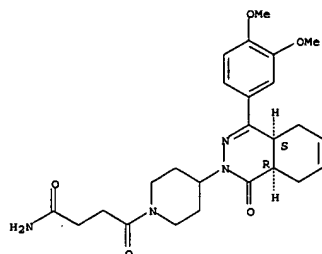
L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CMP C4 H4 O4

Double bond geometry as shown.



RN 862462-51-9 CAPLUS
CN 1-Piperidinebutanamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-γ-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



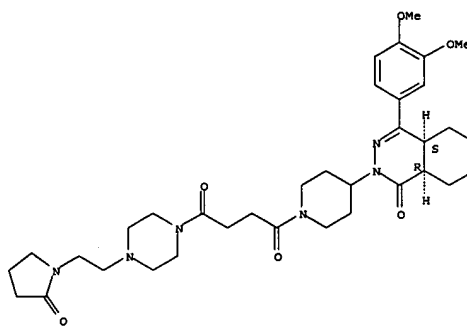
RN 862462-53-1 CAPLUS
CN Piperazine, 1-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-1,4-dioxobutyl]-4-[2-(2-oxo-1-pyrrolidinyl)ethyl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CN 1

CRN 862462-52-0
CMP C35 H48 N6 O6

Absolute stereochemistry.

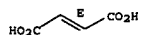
L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CN 2

CRN 110-17-8
CMP C4 H4 O4

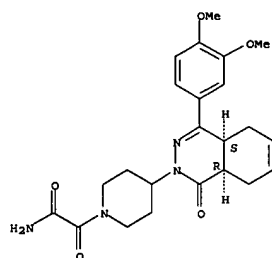
Double bond geometry as shown.



RN 862462-54-2 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-α-oxo- (9CI) (CA INDEX NAME)

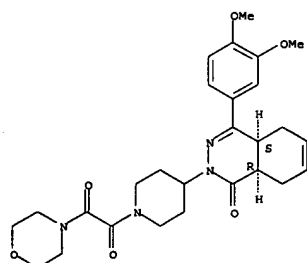
Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-55-3 CAPLUS
CN Morpholine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]- (9CI) (CA INDEX NAME)

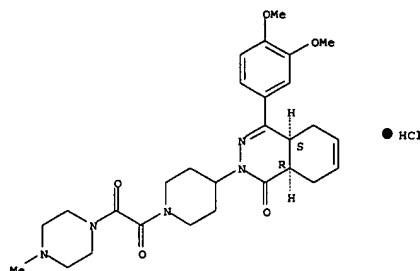
Absolute stereochemistry.



RN 862462-56-4 CAPLUS
CN Piperazine, 1-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

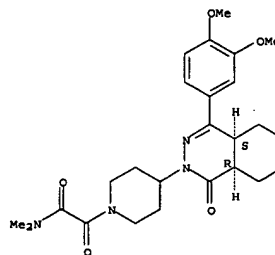
Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-57-5 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N,N-dimethyl-α-oxo- (9CI) (CA INDEX NAME)

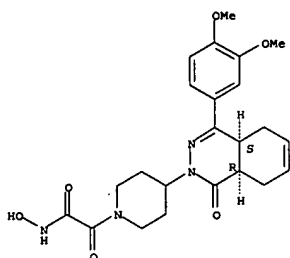
Absolute stereochemistry.



RN 862462-58-6 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-hydroxy-α-oxo- (9CI) (CA INDEX NAME)

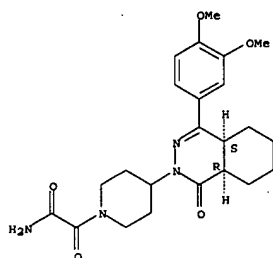
Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-59-7 CAPLUS
 CN 1-Piperidinesuccinamide,
 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-
 hexahydro-1-oxo-2(1H)-phthalazinyl]-α-oxo- (9CI) (CA INDEX NAME)

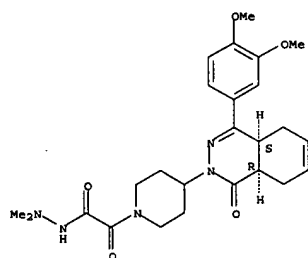
Absolute stereochemistry.



RN 862462-60-0 CAPLUS
 CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-
 hexahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]- (9CI) (CA
 INDEX NAME)

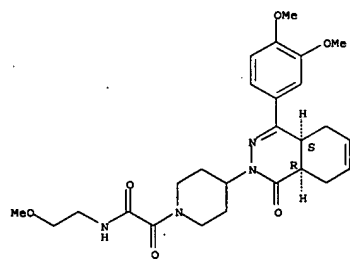
Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-63-3 CAPLUS
 CN 1-Piperidinesuccinamide, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(2-methoxyethyl)-α-oxo- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

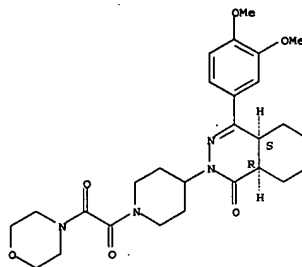


IT 380226-97-1P 785047-47-4P 862462-64-4P
 862462-65-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of phthalazinone derivs. as PDE4 inhibitors)
 RN 380226-97-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-tetrahydro-2-(4-
 piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

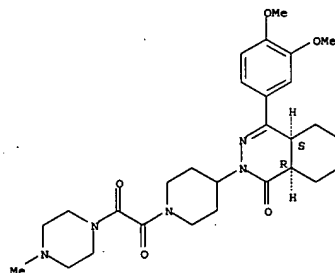
Habte

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-61-1 CAPLUS
 CN Piperazine, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-
 hexahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]-4-methyl-
 (9CI) (CA INDEX NAME)

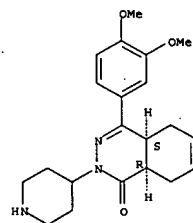
Absolute stereochemistry.



RN 862462-62-2 CAPLUS
 CN 1-Piperidinesuccinamide, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-α-oxo-, 2,2-dimethylhydrazide
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

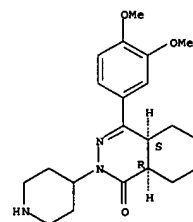
L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 785047-47-4 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-tetrahydro-2-(4-
 piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

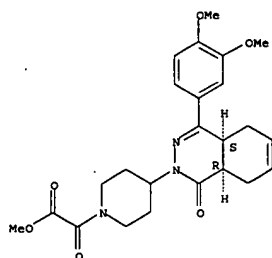
Absolute stereochemistry.



RN 862462-64-4 CAPLUS
 CN 1-Piperidinesuccinamide, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]- (9CI) (CA
 INDEX NAME)

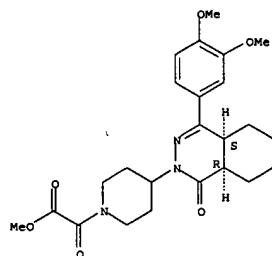
Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-65-5 CAPLUS
 CN 1-Piperidineacetic acid, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)

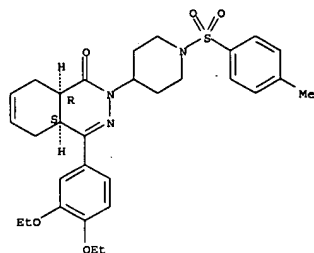
Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

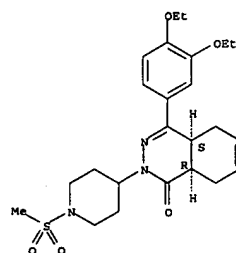
L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-15-0 CAPLUS
 CN Piperidine,
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-16-1 CAPLUS
 CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:232615 CAPLUS
 DOCUMENT NUMBER: 142:291401
 TITLE: Use of phosphodiesterase 4 (PDE4) inhibitors for the treatment of diabetes mellitus
 INVENTOR(S): Hauser, Daniela; Hanauer, Guido; Grundler, Gerhard; Schmidt, Beate; Kemkowski, Joerg; Kley, Hans-Peter
 PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023253	A1	20050317	WO 2004-EP52005	20040902
WO 2005023253	C1	20060302		
M:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004269923	A2	20050317	AU 2004-269923	20040902
AU 2004269923	A1	20050317		
CA 2537230	AA	20050317	CA 2004-2537230	20040902
PRIORITY APPLN. INFO.:			EP 2003-20126	A 20030905
			WO 2004-EP52005	W 20040902

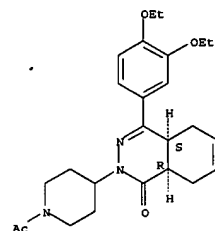
AB The invention discloses the use of certain known PDE4 inhibitors for the treatment of diabetes mellitus and accompanying disorders thereof.

IT 449760-14-9 449760-15-0 449760-16-1
 449760-17-2 449760-19-4 449760-20-7
 449760-21-8 449760-22-9 449760-23-0
 449760-24-1 449760-25-2 449760-26-3
 449760-28-5 449760-29-6 449760-30-9
 449760-35-4 449760-40-1 449760-42-3
 449760-47-8 449760-48-9 449760-49-0
 449760-50-3 449760-51-4 449760-52-5
 449760-53-6 449760-56-9 449760-57-0
 449760-58-1 596102-01-1 596102-07-7
 596102-09-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

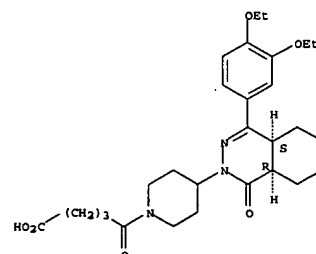
RN 449760-14-9 CAPLUS
 CN Piperidine,
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
 CN 1-Piperidinepentanoic acid,
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-8-oxo- (9CI) (CA INDEX NAME)

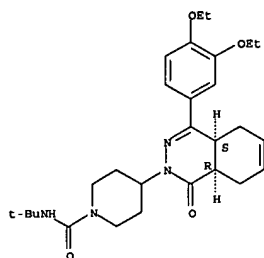
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

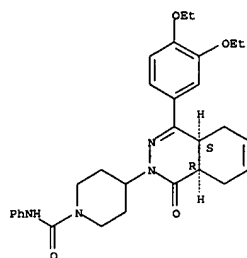
Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

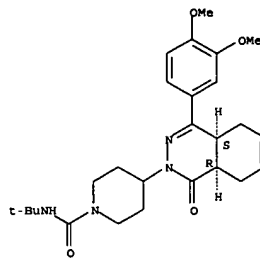
Absolute stereochemistry.



RN 449760-21-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

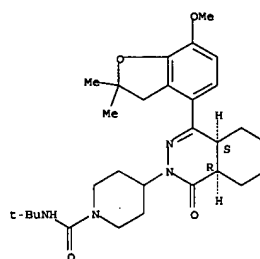
Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

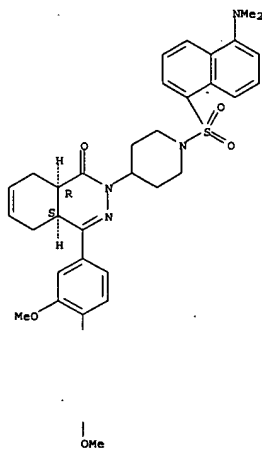


RN 449760-23-0 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[5-(dimethylamino)-1-naphthalenyl]sulfonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

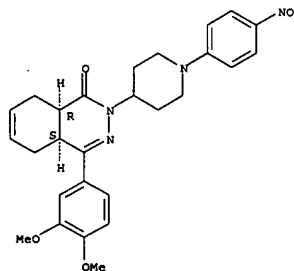


RN 449760-24-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

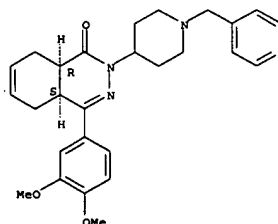
PAGE 2-A

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

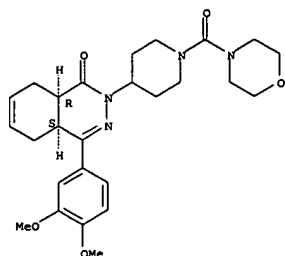
Absolute stereochemistry.



RN 449760-26-3 CAPLUS
 CN Morpholine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinylcarbonyl- (9CI) (CA INDEX NAME)

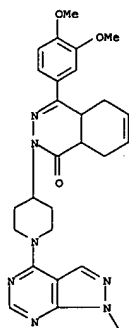
Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



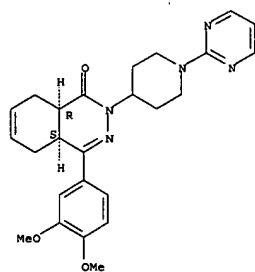
RN 449760-28-5 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



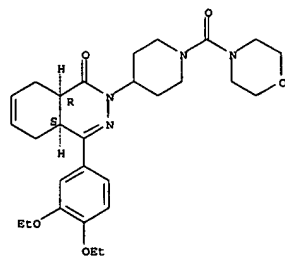
L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-35-4 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-40-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

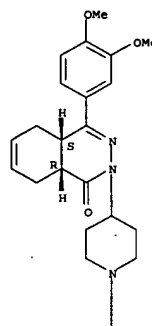
PAGE 2-A



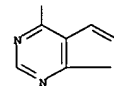
RN 449760-29-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-thieno[2,3-d]pyrimidin-4-yl-4-piperidinyl]- (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

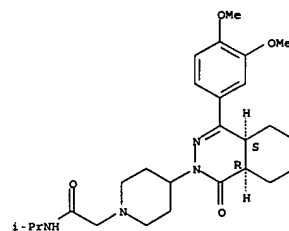


PAGE 2-A



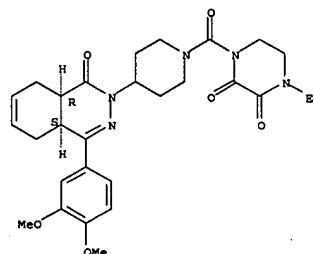
RN 449760-30-9 CAPLUS

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 Absolute stereochemistry.



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

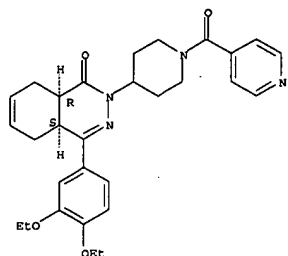
Absolute stereochemistry.



RN 449760-47-8 CAPLUS
 CN Piperidine,
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

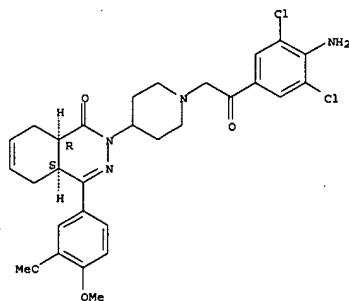
Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-48-9 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)-(9CI) (CA INDEX NAME)

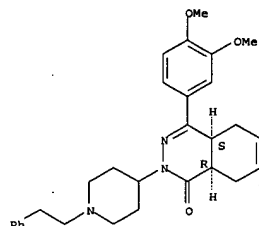
Absolute stereochemistry.



RN 449760-49-0 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

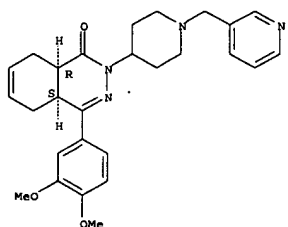
L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-52-5 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-2-[1-[(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

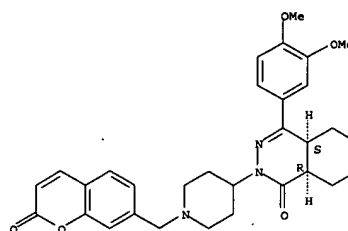


RN 449760-53-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-2-[1-[(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

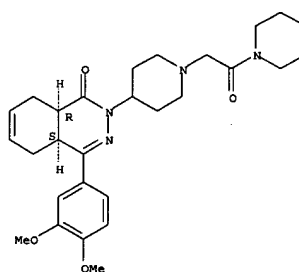
L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



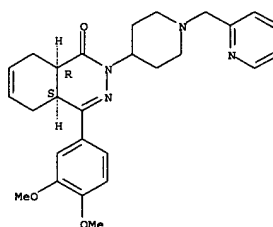
RN 449760-50-3 CAPLUS
 CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



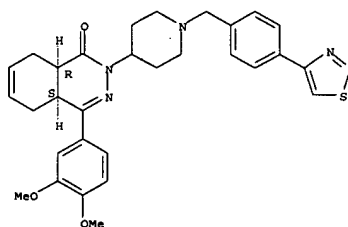
RN 449760-51-4 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-2-[1-[(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-2-[1-[(4-(1,2,3-thiadiazol-4-yl)phenyl)methyl]-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

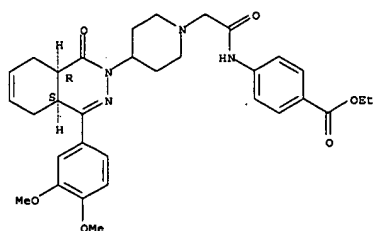
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
 CN Benzoic acid, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

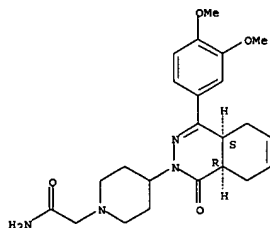
Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



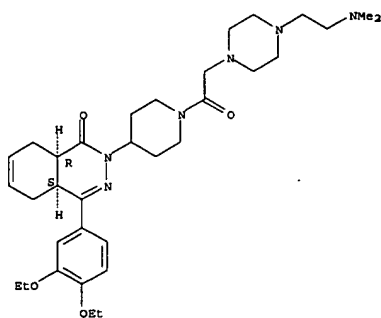
RN 449760-58-1 CAPLUS
 CN 1-Piperidinescetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



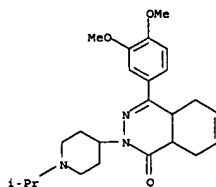
RN 596102-01-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



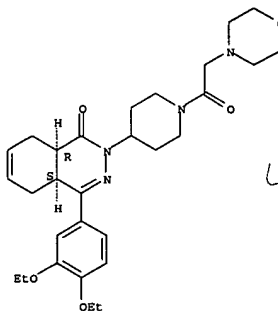
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 596102-07-7 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596102-09-9 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-(2-(dimethylamino)ethyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

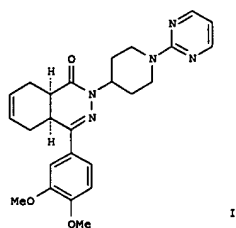
L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1036929 CAPLUS
 DOCUMENT NUMBER: 142:16825
 TITLE: Composition comprising a PDE4 inhibitor and a PDE5 inhibitor
 INVENTOR(S): Dunkern, Thorsten; Hatzelmann, Armin; Schudt, Christian; Grimminger, Friedrich; Ghofrani, Hossein Ardeschir
 PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
 SOURCE: PCT Int. Appl., 43 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103407	A2	20041202	WO 2004-EP50869	20040519
WO 2004103407	A3	20050217		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004241749	A1	20041202	AU 2004-241749	20040519
CA 2525946	AA	20041202	CA 2004-2525946	20040519
EP 1628682	A2	20060301	EP 2004-766017	20040519
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
HR				
BR 2004010326	A	20060523	BR 2004-10326	20040519
CN 1791429	A	20060621	CN 2004-80013349	20040519
US 2006094723	A1	20060504	US 2005-556888	20051115
NO 2005005941	A	20051214	NO 2005-5941	20051214
PRIORITY APPLN. INFO.:			EP 2003-11609	A 20030522
			WO 2004-EP50869	W 20040519

GI

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The invention relates to the combined administration of a PDE4 inhibitor and a PDE5 inhibitor for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or phosphodiesterase 5 (PDE5) activity is detrimental. Patients were administered orally one tablet of Roflumilast and once daily a tablet of Viagra. An example of another selected PDE4 inhibitor is 1.

IT 449760-14-9 449760-15-0 449760-16-1
449760-17-2 449760-19-4 449760-20-7
449760-21-8 449760-22-9 449760-23-0
449760-24-1 449760-25-2 449760-26-3
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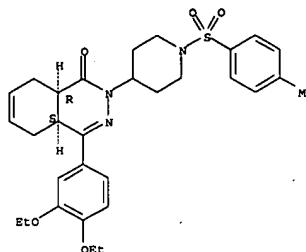
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition comprising a PDE4 inhibitor and a PDE5 inhibitor)

RN 449760-14-9 CAPLUS

CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

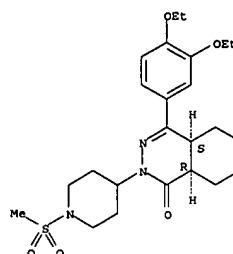
L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-15-0 CAPLUS

CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

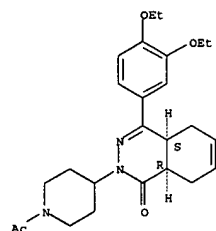


RN 449760-16-1 CAPLUS

CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

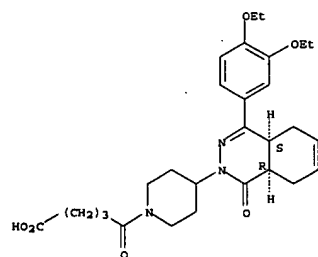
L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS

CN 1-Piperidinepentanoic acid,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-8-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

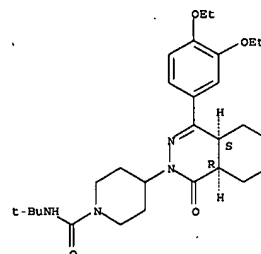


RN 449760-19-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

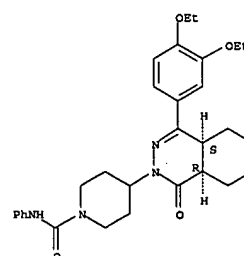
L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

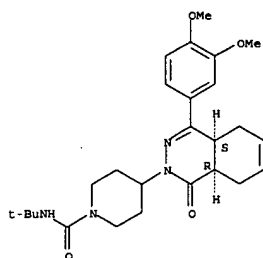


RN 449760-21-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

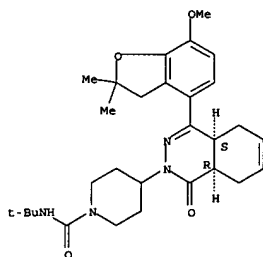
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

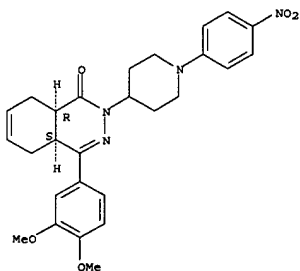
Relative stereochemistry.



RN 449760-23-0 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

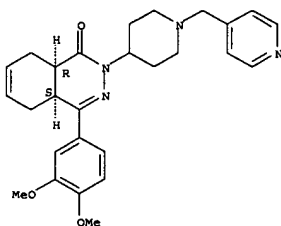
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

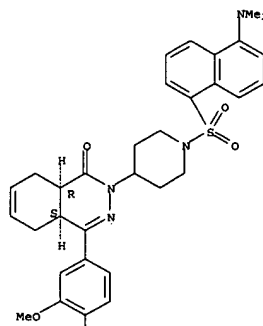


RN 449760-26-3 CAPLUS
 CN Morpholine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



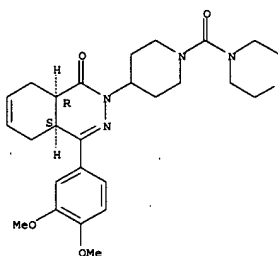
PAGE 2-A



RN 449760-24-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

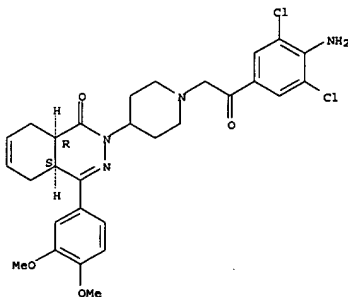
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-27-4 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

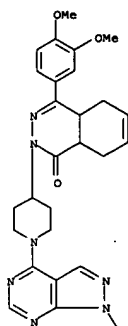


● HCl

RN 449760-28-5 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

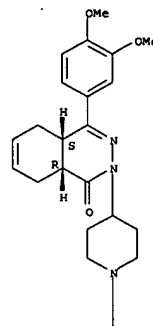


RN 449760-29-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl)-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

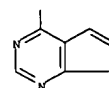
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



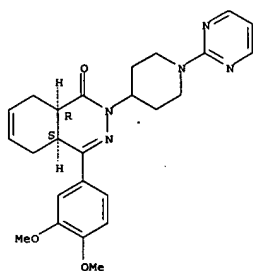
PAGE 2-A



RN 449760-30-9 CAPLUS
 CN 1(2H)-Phthalazinone, 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-(2-pyrimidinyl)-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

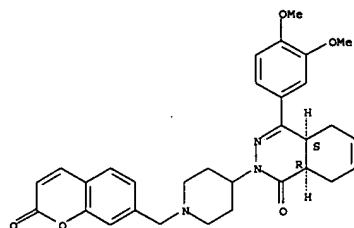
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-31-0 CAPLUS
 CN 1(2H)-Phthalazinone, 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-((2-oxo-2H-1-benzopyran-7-yl)methyl)-4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

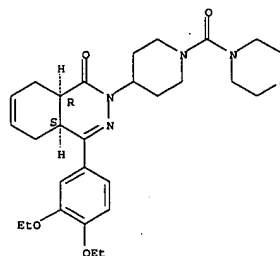


● HCl

RN 449760-35-4 CAPLUS
 CN Morpholine, 4-[[4-[(4aS,8aR)-4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

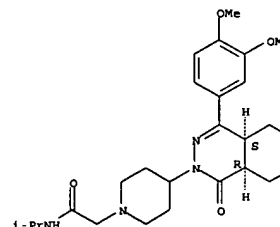
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-40-1 CAPLUS
 CN 1-Piperidinescetamide, 4-[[4-[(4aS,8aR)-4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

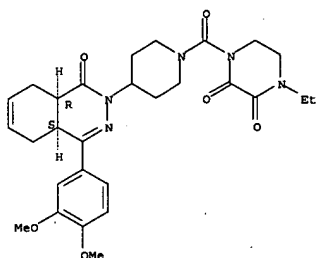
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

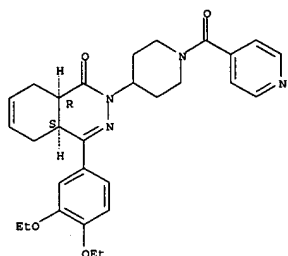
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

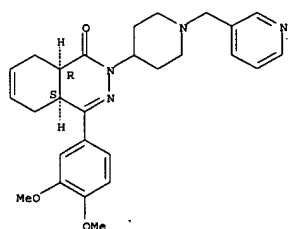
Absolute stereochemistry.



RN 449760-50-3 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

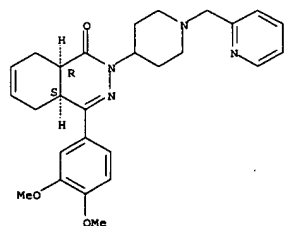
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-53-6 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

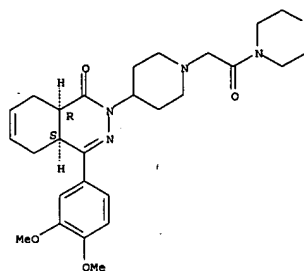
Absolute stereochemistry.



RN 449760-54-7 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

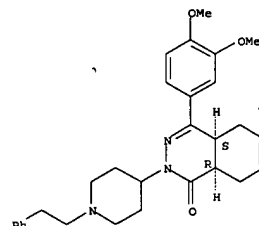
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-51-4 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

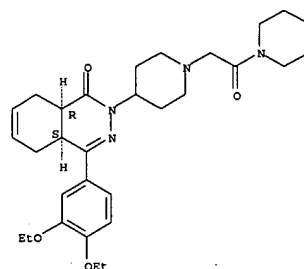
Absolute stereochemistry.



RN 449760-52-5 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

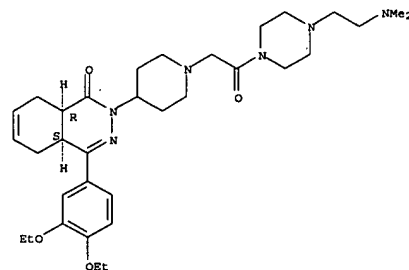
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-55-8 CAPLUS
 CN 1-Piperazineethanamine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

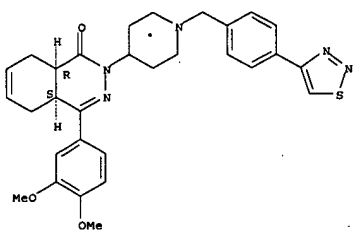
Absolute stereochemistry.



RN 449760-56-9 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

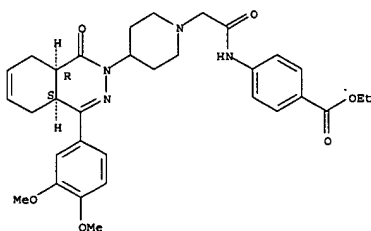
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-57-0 CAPLUS
 CN Benzoic acid, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-58-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:996001 CAPLUS
 DOCUMENT NUMBER: 141:406065
 TITLE: Composition comprising a PDE-4 inhibitor and a TNF-alpha antagonist
 INVENTOR(S): Bareig, Johannes; Weimar, Christian
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany
 SOURCE: PCT Int. Appl., 29 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004/098633	A1	20041118	WO 2004-EP50748	20040510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: EP 2003-10581 A 20030512

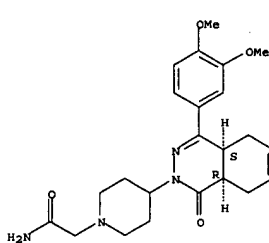
AB The invention relates to the combined administration of a PDE4 inhibitor and a TNFα antagonist selected from the group consisting of etanercept, onercept and pegsunercept for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or tumor necrosis factor alpha (TNFα) activity is detrimental.

IT 449760-14-9 449760-15-0 449760-16-1
 449760-17-2 449760-19-4 449760-20-7
 449760-21-8 449760-22-9 449760-23-0
 449760-24-1 449760-25-2 449760-26-3
 449760-28-5 449760-29-6 449760-30-9
 449760-35-4 449760-40-1 449760-42-3
 449760-47-8 449760-48-9 449760-49-0
 449760-50-3 449760-51-4 449760-52-5
 449760-53-6 449760-54-7 449760-55-8
 449760-56-9 449760-57-0 449760-58-1
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (therapeutic activity of phosphodiesterase 4 inhibitors and TNFα antagonists)

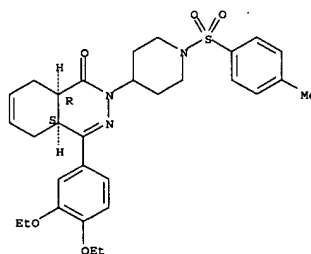
RN 449760-14-9 CAPLUS
 CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

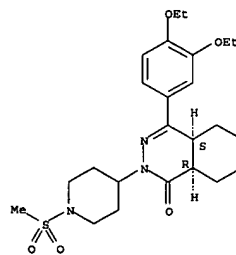


L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-15-0 CAPLUS
 CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

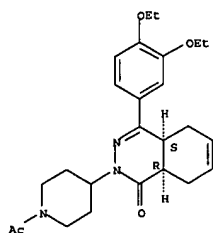
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
 CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

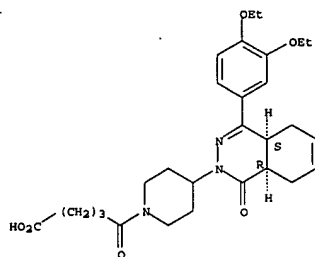
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
 CN 1-Piperidinepentanoic acid,
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-8-oxo- (9CI) (CA INDEX NAME)

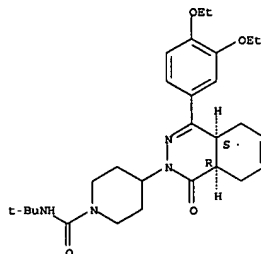
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA
 INDEX NAME)

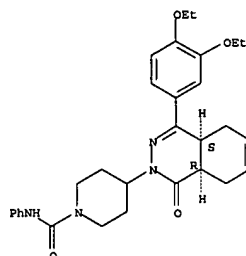
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

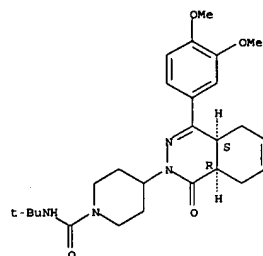
Absolute stereochemistry.



RN 449760-21-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA
 INDEX NAME)

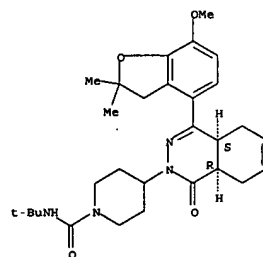
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-
 dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-
 (1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

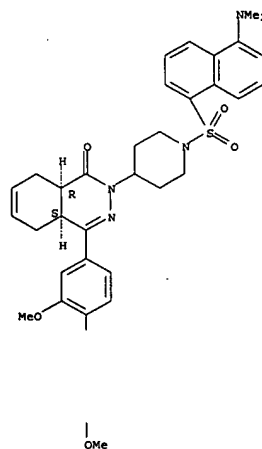
Relative stereochemistry.



RN 449760-23-0 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-
 oxo-2(1H)-phthalazinyl]-1-[5-(dimethylamino)-1-naphthalenyl]sulfonyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



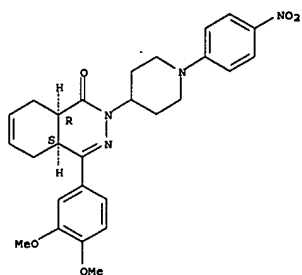
RN 449760-24-1 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-(4-
 nitrophenyl)-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

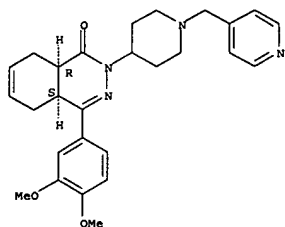
PAGE 2-A

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-26-3 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

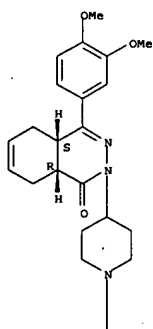
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

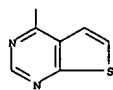
PAGE 2-A

RN 449760-29-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-thieno[2,3-d]pyrimidin-4-yl-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

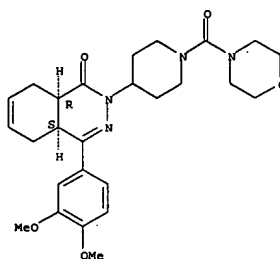


PAGE 2-A

RN 449760-30-9 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

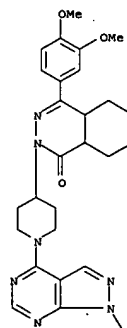
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L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



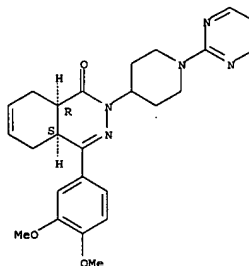
RN 449760-28-5 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



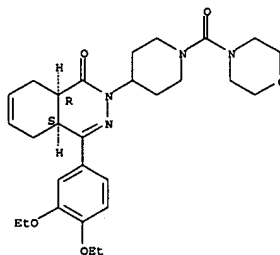
L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-35-4 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-((3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

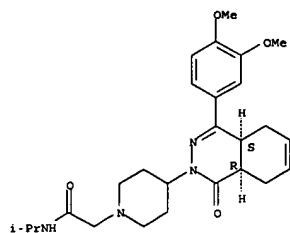
Absolute stereochemistry.



RN 449760-40-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[[4-[(4aS,8aR)-4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

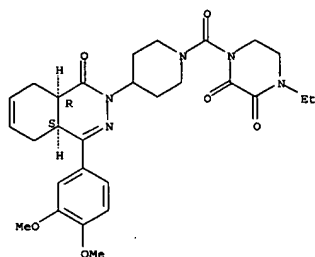
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[[4a,8a-tetrahydro-1-oxo-2-(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

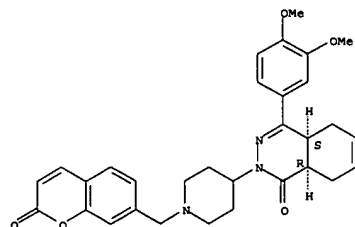


RN 449760-47-8 CAPLUS
CN Piperidine, 4-[[4a,8a-tetrahydro-1-oxo-2-(1H)-phthalazinyl]-1-(4-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

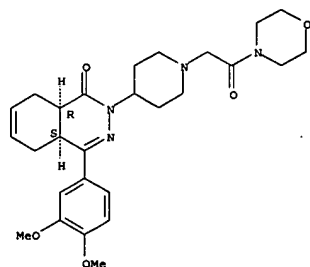
L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) INDEX NAME)

Absolute stereochemistry.



RN 449760-50-3 CAPLUS
CN Morpholine, 4-[[4-[[4a,8a-tetrahydro-1-oxo-2-(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

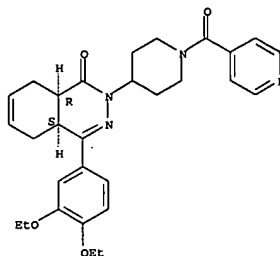
Absolute stereochemistry.



RN 449760-51-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-[[4a,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

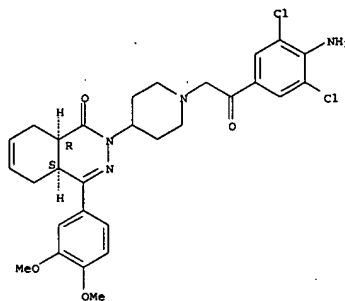
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



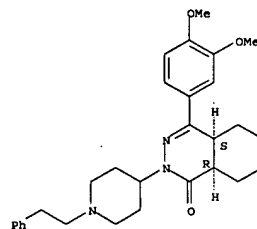
RN 449760-48-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-[[4-[[4a,8a-tetrahydro-1-oxo-2-(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



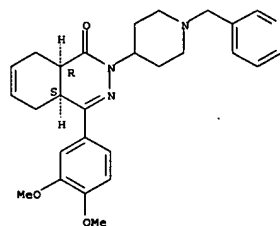
RN 449760-49-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-[[4a,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-52-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-[[4a,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

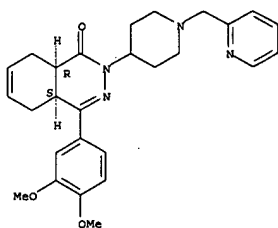
Absolute stereochemistry.



RN 449760-53-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[4-[[4a,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

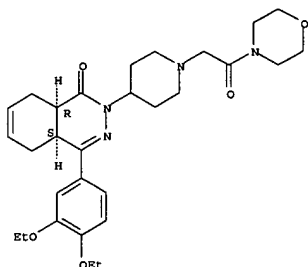
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-54-7 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

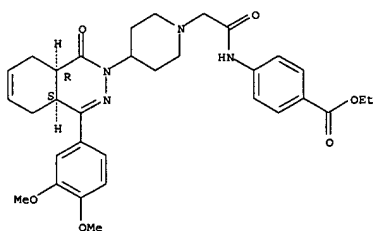
Absolute stereochemistry.



RN 449760-55-8 CAPLUS
 CN 1-Piperazineethanamine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

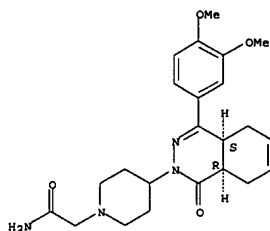
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



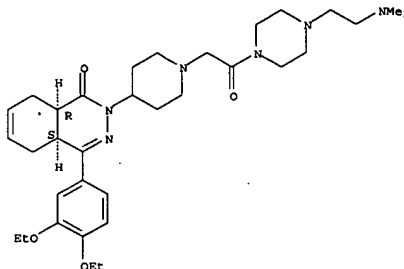
RN 449760-58-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



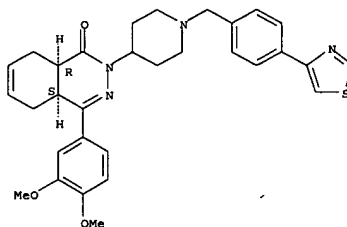
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-57-0 CAPLUS
 CN Benzoic acid, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995979 CAPLUS
 DOCUMENT NUMBER: 141:406064
 TITLE: Composition comprising a PDE4 inhibitor and soluble human Type II interleukin-1 receptor (shuIL-1RII) for disease therapy
 INVENTOR(S): Barsig, Johannes
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany
 SOURCE: PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

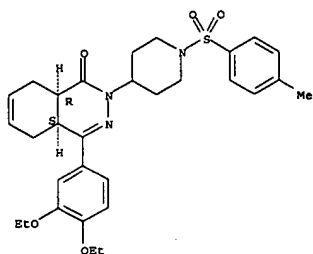
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098606	A1	20041118	WO 2004-EP50749	20040510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			EP 2003-10596	A 20030512

AB The invention relates to the combined administration of a PDE4 inhibitor and shuIL-1RII for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or interleukin-1 (IL-1) activity is detrimental.

IT 449760-14-9 449760-15-0 449760-16-1
 449760-17-2 449760-19-4 449760-20-7
 449760-21-8 449760-22-9 449760-23-0
 449760-24-1 449760-25-2 449760-26-3
 449760-28-5 449760-29-6 449760-30-9
 449760-35-4 449760-40-1 449760-42-3
 449760-47-8 449760-48-9 449760-49-0
 449760-50-3 449760-51-4 449760-52-5
 449760-53-6 449760-54-7 449760-55-8
 449760-56-9 449760-57-0 449760-58-1
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (composition comprising a PDE4 inhibitor and soluble human Type II interleukin-1 receptor (shuIL-1RII) for disease therapy)
 RN 449760-14-9 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

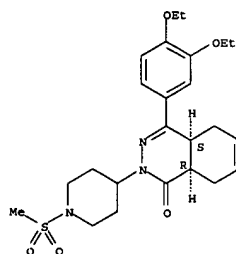
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-15-0 CAPLUS
 CN Piperidine,
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-
 2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

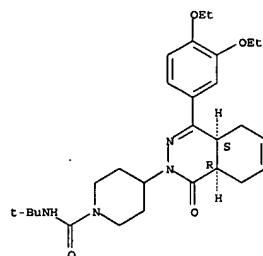
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
 CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

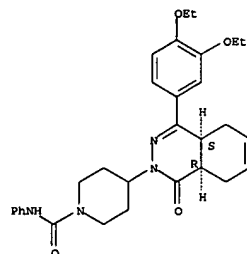
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

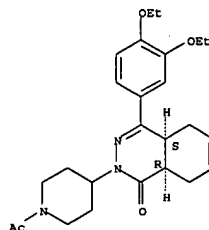
Absolute stereochemistry.



RN 449760-21-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

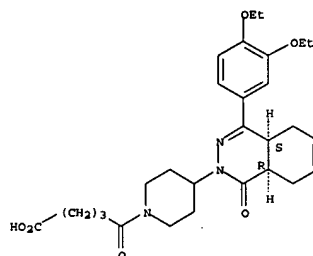
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

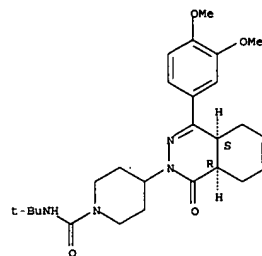
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

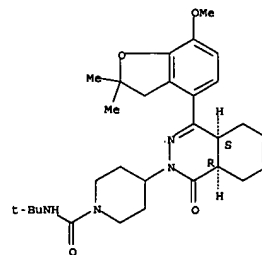
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-
 dimethyl-4-benzofuran-1-yl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-
 (1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



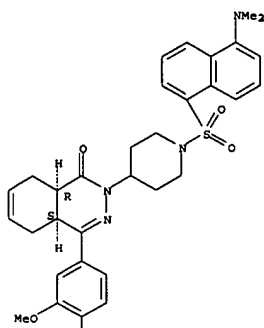
RN 449760-23-0 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-
 oxo-2(1H)-phthalazinyl]-1-[(5-(dimethylamino)-1-naphthalenyl)sulfonyl]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

PAGE 1-A



RN 449760-24-1 CAPLUS
CN 1(2H)-Phthalazinone,
4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

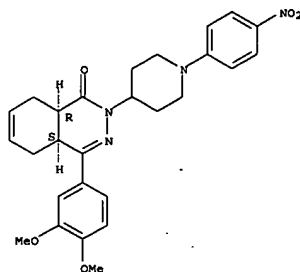
Absolute stereochemistry.

PAGE 2-A



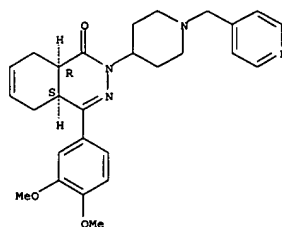
L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



RN 449760-25-2 CAPLUS
CN 1(2H)-Phthalazinone,
4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

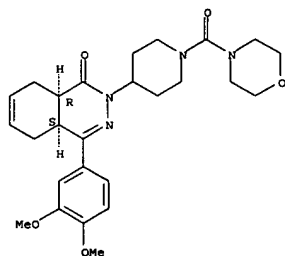


RN 449760-26-3 CAPLUS
CN Morpholine,
4-[[4-[[4a,5,8,8a-tetrahydro-2-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

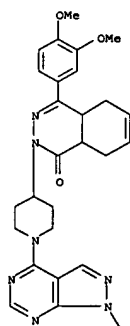
L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



RN 449760-28-5 CAPLUS
CN 1(2H)-Phthalazinone,
4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

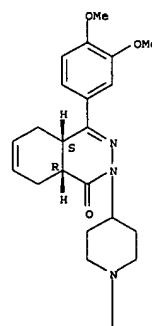
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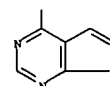
RN 449760-29-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-thieno[2,3-d]pyrimidin-4-yl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



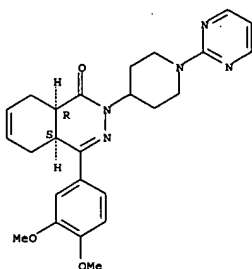
PAGE 2-A



RN 449760-30-9 CAPLUS
CN 1(2H)-Phthalazinone,
4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

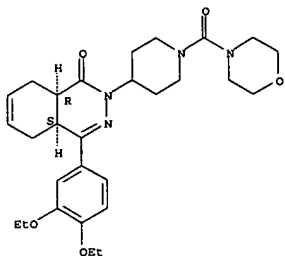
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

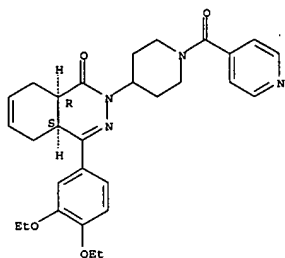
Absolute stereochemistry.



RN 449760-40-1 CAPLUS
 CN 1-Piperidineacetamide,
 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

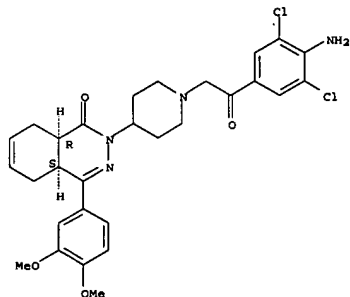
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-48-9 CAPLUS
 CN 1-(2H)-Phthalazinone,
 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

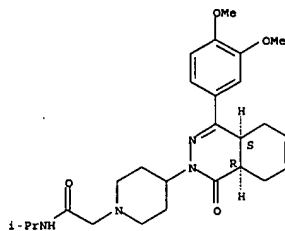


RN 449760-49-0 CAPLUS
 CN 1-(2H)-Phthalazinone,
 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

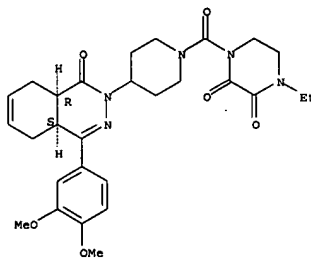
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L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione,
 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

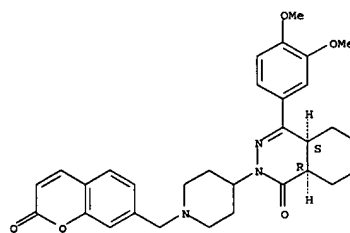
Absolute stereochemistry.



RN 449760-47-8 CAPLUS
 CN Piperidine,
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

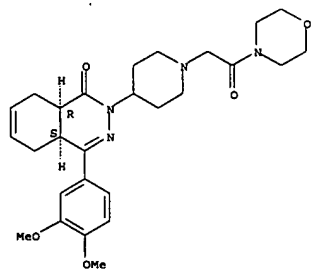
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-50-3 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

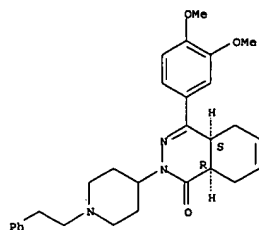
Absolute stereochemistry.



RN 449760-51-4 CAPLUS
 CN 1-(2H)-Phthalazinone,
 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

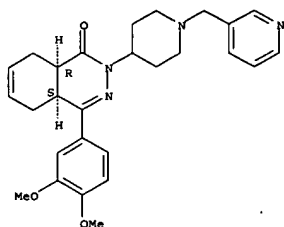
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-52-5 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-1H-phthalazinyl]-1-piperidine-1-carboxamide (9CI) (CA INDEX NAME)

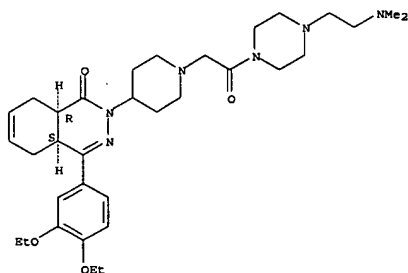
Absolute stereochemistry.



RN 449760-53-6 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-1H-phthalazinyl]-1-piperidine-1-carboxamide (9CI) (CA INDEX NAME)

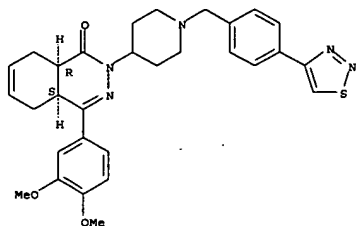
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-1H-phthalazinyl]-1-piperidine-1-carboxamide (9CI) (CA INDEX NAME)

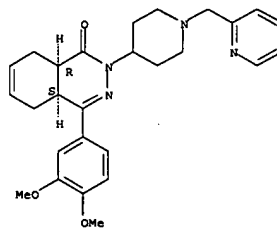
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
 CN Benzoic acid, 4-[[[4-[(4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

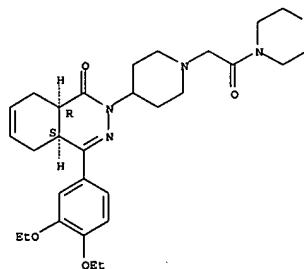
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-54-7 CAPLUS
 CN Morpholine,
 4-[[[4-[(4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl-1-piperazineethanamine]-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidine-1-carboxamide (9CI) (CA INDEX NAME)

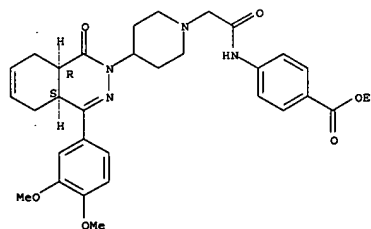
Absolute stereochemistry.



RN 449760-55-8 CAPLUS
 CN 1-Piperazineethanamine,
 4-[[[4-[(4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl-1-piperazineethanamine]-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidine-1-carboxamide (9CI) (CA INDEX NAME)

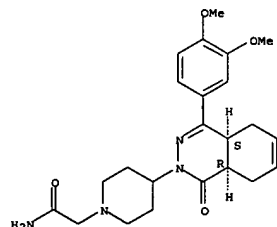
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-58-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[[[4-[(4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl-1-piperazineethanamine]-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidine-1-carboxamide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995978 CAPLUS

DOCUMENT NUMBER: 141:406063

TITLE: Pharmaceutical composition comprising a PDE4 inhibitor

and IL-1 trap for treatment of disease

INVENTOR(S): Barsig, Johannes

PATENT ASSIGNEE(S): Altana Pharma AG, Germany

SOURCE: PCT Int. Appl., 24 pp:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098605	A1	20041118	WO 2004-EP50747	20040510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPL. INFO.:			EP 2003-10631	A 20030512

AB The invention relates to the combined administration of a PDE4 inhibitor and IL-1 Trap for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or interleukin-1 (IL-1) activity is detrimental.

IT 449760-14-9 449760-15-0 449760-16-1
 449760-17-2 449760-19-4 449760-20-7
 449760-21-8 449760-22-9 449760-23-0
 449760-24-1 449760-25-2 449760-26-3
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 449760-35-4 449760-40-1 449760-42-3
 449760-47-8 449760-48-9 449760-49-0
 449760-50-3 449760-51-4 449760-52-5
 449760-53-6 449760-54-7 449760-55-8
 449760-56-9 449760-57-0 449760-58-1
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical composition comprising a PDE4 inhibitor and IL-1 trap for

treatment of disease)

RN 449760-14-9 CAPLUS

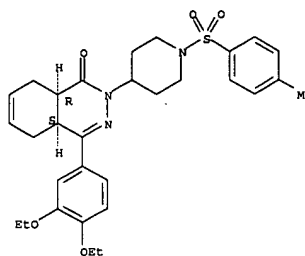
CN Piperidine,

4-[[4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

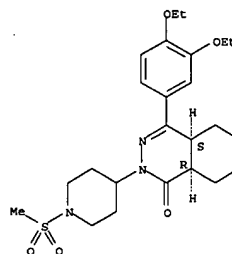


RN 449760-15-0 CAPLUS

CN Piperidine,

4-[[4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-16-1 CAPLUS

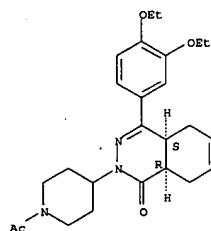
CN Piperidine,

1-acetyl-4-[[4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

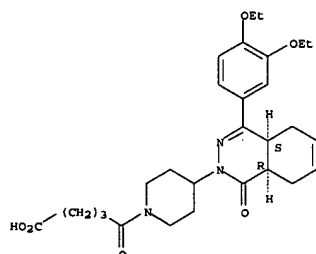


RN 449760-17-2 CAPLUS

CN 1-Piperidinepentanoic acid,

4-[[4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-5-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



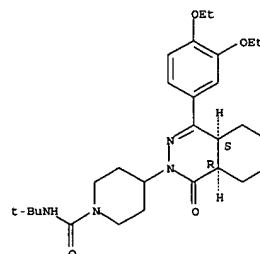
RN 449760-19-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

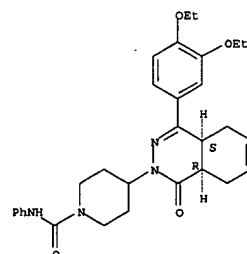


RN 449760-20-7 CAPLUS

CN 1-Piperidinecarboxamide,

4-[[4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



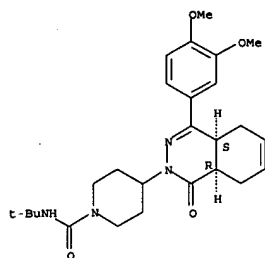
RN 449760-21-8 CAPLUS

CN 1-Piperidinecarboxamide,

4-[[4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

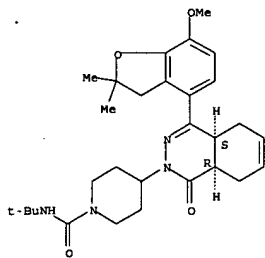
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

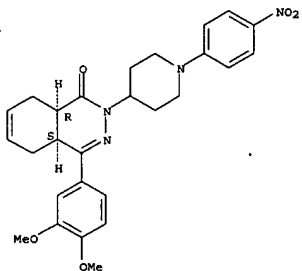
Relative stereochemistry.



RN 449760-23-0 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

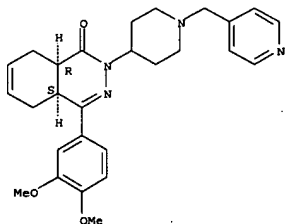
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

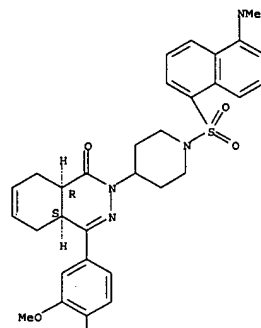


RN 449760-26-3 CAPLUS
 CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



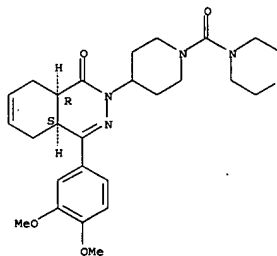
PAGE 2-A



RN 449760-24-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

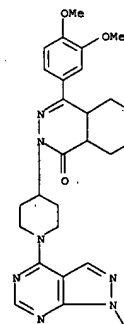
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-28-5 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

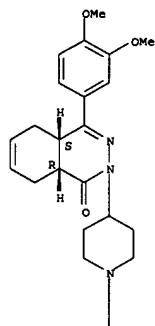


L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

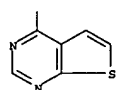
PAGE 2-A

RN 449760-29-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl)-4-piperidyl-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

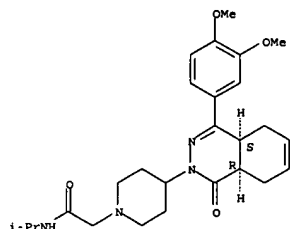


PAGE 2-A

RN 449760-30-9 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-methyl-1H-imidazol-5-yl)-1H-imidazol-5-yl]-4-piperidyl-, (4aS,8aR)- (9CI) (CA INDEX NAME)

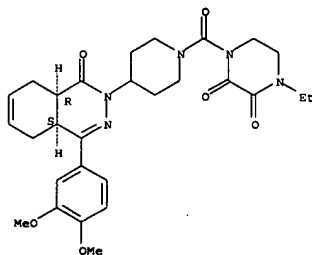
L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidyl]carbonyl]-4-ethyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



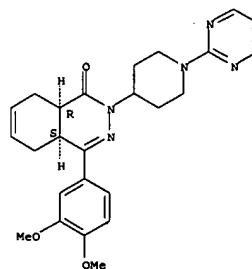
RN 449760-47-8 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinyl)carbonyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

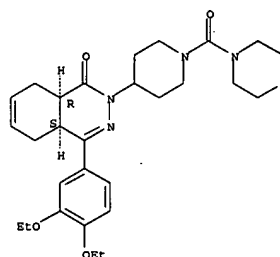
pyrimidinyl)-4-piperidyl-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-35-4 CAPLUS
 CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidyl]carbonyl]- (9CI) (CA INDEX NAME)

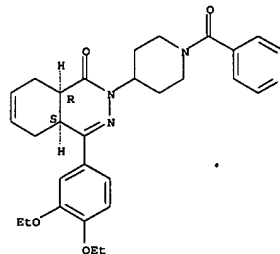
Absolute stereochemistry.



RN 449760-40-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

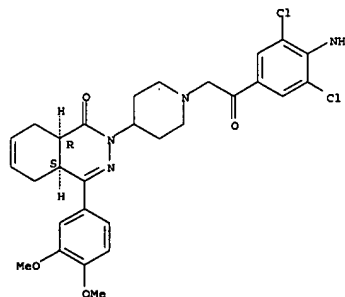
L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-48-9 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)- (9CI) (CA INDEX NAME)

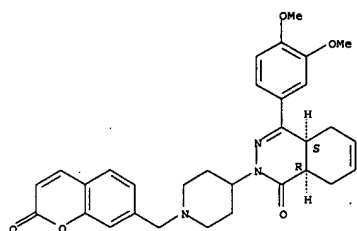
Absolute stereochemistry.



RN 449760-49-0 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidyl-, (4aS,8aR)- (9CI) (CA INDEX NAME)

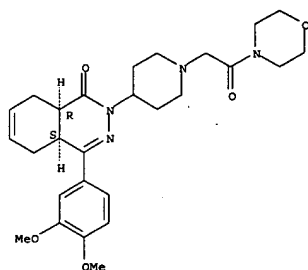
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-50-3 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

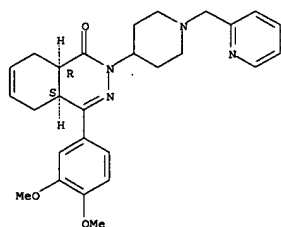
Absolute stereochemistry.



RN 449760-51-4 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

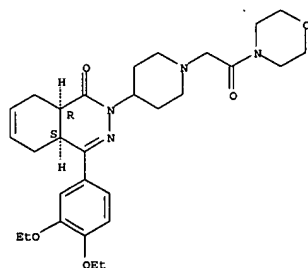
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-54-7 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

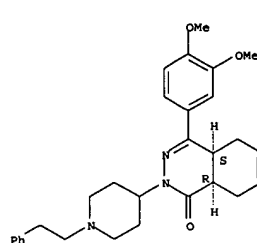
Absolute stereochemistry.



RN 449760-55-8 CAPLUS
 CN 1-Piperazineethanamine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

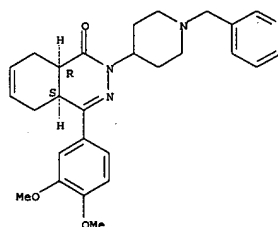
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-52-5 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

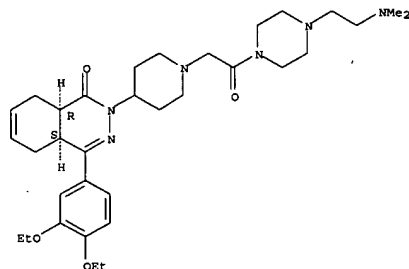
Absolute stereochemistry.



RN 449760-53-6 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

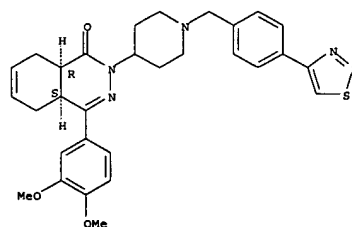
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(4-(1,2,3-thiadiazol-4-yl)phenyl)methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

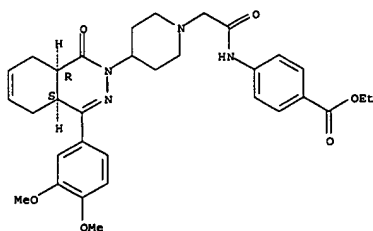
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
 CN Benzoic acid, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

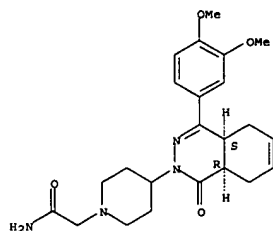
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-58-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995956 CAPLUS
 DOCUMENT NUMBER: 141:416024
 TITLE: Composition comprising a PDE4 inhibitor and a TNF α antagonist
 INVENTOR(S): Baraig, Johannes; Weimar, Christian
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany
 SOURCE: PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098578	A2	20041118	WO 2004-EP50750	20040510
WO 2004098578	A3	20041229		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RN: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO
 PRIORITY APPLN. INFO.: EP 2003-10593 A 20030512

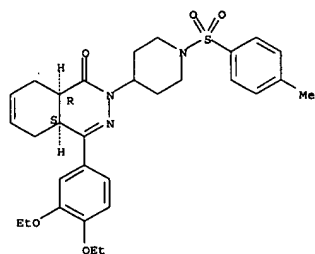
AB The invention relates to the combined administration of a PDE4 inhibitor and a TNF α antagonist selected from the group consisting of infliximab, adalimumab, cdp870 and cdp571 for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or tumor necrosis factor alpha (TNF α) activity is detrimental.

IT 449760-14-9 449760-15-0 449760-16-1
 449760-17-2 449760-19-4 449760-20-7
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 449760-53-6 449760-54-7 449760-55-8
 449760-56-9 449760-57-0 449760-58-1
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceutical injections containing phosphodiesterase 4 inhibitors
 in combination with TNF α antagonists for treatment of arthritis and other diseases)

RN 449760-14-9 CAPLUS
 CN Piperidine,
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

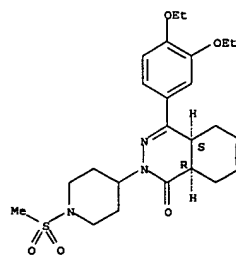
L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-15-0 CAPLUS
 CN Piperidine,
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

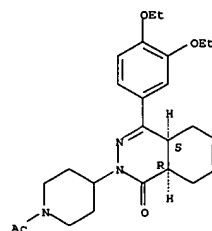
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
 CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

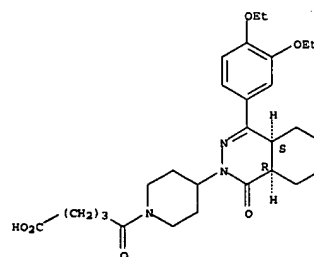
Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
 CN 1-Piperidinepentanoic acid,
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-8-oxo- (9CI) (CA INDEX NAME)

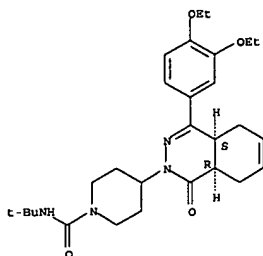
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

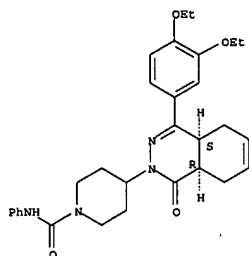
Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

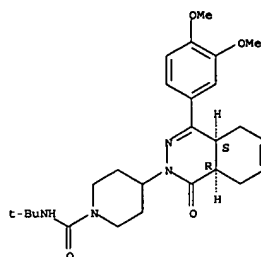
Absolute stereochemistry.



RN 449760-21-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

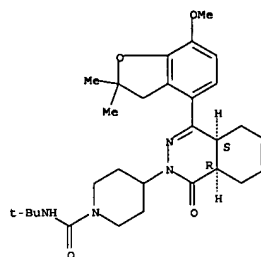
Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

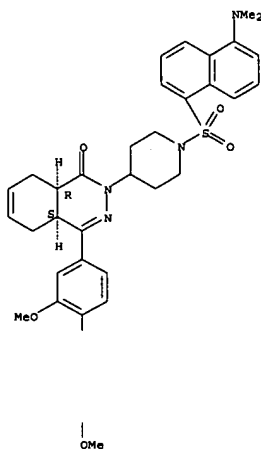


RN 449760-23-0 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[5-(dimethylamino)-1-naphthalenyl]sulfonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

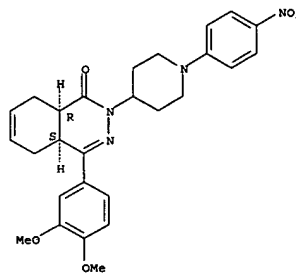


RN 449760-24-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

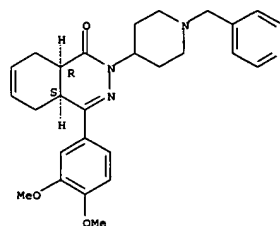
PAGE 2-A

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

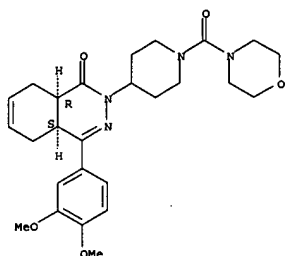
Absolute stereochemistry.



RN 449760-26-3 CAPLUS
 CN Morpholine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinylcarbonyl- (9CI) (CA INDEX NAME)

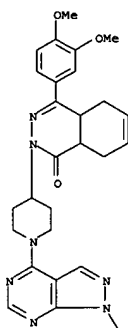
Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



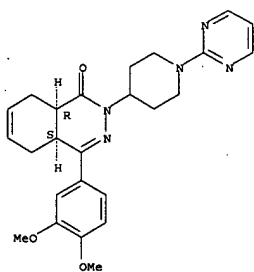
RN 449760-28-5 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



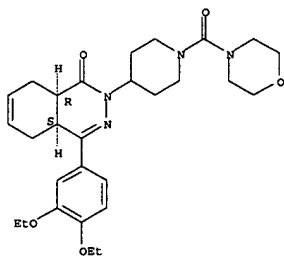
L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-35-4 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-40-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

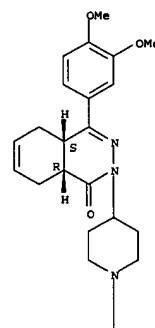
L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

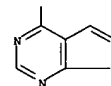
RN 449760-29-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-thieno[2,3-d]pyrimidin-4-yl)-4-piperidinyl]- (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

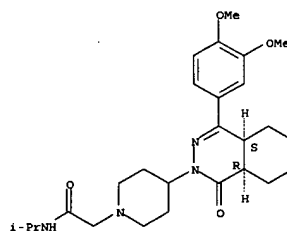


PAGE 2-A



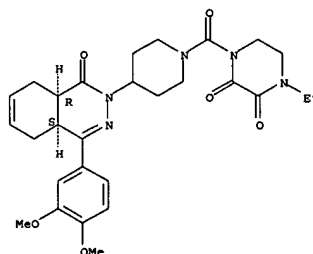
RN 449760-30-9 CAPLUS

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 Absolute stereochemistry.



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

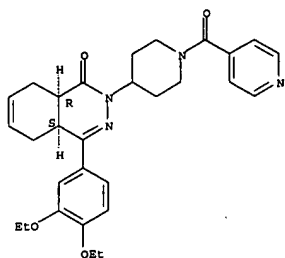
Absolute stereochemistry.



RN 449760-47-8 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

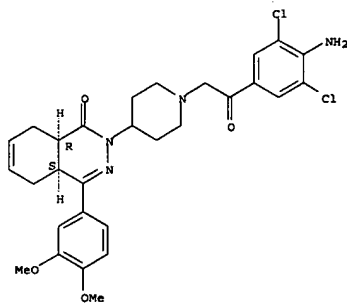
Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-48-9 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)-(9CI) (CA INDEX NAME)

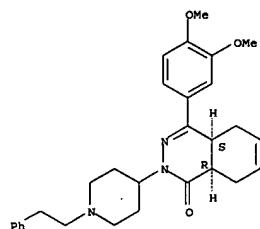
Absolute stereochemistry.



RN 449760-49-0 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

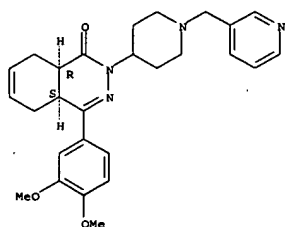
L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-52-5 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

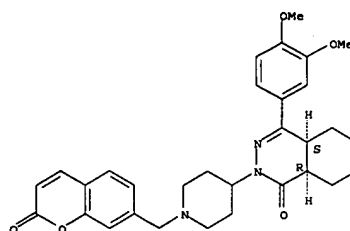


RN 449760-53-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

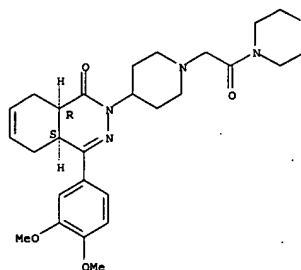
L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



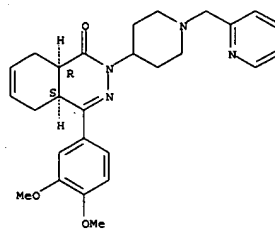
RN 449760-50-3 CAPLUS
 CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



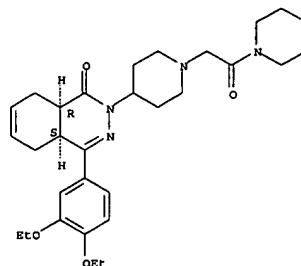
RN 449760-51-4 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-54-7 CAPLUS
 CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

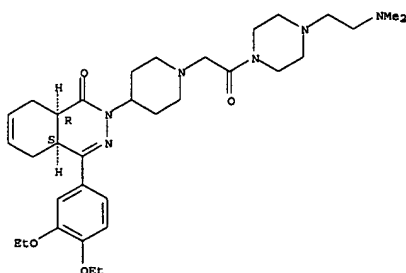
Absolute stereochemistry.



RN 449760-55-8 CAPLUS
 CN 1-Piperazineethanamine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

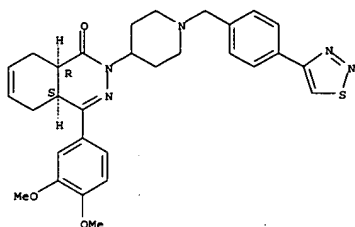
Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-57-0 CAPLUS
 CN Benzoic acid, 4-[[[4-[(4aS,8aR)-4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:610086 CAPLUS
 DOCUMENT NUMBER: 141:134069
 TITLE: PDE4 inhibitors for the treatment of neoplasms of lymphoid cells
 INVENTOR(S): Hetzelmann, Armin; Tenor, Hermann; Gekeler, Volker; Sanders, Karl; Garattini, Enrico; Braunger, Juergen; Schudt, Christian
 PATENT ASSIGNEE(S): Altana Pharma Ag, Germany
 SOURCE: PCT Int. Appl., 78 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062671	A2	20040729	WO 2004-EP196	20040114
WO 2004062671	A3	20050127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
AU 2004204355	A1	20040729	AU 2004-204355	20040114
CA 2512819	AA	20040729	CA 2004-2512819	20040114
EP 1587512	A2	20051026	EP 2004-701902	20040114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006515367	T2	20060525	JP 2006-500561	20040114
US 2006148804	A1	20060706	US 2005-542088	20050713
PRIORITY APPL. INFO.:			EP 2003-787	A 20030114
			WO 2004-EP196	W 20040114

OTHER SOURCE(S): MARPAT 141:134069

AB The invention relates to the use of certain PDE4 inhibitors alone or in combination with one or more differentiation inducing agents and/or an agent effective in raising intracellular concns. of cAMP or a stable analog of cAMP in the preparation of pharmaceutical compns. for the treatment:

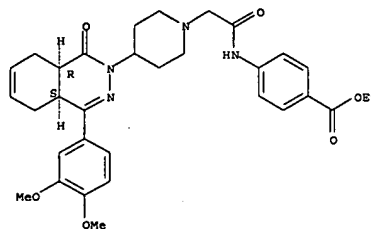
of neoplasms of lymphoid cells.
 IT 449760-14-9 449760-15-0 449760-16-1
 449760-17-2 449760-19-4 449760-20-7
 449760-21-8 449760-22-9 449760-23-0
 449760-24-1 449760-25-2 449760-26-3
 449760-28-5 449760-29-6 449760-30-9
 449760-35-4 449760-40-1 449760-42-3
 449760-47-8 449760-48-9 449760-49-0
 449760-50-3 449760-51-4 449760-52-5
 449760-53-6 449760-56-9 449760-57-0
 449760-58-1 596102-01-1 596102-07-7
 596102-09-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (phosphodiesterase 4 (PDE4) inhibitors for treatment of neoplasms of lymphoid cells in combination with differentiation inducers and agents that increase cAMP levels or cAMP analogs)

RN 449760-14-9 CAPLUS
 CN Piperidine,
 4-[(4aS,8aR)-4-((3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-

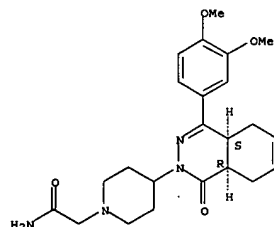
Hapte

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-58-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)- (9CI) (CA INDEX NAME)

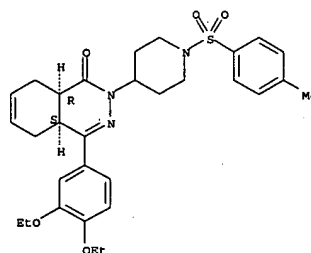
Absolute stereochemistry.



L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

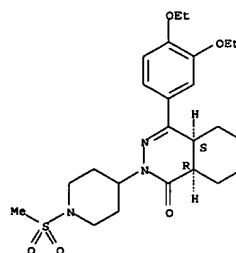
2(1H)-phthalazinyl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-15-0 CAPLUS
 CN Piperidine,
 4-[(4aS,8aR)-4-((3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

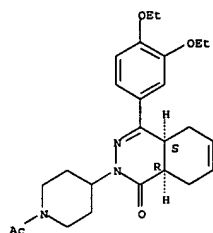
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
 CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-((3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)- (9CI) (CA INDEX NAME)

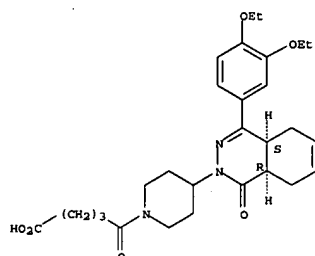
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
 CN 1-Piperidinepentanoic acid,
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-8-oxo- (9CI) (CA INDEX NAME)

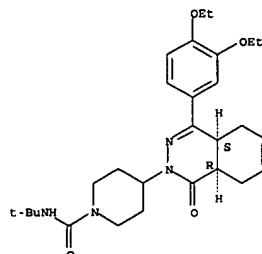
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA
 INDEX NAME)

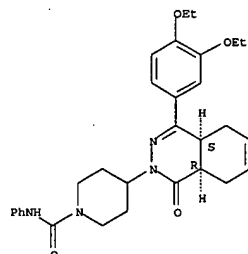
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

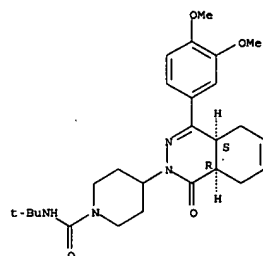
Absolute stereochemistry.



RN 449760-21-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA
 INDEX NAME)

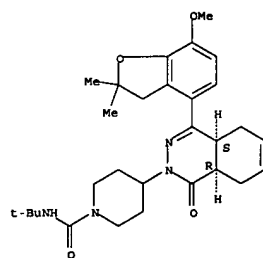
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-
 dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-
 (1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

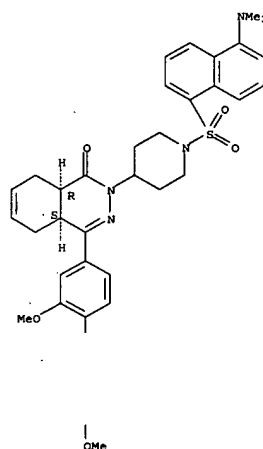
Relative stereochemistry.



RN 449760-23-0 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-
 oxo-2(1H)-phthalazinyl]-1-[(5-(dimethylamino)-1-naphthalenyl)sulfonyl]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



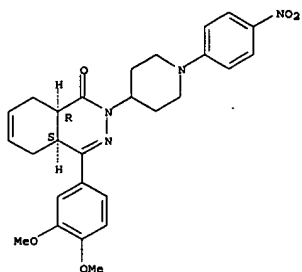
RN 449760-24-1 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-
 nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

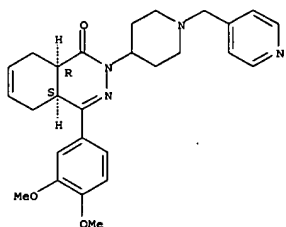
PAGE 2-A

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

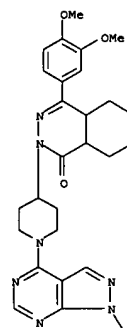
Absolute stereochemistry.



RN 449760-26-3 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

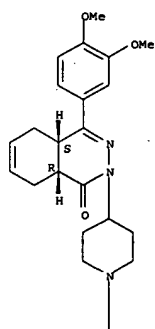


L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

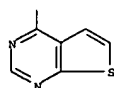
PAGE 2-A

RN 449760-29-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-thieno[2,3-d]pyrimidin-4-yl-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



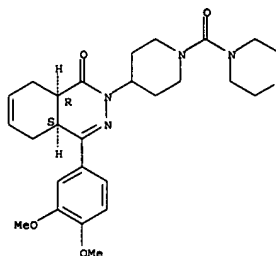
PAGE 1-A



PAGE 2-A

RN 449760-30-9 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

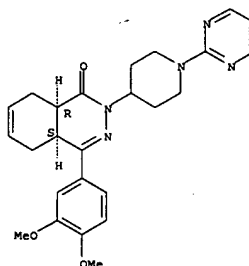
L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-28-5 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

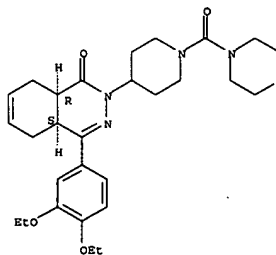
L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-35-4 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-((3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

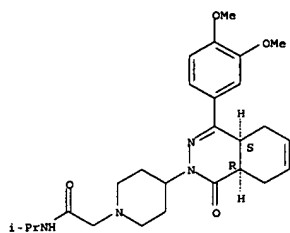
Absolute stereochemistry.



RN 449760-40-1 CAPLUS
 CN 1-Piperidinesacetamide, 4-[[4-[(4aS,8aR)-4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

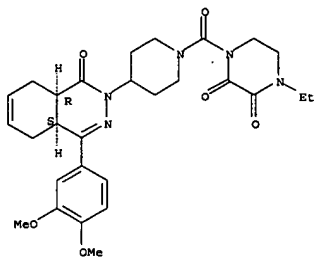
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[[4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

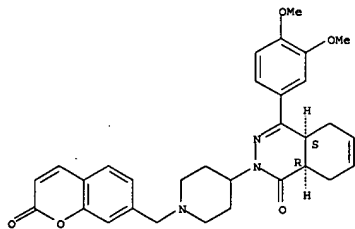


RN 449760-47-8 CAPLUS
 CN Piperidine,
 4-[[4a,5,8a]-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinyl)carbonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

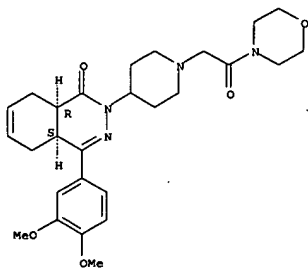
L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) INDEX NAME)

Absolute stereochemistry.



RN 449760-50-3 CAPLUS
 CN Morpholine,
 4-[[4a,5,8a]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinylacetyl- (9CI) (CA INDEX NAME)

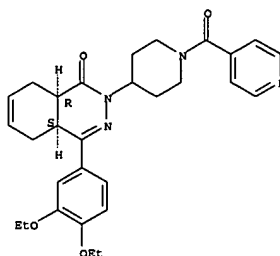
Absolute stereochemistry.



RN 449760-51-4 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-[[4a,5,8a]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-1-oxo-2(1H)-phthalazinyl- (9CI) (CA INDEX NAME)

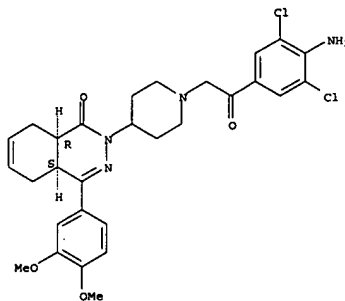
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



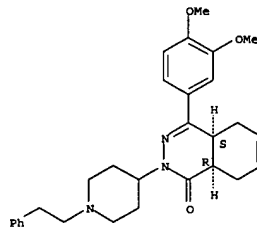
RN 449760-48-9 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-(2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl)-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4a,5,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



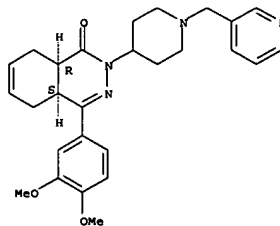
RN 449760-49-0 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-[[4a,5,8a]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4a,5,8aR)- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-52-5 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-[[4a,5,8a]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-1-oxo-2(1H)-phthalazinyl- (9CI) (CA INDEX NAME)

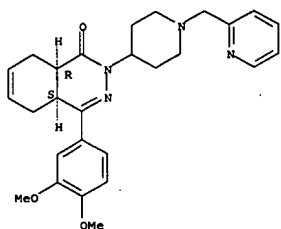
Absolute stereochemistry.



RN 449760-53-6 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-[[4a,5,8a]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-1-oxo-2(1H)-phthalazinyl- (9CI) (CA INDEX NAME)

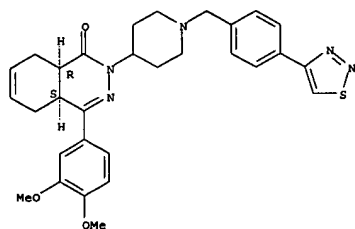
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

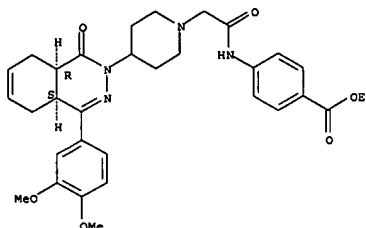
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
 CN Benzoic acid, 4-[[[4-((4aR,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

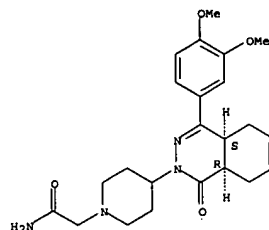
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



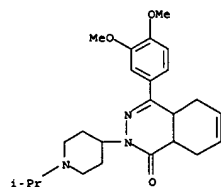
RN 449760-58-1 CAPLUS
 CN 1-Piperidineacetamide, 4-((4aR,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



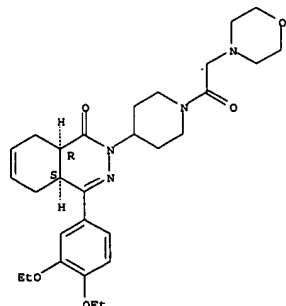
RN 596102-01-1 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 596102-07-7 CAPLUS
 CN Piperidine,
 4-((4aR,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-1-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

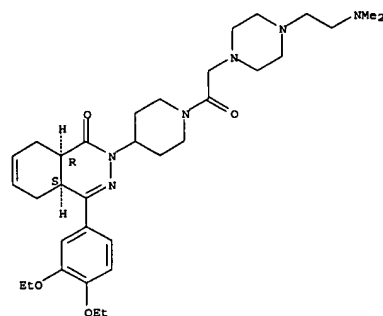
Absolute stereochemistry.



RN 596102-09-9 CAPLUS
 CN Piperidine,
 4-((4aR,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-1-[[4-(2-(dimethylamino)ethyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



own
work

L4 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2004:182870 CAPLUS

DOCUMENT NUMBER: 140:217652

TITLE: Preparation of pyrrolidinedione substituted piperidine-phthalazones as cyclic nucleotide phosphodiesterase-4 (PDE4) inhibitors

INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Christiaens, Johannes A. M.; Menge, Wiro M. P. B.; Sterk, Geert Jan

PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 29 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018457	A1	20040304	WO 2003-EP8675	20030806
WO 2004018457	C1	20040506		
W: AE, AL, AU, BA, BR, CA, CN, CO, CZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RN: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2494613	AA	20040304	CA 2003-2494613	20030806
AU 2003258576	A1	20040311	AU 2003-258576	20030806
EP 1537100	A1	20050608	EP 2003-792257	20030806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013330	A	20050614	BR 2003-13330	20030806
CN 1671695	A	20050921	CN 2003-818520	20030806
JP 2006500370	T2	20060105	JP 2004-530086	20030806
US 2006160813	A1	20060720	US 2005-523432	20051107
PRIORITY APPLN. INFO.:			EP 2002-17577	A 20020810
			WO 2003-EP8675	W 20030806

OTHER SOURCE(S): MARPAT 140:217652

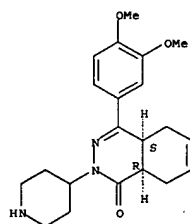
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 1-(4-Piperidinyl)-4a,5,8,8a-tetrahydro-1H-phthalazin-1-one compds. of formula (I) (R1 and R2 are both H or together form an addnl. bond; R3 = a Ph derivative of formulas Q or Q1; R4 = C1-4 alkoxy or C1-4 alkoxy which

is completely or predominantly substituted by fluorine; R5 = C1-4 alkoxy, C3-7 cycloalkoxy, C3-7 cycloalkylmethoxy, C1-4 alkoxy which is completely or predominantly substituted by fluorine; R6 = C1-4 alkoxy or C1-4 alkoxy which is completely or predominantly substituted by fluorine; wherein R7

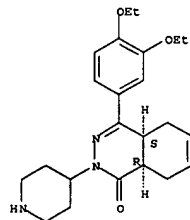
L4 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



● HCl

RN 380227-13-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 666735-57-5 CAPLUS
CN Piperidine, 1-(chloroacetyl)-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
C1-4 alkyl; R8 = H, C1-4 alkyl; or R7 and R8 together and with inclusion of the two carbon atoms, to which they are bonded, form a spiro-linked

5. 6- or 7-membered hydrocarbon ring, optionally interrupted by an oxygen or sulfur atom; R9 = CO(CH2)n-R10; wherein R10 = 2,5-dioxopyrrolidin-1-yl; n = an integer of 1-4 and the salts of these compds. These compds. are useful in the prepn. of pharmaceutical compns. for the treatment of an illness treatable by the administration of a PDE4 inhibitor, in particular

airway disorders. Thus, 1-[2-{4-[(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]piperidin-1-yl]-2-oxoethylpyrrolidine-2,5-dione. Thus, a mixt. of 1 g (4aS,8aR)-2-[1-(2-Chloroethanoyl)piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one, 0.4 g succinimide, 1 g potassium

carbonate in 20 mL DMF was stirred for 18 h at room temp. to give, after workup and silica gel chromatog. and crysln. from EtOAc, 1-[2-{4-[(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]piperidin-1-yl]-2-oxoethylpyrrolidine-2,5-dione (II).

II showed -logIC50(mol/L) of 10.66 against PDE4.

IT 380226-97-1P, (4aS,8aR)-4-(3,4-Dimethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride 380227-13-4P, (4aS,8aR)-4-(3,4-Dimethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride 666735-57-5P 666735-60-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

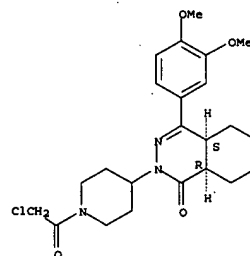
(Intermediate; preparation of pyrrolidinedione substituted piperidine-phthalazones as cyclic nucleotide phosphodiesterase-4 (PDE4)

inhibitors for treating airway diseases)

RN 380226-97-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

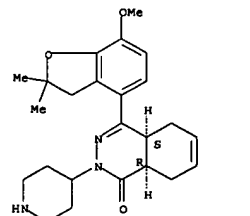
Absolute stereochemistry.

L4 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



RN 666735-60-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

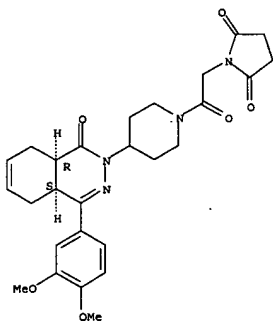


● HCl

IT 666735-56-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinedione substituted piperidine-phthalazones as cyclic nucleotide phosphodiesterase-4 (PDE4) inhibitors for treating

L4 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 airway diseases)
 RN 666735-56-4 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(2,5-dioxo-1-pyrrolidinyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

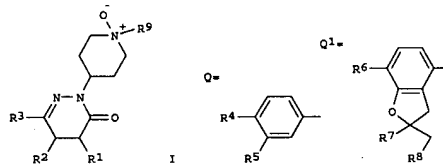


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:182863 CAPLUS
 DOCUMENT NUMBER: 140:235730
 TITLE: Preparation of piperidine-N-oxide derivatives as phosphodiesterase 4 inhibitors
 Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Brundel, Paulus Johannes Geuricus; Christiaens, Johannes A. M.; Menge, Wiro M. P. B.; Sterk, Geert Jan
 Alcanas Pharma A.-G., Germany
 PCT Int. Appl., 45 pp.
 PATENT ASSIGNEE(S):
 SOURCE: CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018450	A1	20040304	WO 2003-EP8676	20030806
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2494643	AA	20040304	CA 2003-2494643	20030806
AU 2003260371	A1	20040311	AU 2003-260371	20030806
EP 1542987	A1	20050622	EP 2003-792258	20030806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538137	T2	20051215	JP 2004-530087	20030806
US 2006166995	A1	20060727	US 2005-523110	20050203
PRIORITY APPLN. INFO:			EP 2002-17978	A 20020810
			WO 2003-EP8676	W 20030806

OTHER SOURCE(S): MARPAT 140:235730
 GI



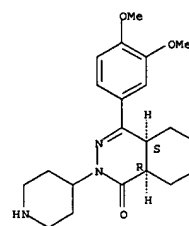
L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 AB The 1,2-dihydro-2-(1-oxido-4-yl)phthalazin-2-one deriva. [I; R1, R2 = H, C1-4 alkyl; or R1 and R2 together and with inclusion of the two carbon atoms, to which they are bonded, form a group selected from cyclohexane-1,2-diyl or 4-cyclohexene-1,2-diyl; R3 = a Ph derivative of formulas Q or Q1; R4 = C1-4 alkoxy or C1-4 alkoxy which is completely or predominantly substituted by fluorine; R5 = C1-8 alkoxy, C3-7 cycloalkoxy, C3-7 cycloalkylmethoxy, C1-4 alkoxy which is completely or predominantly substituted by fluorine; R6 = C1-4 alkoxy, C3-5 cycloalkoxy, C3-5 cycloalkylmethoxy, C1-4 alkoxy which is completely or predominantly substituted by fluorine; R7 = C1-4 alkyl; R8 = H, C1-4 alkyl; or wherein R7 and R8 together and with inclusion of the two carbon atoms, to which they are bonded, form a spiro-linked 5-, 6- or 7-membered hydrocarbon ring, optionally interrupted by an oxygen or sulfur atom; R9 = (CH2)msO2R10, (CH2)ncOR11, -(CH2)p-Z-(CH2)q-R14; wherein R10, R11 = N(R12)R13; R12, R13 = H, C1-7 alkyl, C3-7 cycloalkyl, C3-7 cycloalkylmethyl; or NR12R13 together forms a 4-morpholinyl-, 1-pyrrolidinyl-, 1-piperidinyl- or a 1-hexahydroazepinyl ring; Z = a bond, O, CO, CONH, NHCO, SO2; R14 = H, OH, C1-4 alkoxy, hydroxy-C2-4 alkoxy, C1-4 alkoxy-C1-4 alkoxy, C1-4 alkoxy-carbonyl, (un)substituted aminocarbonyl, etc.; m, n, p, q = an integer from 1 to 4) and the salts of these compds. are prepared. These compds. are novel effective PDE4 inhibitors and useful for treating an illness treatable by the administration of a PDE4 inhibitor in a patient, in particular airway disorders. Thus, a solution of 1.2 g 2-[4-[(4aS,8aR)-4-(3,4-

Dimethoxyphenyl]-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]piperidin-1-yl-2H-acetamide hydrochloride in 100 mL CH2Cl2 was washed with aqueous saturated NaHCO3 solution, dried over anhydrous MgSO4, cooled to 0°, treated with 0.6 g 3-chlorobenzoic acid (70% purity), and stirred for 60 min to give, after workup and silica gel chromatog. and crystallization from EtOAc, 2-[4-[(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]-1-oxypiperidin-1-yl]acetamide (II). II and 2-[4-[(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]-1-oxypiperidin-1-yl]-N-isopropylacetamide showed -logIC50 (mol/L) of 8.31 and 9.3, resp., against PDE4.
 IT 380226-97-1P 380227-13-4P, (4aS,8aR)-4-(3,4-Dimethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride 449760-40-1P 449760-44-5P 666735-60-0P 666748-55-6P 666748-56-7P,

(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-2-piperidin-4-yl-4a,5,6,7,8,8a-hexahydro-2H-phthalazin-1-one hydrochloride
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of piperidine N-oxide deriva. as phosphodiesterase 4 (PDE4) inhibitors for treating airway disorders)
 RN 380226-97-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

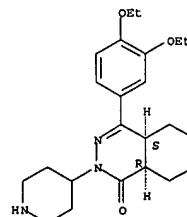
L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-13-4 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

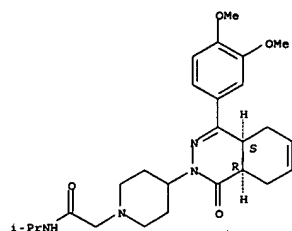


● HCl

RN 449760-40-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

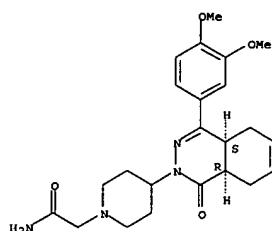
Absolute stereochemistry.

L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-44-5 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

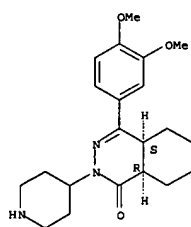


● HCl

RN 666735-60-0 CAPLUS
 CN 1-(2H)-Phthalazinone, 4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN 1-(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

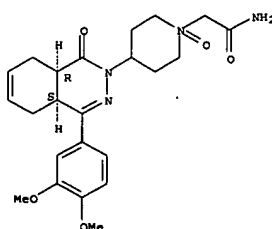
Absolute stereochemistry.



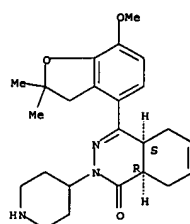
● HCl

IT 666854-35-9P 666854-37-1P 666854-40-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperidine N-oxide derive. as phosphodiesterase 4 (PDE4) inhibitors for treating airway disorders)
 RN 666854-35-9 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



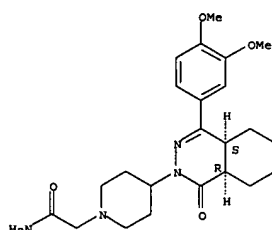
Habte

L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Relative stereochemistry.

● HCl

RN 666748-55-6 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



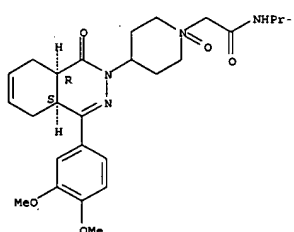
● HCl

RN 666748-56-7 CAPLUS

L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

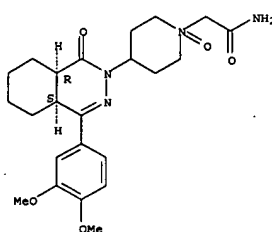
RN 666854-37-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 666854-40-6 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

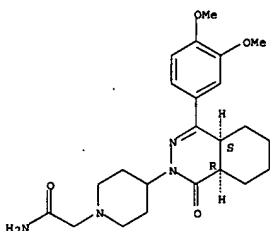


IT 666748-54-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation of piperidine N-oxide derive. as phosphodiesterase 4 (PDE4) inhibitors for treating airway disorders)
 RN 666748-54-5 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

10/18/2006

L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:182862 CAPLUS

DOCUMENT NUMBER: 140:217665

TITLE: Preparation of piperidinylphthalazinone derivatives as

PDE4 inhibitors

INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Christiaens, Johannes A. M.; Menge, Wiro M. P. B.; Sterk, Geert Jan; Weinbrenner, Steffen
Altana Pharma A.-G., Germany

PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018449	A1	20040304	WO 2003-EP8673	20030806
WO 2004018449	C1	20040506		
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RM: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2003255376	A1	20040311	AU 2003-255376	20030806
PRIORITY APPLN. INFO.:			EP 2002-17979	A 20020810
			WO 2003-EP8673	W 20030806

OTHER SOURCE(S): MARPAT 140:217665

G1

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I [R1, R2 = H or together form an addnl. bond; R3 = benzene derivative Q1 or Q2; R4 = (substituted)arylsulfonyl; R5 = alkoxy or polyfluoroalkoxy; R6, R7 = (cyclo)alkoxy, cycloalkylmethoxy, or polyfluoroalkoxy; R8 = alkyl; R9 = H or alkyl; or R7 and R8 together with the 2 intervening C atoms form a spiro-linked 5-, 6- or 7-membered hydrocarbon ring, optionally interrupted by O or S] were prepared as PDE4 inhibitors. Thus, reaction of (4aS,8aR)-4-(3,4-dimethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (preparation given) with naphthalene-1-sulfonyl chloride gave compound II.

IT The prepared compds. inhibited PDE4 with -log(IC50) ≥ 8.8.

666737-07-1P 666737-09-3P 666737-10-6P
666737-11-7P 666737-12-8P 666737-13-9P
666737-14-0P 666737-15-1P 666737-16-2P

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

666737-17-3P 666737-18-4P 666737-19-5P

666737-20-8P 666737-21-9P 666737-22-0P

666737-23-1P

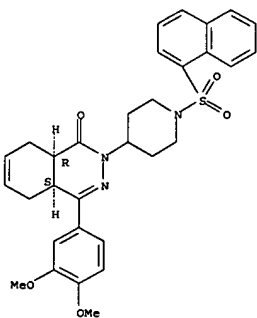
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperidinylphthalazinone derivs. as PDE4 inhibitors)

RN 666737-07-1 CAPLUS

CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

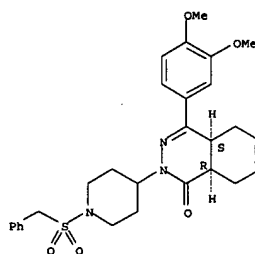


RN 666737-09-3 CAPLUS

CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(phenylmethylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

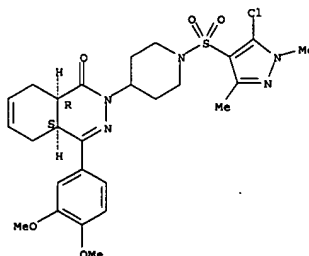
L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666737-10-6 CAPLUS

CN Piperidine, 1-[(5-chloro-1,3-dimethyl-1H-pyrazol-4-yl)sulfonyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

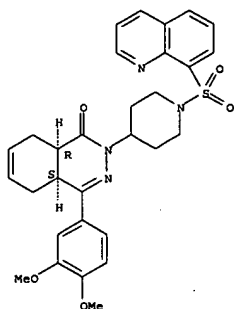


RN 666737-11-7 CAPLUS

CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(8-quinolinylsulfonyl)- (9CI) (CA INDEX NAME)

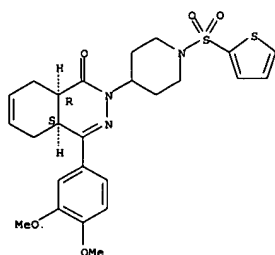
Absolute stereochemistry.

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666737-12-8 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)

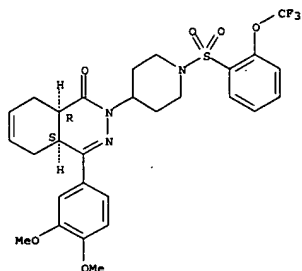
Absolute stereochemistry.



RN 666737-13-9 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(3,5-dimethyl-4-isoxazolyl)sulfonyl]- (9CI) (CA INDEX NAME)

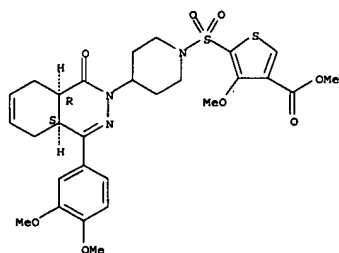
L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 666737-16-2 CAPLUS
 CN 3-Thiophenecarboxylic acid, 5-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl)sulfonyl]-4-methoxy-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

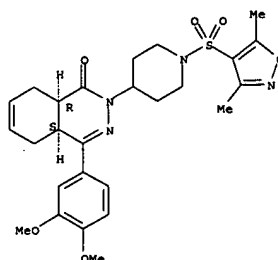


RN 666737-17-3 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-(phenylsulfonyl)-2-thienyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

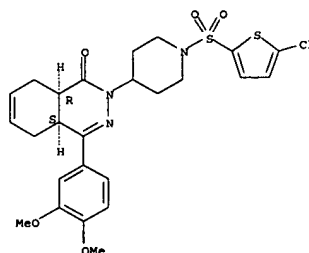
L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



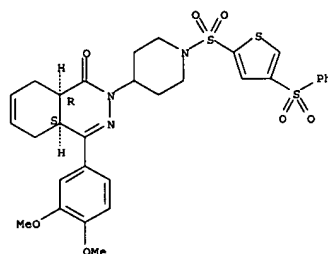
RN 666737-14-0 CAPLUS
 CN Piperidine, 1-[(5-chloro-2-thienyl)sulfonyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



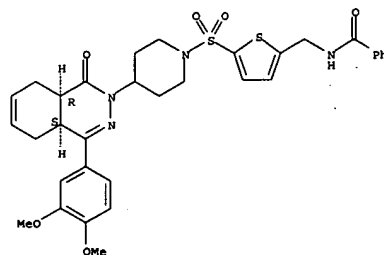
RN 666737-15-1 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[2-(trifluoromethoxy)phenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666737-18-4 CAPLUS
 CN Benzamide, N-[[5-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl)sulfonyl]-2-thienyl)methyl]- (9CI) (CA INDEX NAME)

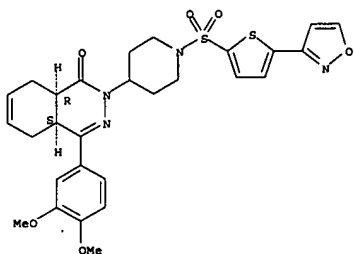
Absolute stereochemistry.



RN 666737-19-5 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(3-isoxazolyl)-2-thienyl)sulfonyl]- (9CI) (CA INDEX NAME)

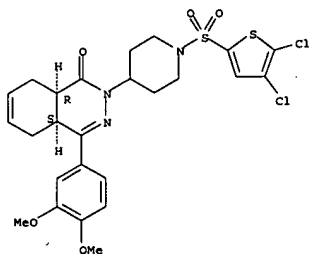
Absolute stereochemistry.

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666737-20-8 CAPLUS
 CN Piperidine, 1-[(4,5-dichloro-2-thienyl)sulfonyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI)
 (CA INDEX NAME)

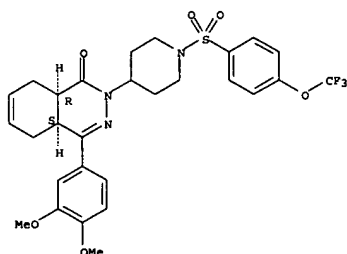
Absolute stereochemistry.



RN 666737-21-9 CAPLUS
 CN Piperidine,
 1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

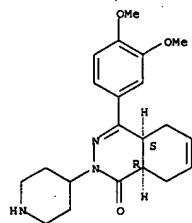
Absolute stereochemistry.

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 380226-97-1P 380227-13-4P 666735-60-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of piperidinylphthalazinone derivs. as PDE4 inhibitors)
 RN 380226-97-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



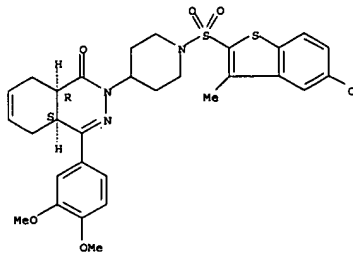
● HCl

RN 380227-13-4 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

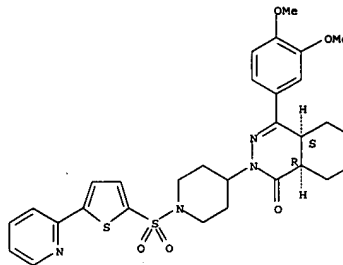
Habte

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666737-22-0 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(2-pyridinyl)-2-thienyl)sulfonyl]- (9CI)
 (CA INDEX NAME)

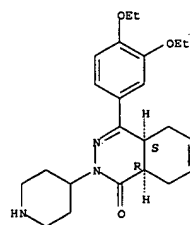
Absolute stereochemistry.



RN 666737-23-1 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-(trifluoromethoxy)phenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

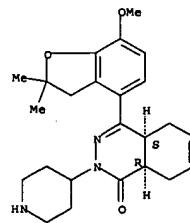
L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 666735-60-0 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuran-1-yl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

REFERENCE COUNT:
 THIS
 FORMAT

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR
 RECORD. ALL CITATIONS AVAILABLE IN THE RE

10/18/2006

L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 ACCESSION NUMBER: 2004:182711 CAPLUS
 DOCUMENT NUMBER: 140:215729
 TITLE: Preparation of piperidine-substituted pyridazones and phthalazones as PDE4 inhibitors
 INVENTOR(S): Sterk, Geert Jan; Hatzelmann, Armin; Marx, Degenhard; Kley, Hans-Peter; Menge, Wiro M. P. B.
 PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
 SOURCE: PCT Int. Appl., 65 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004/017974	A1	2004/03/04	WO 2003-EP8724	2003/08/06
W: AE, AL, AU, BA, BR, CA, CN, CO, DE, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RM: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2494634	AA	2004/03/04	CA 2003-2494634	2003/08/06
AU 2003260376	A1	2004/03/11	AU 2003-260376	2003/08/06
EP 1556049	A1	2005/07/27	EP 2003-792267	2003/08/06
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538140	T2	2005/12/15	JP 2004-530096	2003/08/06
US 2006094710	A1	2006/05/04	US 2005-523111	2005/10/03
PRIORITY APPLN. INFO.:			EP 2002-17977	A 2002/08/10
			WO 2003-EP8724	W 2003/08/06

OTHER SOURCE(S): MARPAT 140:235729
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1-2 = H, alkyl, etc.]; R3 = substituted Ph, etc.; R9 = naphthyl, pyrazinyl, pyridazinyl, etc.] are prepared For instance, (4aS,8aR)-4-[(3,4-Dimethoxyphenyl)-2-piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (preparation given) is reacted with methanesulfonylacetic acid (CH₂Cl₂, Et₃N) to give II. Compds. of the invention have pIC₅₀ ≥ 9 for the PDE4 receptor. I are useful for the treatment of airway disorders.

IT 666851-01-OP, (4AS,8aR)-2-[1-[3-[(2-aminoethanesulfonyl)propionyl]piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

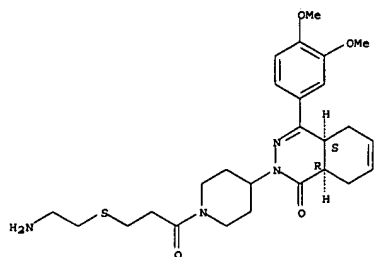
L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 one hydrochloride 666851-15-6P, (4AS,8aR)-4-(3,4-

Dimethoxyphenyl)-2-[1-[2-(methanesulfonyl)ethyl]piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride 666851-17-8P.

(4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-[2-(2-hydroxyethoxy)ethyl]piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one 666851-37-2P, (4AS,8aR)-2-[1-[2-(2-Aminoethoxy)ethyl]piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of piperidine-substituted pyridazones and phthalazones as PDE4 inhibitors)

RN 380227-17-8 CAPLUS
 CN Piperidine, 1-[3-[(2-aminoethyl)thio]-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

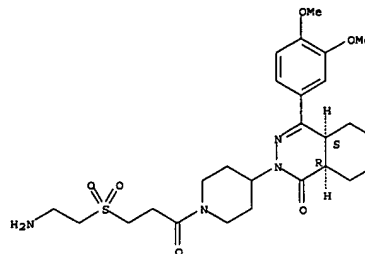


RN 666850-88-0 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[3-(methylsulfonyl)-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of piperidine-substituted pyridazones and phthalazones as PDE4 inhibitors)
 RN 666851-01-0 CAPLUS
 CN Piperidine,
 1-[3-[(2-aminoethyl)sulfonyl]-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

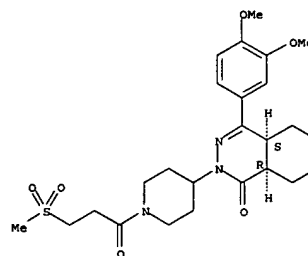


IT 380227-17-8P, (4AS,8aR)-2-[1-[3-[(2-Aminoethyl)sulfonyl]propionyl]

piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one 666850-88-OP, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-[2-(methanesulfonyl)ethane]carbonyl]piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one 666850-90-4P, (4AS,8aR)-2-[1-[2-(Benzofuran-2-yl)-2-oxoethyl]piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one 666850-93-7P, (4AS,8aR)-2-[1-[4-(Benzimidazol-1-yl)benzyl]piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride 666850-96-OP 666850-99-3P 666851-03-2P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-[2-[(2-oxo-1,2-dihydroquinolin-6-yl)oxy]ethanoyl]piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one 666851-05-4P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-[4-[(2-oxo-

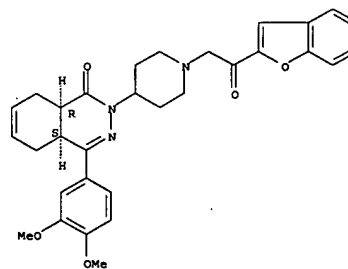
1,2-dihydroquinolin-6-yl)oxy]butanoyl]piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one 666851-07-6P, (4AS,8aR)-2-[1-[2-(2-Aminoethoxy)ethyl]piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one dihydrochloride 666851-10-1P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-(2-methoxyethyl)piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride 666851-12-3P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-(2-methylsulfonylethyl)piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-

L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666850-90-4 CAPLUS
 CN 1(2H)-Phthalazinone,
 2-[1-[2-(2-benzofuran-2-yl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)- (9CI) (CA INDEX NAME)

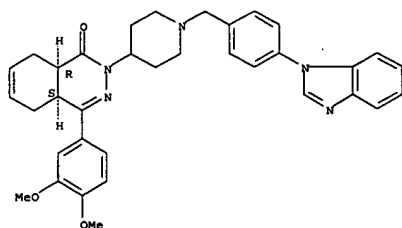
Absolute stereochemistry.



RN 666850-93-7 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-[4-[(1H-benzimidazol-1-yl)phenyl]methyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

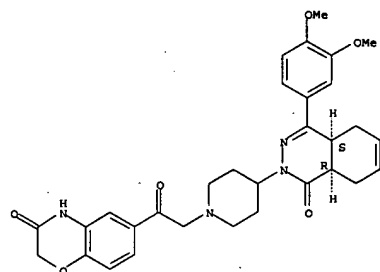
L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 666850-96-0 CAPLUS
 CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

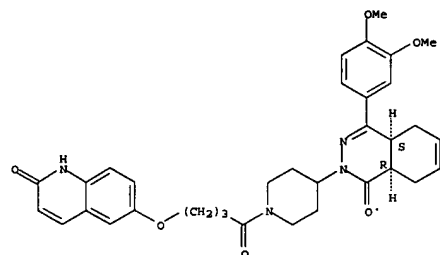
Absolute stereochemistry.



RN 666850-99-3 CAPLUS
 CN 4-Morpholinecarboxamide, N-[2-[[3-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-3-oxopropyl]sulfonyl]ethyl]- (9CI) (CA INDEX NAME)

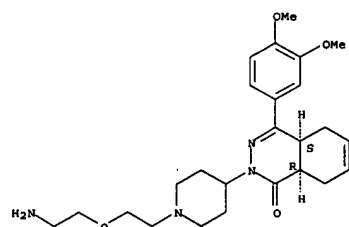
L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 666851-07-6 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-[2-(2-aminoethoxy)ethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[2-methoxyethyl]-4-piperidinyl]-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



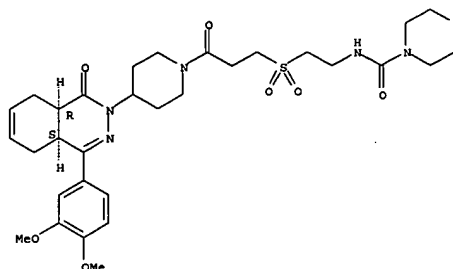
● 2 HCl

RN 666851-10-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[2-methoxyethyl]-4-piperidinyl]-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Habte

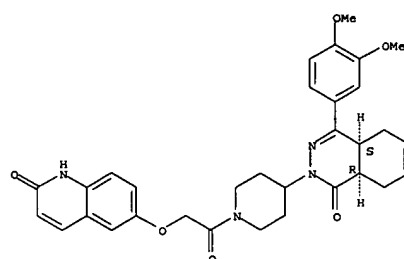
L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 666851-03-2 CAPLUS
 CN Piperidine, 1-[[[(1,2-dihydro-2-oxo-6-quinolinyl)oxy]acetyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

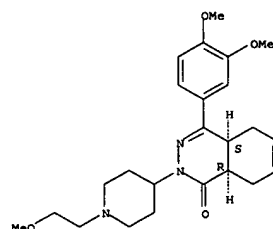
Absolute stereochemistry.



RN 666851-05-4 CAPLUS
 CN Piperidine, 1-[[[(1,2-dihydro-2-oxo-6-quinolinyl)oxy]-1-oxobutyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

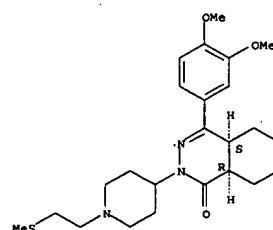
Absolute stereochemistry.



● HCl

RN 666851-12-3 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[2-(methylthio)ethyl]-4-piperidinyl]-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

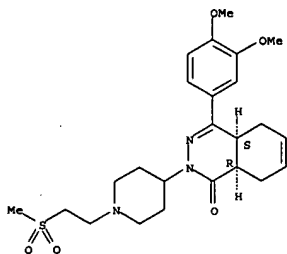


● HCl

RN 666851-15-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[2-(methylthio)ethyl]-4-piperidinyl]-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

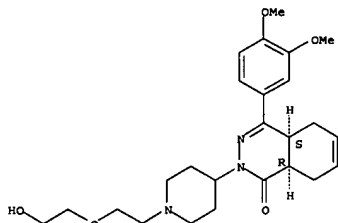
Absolute stereochemistry.



● HCl

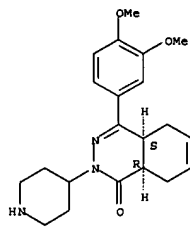
RN 666851-17-8 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[2-(2-hydroxyethoxy)ethyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 666851-37-2 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-[2-(2-aminoethoxy)ethyl]-4-piperidinyl]-4-(3,4-

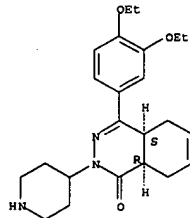
L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-13-4 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

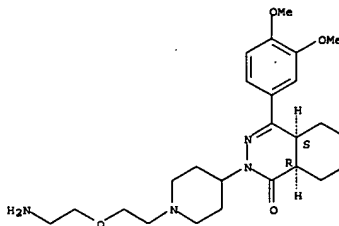
RN 666735-60-0 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-
 4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride,
 (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Habte

L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 380226-97-1P, (4aS,8aR)-4-(3,4-Dimethoxyphenyl)-2-(piperidin-4-yl)-
 4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride
 380227-13-4P, (4AS,8aR)-4-(3,4-Diethoxyphenyl)-2-piperidin-4-yl-
 4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride
 666735-60-0P

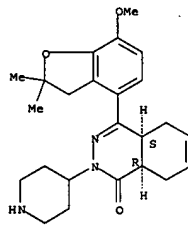
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of piperidine-substituted pyridazines and phthalazines as PDE4

inhibitors)

RN 380226-97-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

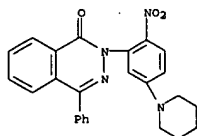
REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 16 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:74759 CAPLUS
 DOCUMENT NUMBER: 140:303617
 TITLE: Synthesis of benzo[4,5]imidazo[2,1-a]phthalazines
 AUTHOR(S): Shubin, Kirill M.; Kuznetsov, Viktor A.; Galishev,
 Vladimir A.
 CORPORATE SOURCE: Saint-Petersburg State Institute of Technology
 (Technical University), Saint-Petersburg, 190013,
 Russia
 SOURCE: Tetrahedron Letters (2004), 45(7), 1407-1408
 CODEN: TETLEY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 140:303617
 AB 5,9-Disubstituted benzo[4,5]imidazo[2,1-a]phthalazines are synthesized
 efficiently from acylbenzoic acids and 2-nitro-5-chlorophenylhydrazine.
 Nucleophilic substitution in phthalazinones gave a variety of the title
 compds. after reduction and cyclization.
 IT 296771-74-9P 676562-72-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of benzo[4,5]imidazo[2,1-a]phthalazines starting from
 acylbenzoic acids and 2-nitro-5-chlorophenylhydrazine)
 RN 296771-74-9 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[2-nitro-5-(1-piperidinyl)phenyl]-4-phenyl- (9CI)
 (CA INDEX NAME)



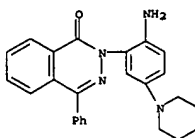
RN 676562-72-4 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[2-amino-5-(1-piperidinyl)phenyl]-4-phenyl- (9CI)
 (CA INDEX NAME)

L4 ANSWER 17 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:82953 CAPLUS
 DOCUMENT NUMBER: 139:317471
 TITLE: Aryl and heteroaryl poly(ADP-ribose) polymerase
 (PARP)
 INVENTOR(S): Zhang,
 Jie
 PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
 SOURCE: U.S., 37 pp., Cont.-in-part of U.S. Ser. No. 79,512,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 17
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6635642	B1	20031021	US 1998-145176	19980901
US 6346536	B1	20020212	US 1997-922548	19970903
CA 2294074	AA	19990311	CA 1998-2294074	19980902
WO 9911649	A2	19990311	WO 1998-US18185	19980902
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9893748	A1	19990322	AU 1998-93748	19980902
EP 1012153	A1	20000628	EP 1998-946812	19980902
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
PRIORITY APPLN. INFO.:			US 1997-922520	B2 19970903
			US 1997-922548	A2 19970903
			US 1998-79512	B2 19980515
			US 1998-145176	A 19980901
			WO 1998-US18185	W 19980902

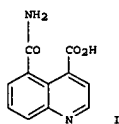
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L4 ANSWER 16 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



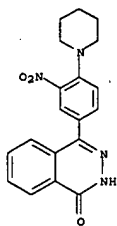
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 17 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The invention discloses PARP inhibitors, pharmaceutical compns.
 comprising them, and methods of using them to treat tissue damage resulting from
 cell
 damage or death due to necrosis or apoptosis, effect neuronal activities
 not mediated by NMDA toxicity; to treat neural tissue damage resulting
 from ischemia and reperfusion injury, neurol. disorders and
 neurodegenerative diseases; to prevent or treat vascular stroke; to treat
 or prevent cardiovascular disorders; to treat other conditions and/or
 disorders such as age-related macular degeneration, AIDS and other immune
 senescence diseases, arthritis, atherosclerosis, cachexia, cancer,
 degenerative diseases of skeletal muscle involving replicative
 senescence,
 diabetes, head trauma, immune senescence, inflammatory bowel disorders
 (such as colitis and Crohn's disease), muscular dystrophy,
 osteoarthritis,
 osteoporosis, chronic and/or acute pain (such as neuropathic pain), renal
 failure, retinal ischemia, septic shock (such as endotoxic shock), organ
 damage due to transplantation, and skin aging; to extend the lifespan and
 proliferative capacity of cells; to alter gene expression of senescent
 cells; or to radiosensitize hypoxic tumor cells. Preparation of e.g.
 carboxamide PARP inhibitor I is described. The neuroprotective effect of
 3,4-dihydro-5-[4-(1-piperidinyl)butoxy]-1(2H)-isoquinolinone is
 presented.
 Effects of compds. of the invention on e.g. heart ischemia/reperfusion
 injury are also described.
 IT 218144-45-7
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (aryl and heteroaryl PARP inhibitors, preparation, pharmaceutical
 compns., and therapeutic use)
 RN 218144-45-7 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(1-piperidinyl)phenyl]- (9CI) (CA
 INDEX NAME)

L4 ANSWER 17 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT:

528 THERE ARE 528 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:719308 CAPLUS

DOCUMENT NUMBER: 139:240373

TITLE: Pharmaceutical composition of a phosphodiesterase 4 (PDE4) inhibitor or a PDE3/4 inhibitor and a

histamine

receptor antagonist for the treatment of respiratory diseases

INVENTOR(S): Beume, Rolf; Bundschuh, Daniela; Weimar, Christian;

PATENT ASSIGNEE(S): Wollin, Stefan-lutz

SOURCE: Altana Pharma Ag, Germany

PCT Int. Appl., 87 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074055	A1	20030912	WO 2003-EP1876	20030225
W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RM: AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
CA 2478612	AA	20030912	CA 2003-2478612	20030225
AU 2003212268	A1	20030916	AU 2003-212268	20030225
EP 1482938	A1	20041208	EP 2003-708130	20030225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008220	A	20050104	BR 2003-8220	20030225
US 2005122069	A1	20050526	US 2003-506875	20030225
JP 2005524666	T2	20050818	JP 2003-572572	20030225
NZ 535611	A	20060331	NZ 2003-535611	20030225
NO 2004004230	A	20041206	NO 2004-4230	20041006
PRIORITY APPLN. INFO.:			EP 2002-4987	A 20020306
			WO 2003-EP1876	W 20030225

AB The invention discloses the combined administration of PDE4 or PDE3/4 inhibitors and histamine receptor antagonists for the treatment of respiratory diseases.

IT 449760-14-9 449760-15-0 449760-16-1
449760-17-2 449760-19-4 449760-20-7
449760-22-9 449760-23-0 449760-24-1
449760-25-2 449760-26-3 449760-28-5
449760-29-6 449760-30-9 449760-35-4
449760-40-1 449760-42-3 449760-47-8
449760-48-9 449760-49-0 449760-50-3
449760-51-4 449760-52-5 449760-53-6
449760-56-9 449760-57-0 449760-58-1
596102-01-1 596102-07-7 596102-09-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

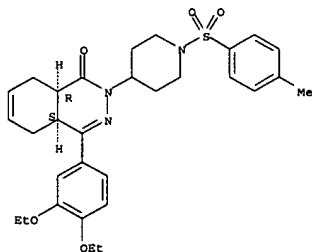
L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(phosphodiesterase 4 (PDE4) inhibitor or PDE3/4 inhibitor combination with histamine receptor antagonist for treatment of respiratory disease)

RN 449760-14-9 CAPLUS

CN Piperidine,

4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

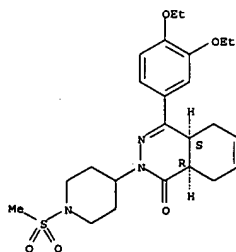


RN 449760-15-0 CAPLUS

CN Piperidine,

4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



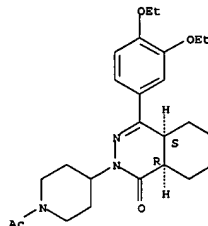
RN 449760-16-1 CAPLUS

CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-

Habte

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

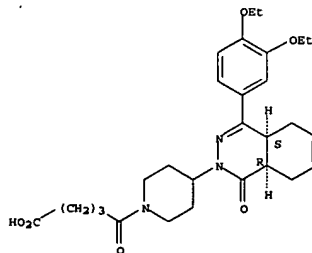


RN 449760-17-2 CAPLUS

CN 1-Piperidinepentanoic acid,

4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-8-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

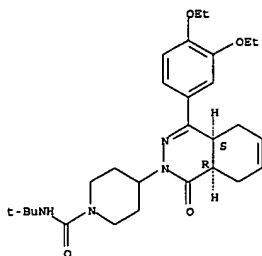


RN 449760-19-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

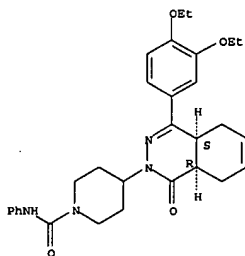
Absolute stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aR,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-22-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aR,8aR)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

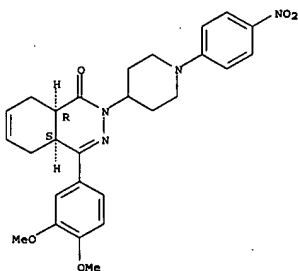
Relative stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

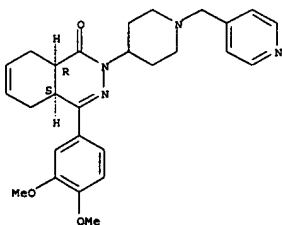
RN 449760-24-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aR,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



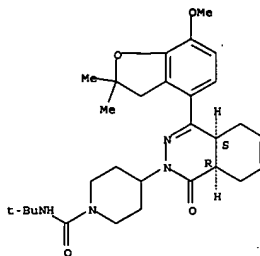
RN 449760-25-2 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aR,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Habt

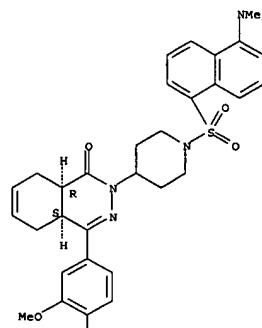
L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-23-0 CAPLUS
 CN Piperidine, 4-[(4aR,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

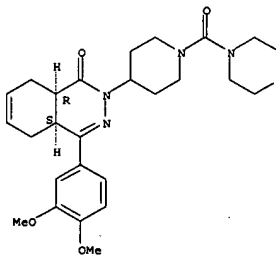
PAGE 1-A



L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 449760-26-3 CAPLUS
 CN Morpholine, 4-[[4-[(4aR,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

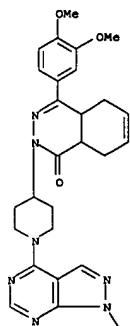
Absolute stereochemistry.



RN 449760-28-5 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



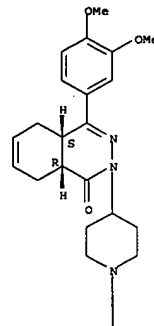
PAGE 2-A

RN 449760-29-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

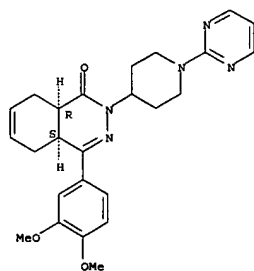


PAGE 2-A

RN 449760-30-9 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-(2-pyrimidinyl)-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

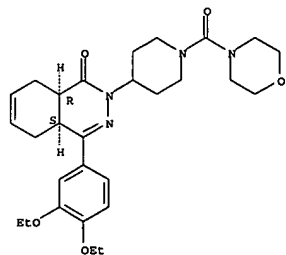
Absolute stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
 CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

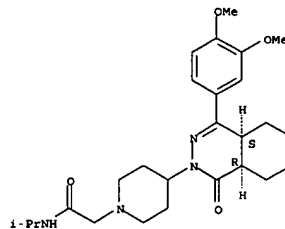
Absolute stereochemistry.



RN 449760-40-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

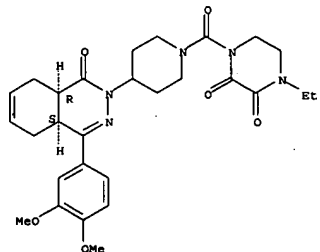
Absolute stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl-, (9CI) (CA INDEX NAME)

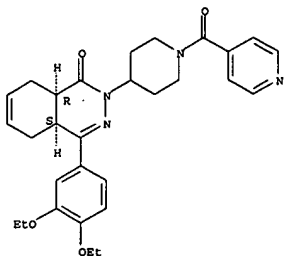
Absolute stereochemistry.



RN 449760-47-8 CAPLUS
 CN Piperidine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinyl)carbonyl]-, (9CI) (CA INDEX NAME)

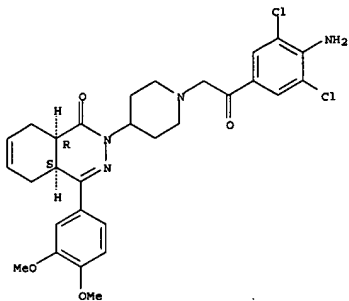
Absolute stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-48-9 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)-(9CI) (CA INDEX NAME)

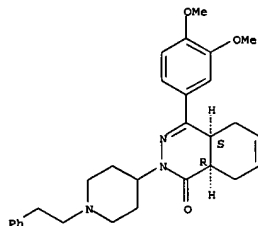
Absolute stereochemistry.



RN 449760-49-0 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-2-[1-(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl-, (4aS,8aR)-(9CI) (CA INDEX NAME)

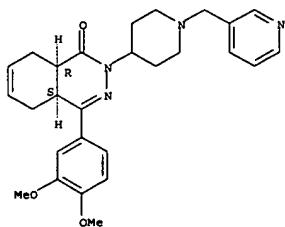
L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-52-5 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

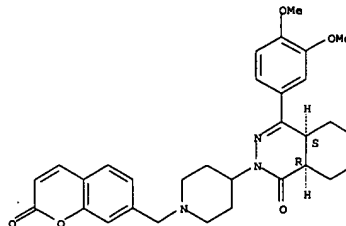


RN 449760-53-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

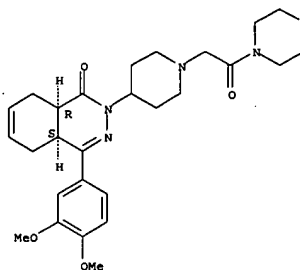
L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-50-3 CAPLUS
 CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-, (9CI) (CA INDEX NAME)

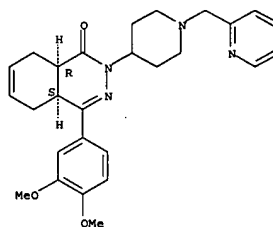
Absolute stereochemistry.



RN 449760-51-4 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

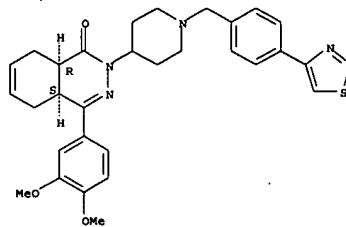
L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-56-9 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

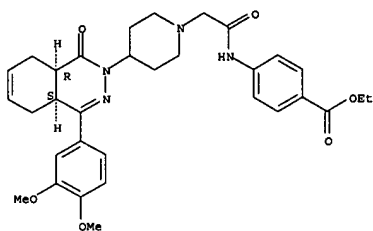
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
 CN Benzoic acid, 4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

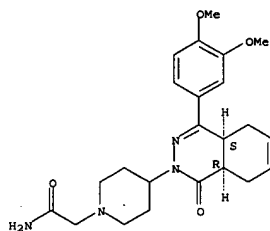
Absolute stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



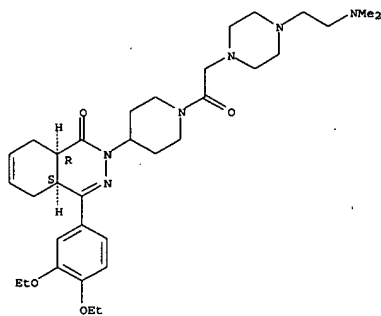
RN 449760-58-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



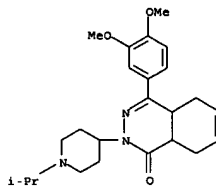
RN 596102-01-1 CAPLUS
 CN 1-(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



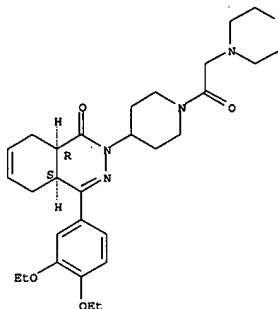
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 596102-07-7 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596102-09-9 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

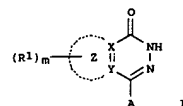
Absolute stereochemistry.

L4 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:678790 CAPLUS
 DOCUMENT NUMBER: 139:214477
 TITLE: Preparation of fused pyridazine derivatives as poly(ADP-ribose)polymerase inhibitors
 INVENTOR(S): Seko, Takuya; Takeuchi, Jun; Takahashi, Shinya; Kamanaka, Yoshihisa; Kamoshima, Wataru
 PATENT ASSIGNER(S): Ono Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 368 pp.
 CODEN: P1XXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070707	A1	20030828	WO 2003-JP1694	20030218
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MM, ME, SD, SE, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2476406	AA	20030828	CA 2003-2476406	20030218
AU 2003211381	A1	20030909	AU 2003-211381	20030218
EP 1477175	A1	20041117	EP 2003-705265	20030218
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BR 2003007780	A	20041228	BR 2003-7780	20030218
US 2005085476	A1	20050421	US 2003-505012	20030218
CN 1646499	A	20050727	CN 2003-808459	20030218
ZA 2004006507	A	20050221	ZA 2004-6507	20040816
NO 2004003429	A	20041119	NO 2004-3429	20040817
PRIORITY APPLN. INFO.:			JP 2002-42259	A 20020219
			JP 2002-199673	A 20020709
			WO 2003-JP1694	W 20030218

OTHER SOURCE(S): MARPAT 139:214477
 GI



AB The title compds. (I) and pharmaceutically acceptable salts thereof [R1 =

L4 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
H, C1-8 alkyl, C1-8 alkoxy, HO, halo, NO₂, each optionally N-mono- or dialkylated NH₂ or amino-C2-8 acyl, C2-8 acyl, phenyl-C1-8 alkoxy, X, Y = C, CH, N; a solid line accompanied by a dotted line is a single or double bond; the ring 2 contg. X and Y = each partially or completely satd.

C3-10 monocyclic carbocyclic aryl or 3- to 10-membered monocyclic heterocyclic aryl contg. 1-4 heteroatoms selected from O, N, and S; A = O, Q1, Q2, Q3, etc.; wherein D1 = each N-(un)substituted NHCO, NHC(S), NHSO₂, CH₂NH, CH₂NHCO, NHCO₂, NH, NHCO₂, NHC(S)NH, NH, or NHC(S)NH, CH₂O, OC(O); D2 = C1-8 alkylene, C2-8 alkenylene, Cyc2, -(C1-4 alkylene)-O-(C1-4 alkylene)-, -(C1-4 alkylene)-S-(C1-4 alkylene)-, -(C1-4 alkylene)-NH-(C1-4 alkylene)-, etc.; D3 = H, Cyc3, each (un)substituted NH₂, CONH₂, C(=CH)NH₂, or NHC(S)NH₂, OH, alkoxy, CO₂H, alkoxy-carbonyl, cyano, halo; G1 = C1-8 alkylene; G2 = H, C1-8 alkyl, C1-8 alkoxy, C2-8 acyl, Cyc6, NO₂, Cyc6-C1-8

alkoxy-carbonyl, -CO-Cyc6, etc.; R5 = H, C1-8 alkyl, C1-8 alkoxy, HO, NO₂, each N-(un)substituted NH₂ or amino-C1-8 alkyl, NHSO₂OH, amidino, etc.; Cyc1, Cyc2, Cyc3, Cyc5, Cyc6 = groups each partially or completely satd. and monocyclic or bicyclic C3-10 carbocyclic aryl or 3- to 10-membered heterocyclic aryl contg. 1-4 heteroatoms selected from O, N, and S are prepd. Because of inhibiting poly(ADP-ribose)polymerase, the compds. I are useful as preventives and/or remedies for various ischemic diseases (in brain, cord, heart, digestive tract, skeletal muscle, retina, etc.), inflammatory diseases (inflammatory bowel disease, multiple cerebroclerosis, arthritis, etc.), neurodegenerative diseases (extrapyramidal disorder, Alzheimer's disease, muscular dystrophy, lumbar spinal canal stenosis, etc.), cataract, diabetes, diabetes complications, shock, head trauma, spinal cord injury, renal failure, and hyperalgesia. Moreover, these compds. are useful as agents against retroviruses (HIV, etc.) and sensitizers in treating cancer and immunosuppressants. Thus, a soln. of 3-bis(trimethylsilyl)aminophenylmagnesium chloride in THF (1

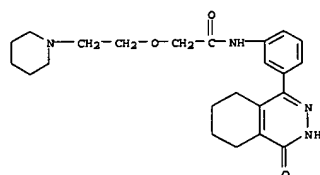
M, 20.0 mL) was added to a soln. of 3.04 g 3,4,5,6-tetrahydrophthalic anhydride in 40.0 mL THF at -78°, stirred for 1.5 h, treated with satd. aq. NH₄Cl soln., stirred at room temp. for 30 min to give, after workup,

3-(3-aminophenyl)-3-hydroxy-4,5,6,7-tetrahydro-2-benzofuran-1(3H)-one (II) as an oil. SOCl₂ (5.20 mL) was added dropwise to 20.0 mL MeOH at -10°, stirred at 0° for 15 min, treated with II, stirred at room temp. for 18 h, concd., dissolved in 20 mL CH₂Cl₂, treated with

Et₃N, treated with H₂O, and extd. with CH₂Cl₂ to give, after workup and silica gel chromatog., 3-(3-aminophenyl)-3-methoxy-4,5,6,7-tetrahydro-2-benzofuran-1(3H)-one (III). A soln. of 2.56 g III and 503 mg hydrazine monohydrate in 30.0 mL EtOH was refluxed for 18 h, cooled to room temp., and filtered to give, after washing the crystals obtained with hexane and drying, 32.0 mg

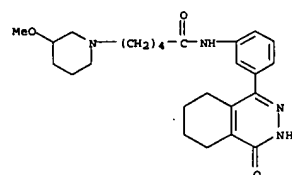
4-(3-aminophenyl)-5,6,7,8-tetrahydrophthalazine-1(2H)-one, 4-(3,5-diaminophenyl)-6,7,9,9a-tetrahydro[1,4]thiazino[4,3-d][1,2,4]triazin-1(2H)-one, 8-(3-aminophenyl)-2,3,4,6-tetrahydropyrido[2,3-d]pyridazin-5(1H)-one mono- or dihydrochloride, and 4-[N-(2-

L4 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



• HCl

RN 590406-85-2 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4,5,6,7,8-hexahydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



• HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
aminoethylcarbamoylmethyl]-5,6,7,8-tetrahydrophthalazin-1(2H)-one (IV) showed IC₅₀ of 0.61, 0.10, and 0.39 µg/mL, resp. against poly(ADP-ribose)polymerase. A tablet and an ampule formulation contg. IV were described.

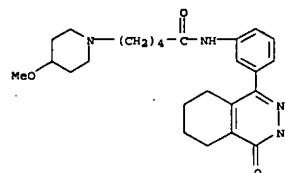
IT 590406-68-1P 590406-83-OP 590406-85-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of fused pyridazine deriva. as poly(ADP-ribose)polymerase inhibitors for treatment or prevention of diseases such as ischemia, inflammations and neurodegenerative diseases)

RN 590406-68-1 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4,5,6,7,8-hexahydro-4-oxo-1-phthalazinyl)phenyl]-4-methoxy-, monomethanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 590406-67-0
CMF C25 H34 N4 O3



CH 2

CRN 75-75-2
CMF C H4 O3 S



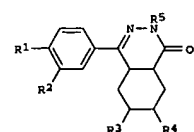
RN 590406-83-0 CAPLUS
CN Acetamide, N-[3-(3,4,5,6,7,8-hexahydro-4-oxo-1-phthalazinyl)phenyl]-2-[2-(1-piperidinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:812801 CAPLUS
DOCUMENT NUMBER: 137:337906
TITLE: Preparation of phthalazinones as phosphodiesterase 4/7 inhibitors.
INVENTOR(S): Hatzelmann, Armin; Marx, Degenhard; Steinhilber, Wolfram; Sterk, Geert Jan
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085906	A2	20021031	WO 2002-EP4438	20020423
WO 2002085906	A3	20021219		
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RM: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2445233	AA	20021031	CA 2002-2445233	20020423
EP 1385848	A2	20040204	EP 2002-747291	20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300514	A	20040216	EE 2003-514	20020423
CN 1503792	A	20040609	CN 2002-808742	20020423
BR 2002009149	A	20040713	BR 2002-9149	20020423
JP 2004526789	T2	20040902	JP 2002-583433	20020423
NZ 529221	A	20050429	NZ 2002-529221	20020423
US 2004127707	A1	20040701	US 2003-475657	20031023
NO 2003004773	A	20031210	NO 2003-4773	20031024
BG 108294	A	20040930	BG 2003-108294	20031027
ZA 2003008930	A	20040609	ZA 2003-8930	20031117
PRIORITY APPLN. INFO.:			EP 2001-110228	A 20010425
			WO 2002-EP4438	W 20020423

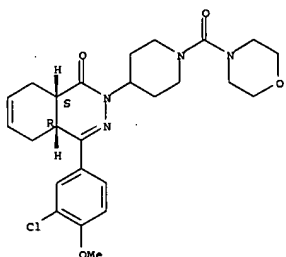
OTHER SOURCE(S): MARPAT 137:337906
GI



AB Title compds. (I; R1 = alkoxy, fluoroalkoxy; R2 = F, Br, Cl; R3, R4 = H;

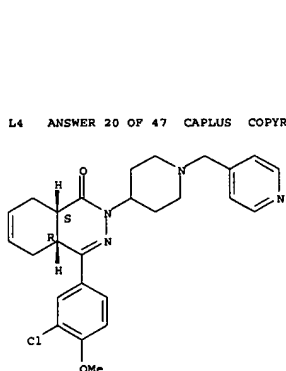
L4 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 R3R4 = bond; R5 = alkyl, cycloalkyl, cycloalkylmethyl, alkenyl, alkynyl, phenylalkenyl, polycycloalkyl, naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, etc.), were prep'd. Thus,
 cis-4-(3-chloro-4-methoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one (prepn. given) was stirred 16 h with morpholine-4-carbonyl chloride in pyridine to give cis-4-(3-chloro-4-methoxyphenyl)-2-[1-(1-morpholin-4-ylmethanoyl)piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one. The latter inhibited PDE4 and PDE7 with -log IC50 = 8.64 and 7.64, resp.
 IT 474122-96-8P 474122-97-9P 474122-98-0P
 474122-99-1P 474123-01-8P 474123-12-1P
 474123-17-6P 474123-26-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of phthalazinones as phosphodiesterase 4/7 inhibitors)
 RN 474122-96-8 CAPLUS
 CN Morpholine, 4-[[4-[(4aR,8aS)-4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



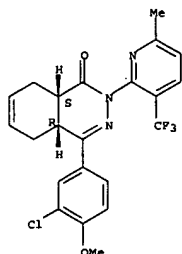
RN 474122-97-9 CAPLUS
 CN Piperidine, 4-[(4aR,8aS)-4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 474123-01-8 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-2-[6-methyl-3-(trifluoromethyl)-2-pyridinyl]-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

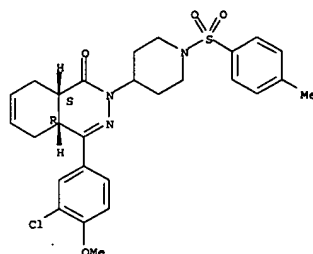


RN 474123-12-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1,3,4-trimethyl-1H-pyrazolo[3,4-b]pyridin-6-yl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

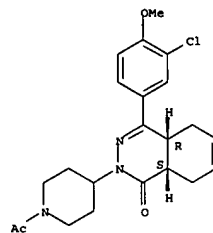


L4 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



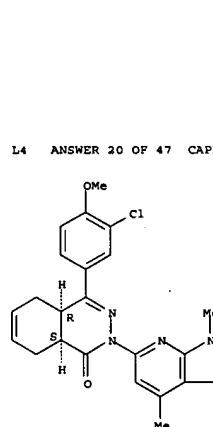
RN 474122-98-0 CAPLUS
 CN Piperidine, 1-acetyl-4-[(4aR,8aS)-4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



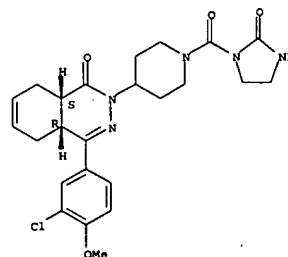
RN 474122-99-1 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 474123-17-6 CAPLUS
 CN Piperidine, 4-[(4aR,8aS)-4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(2-oxo-1-imidazolidinyl)carbonyl]-, rel- (9CI) (CA INDEX NAME)

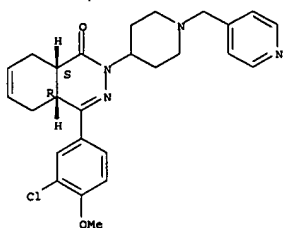
Relative stereochemistry.



RN 474123-26-7 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, hydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

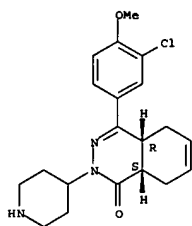
L4 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



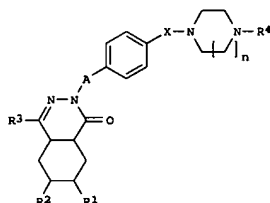
● x HCl

IT 474123-18-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of phthalazinones as phosphodiesterase 4/7 inhibitors)
 RN 474123-18-7 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, (4aR,8aS)-rel.-(9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Piperazino phthalazinone deriva. [I; wherein R1, R2 = H, or together form an addnl. bond; R3 = (substituted) aryl, (substituted) benzofuran; A = a bond, CH2; X = C(O), S(O)2; n = 1, 2; R4 = alkylcarbonyl, aryl, hetaryl, phenylprop-1-en-3-yl, 1-methylpiperidin-4-yl] were prepared. For example, (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-[4-[1-(4-phenylpiperazin-1-yl)methanoyl]phenyl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride was prepared by a multistep synthetic procedure. The compds. are useful as PDE4 inhibitors and, in particular, in the treatment of respiratory tract inflammation disorders.

IT 474001-54-2P 474001-58-6P 474001-67-7P
 474001-70-2P 474001-77-9P 474001-78-0P
 474001-79-1P 474001-80-4P 474001-81-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperazino phthalazinone deriva. and their use as PDE4 inhibitors)

RN 474001-54-2 CAPLUS
 CN Piperazine,
 1-[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

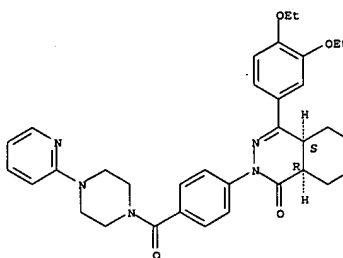
L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:832781 CAPLUS
 DOCUMENT NUMBER: 137:337905
 TITLE: Preparation of piperazino phthalazinone derivatives and their use as PDE4 inhibitors
 Hatzelmann, Armin; Bundschuh, Daniela; Barsig, Johannes; Kley, Hans-Peter; Grundler, Gerhard; Schmidt, Beate; Sterk, Geert Jan
 PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085885	A1	20021031	WO 2002-EP4494	20020424
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DE, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RN: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2445236	AA	20021031	CA 2002-2445236	20020424
EP 1385838	A1	20040204	EP 2002-740498	20020424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300513	A	20040216	EE 2003-513	20020424
CN 1505624	A	20040616	CN 2002-808772	20020424
BR 2002009076	A	20040810	BR 2002-9076	20020424
JP 2004526785	T2	20040902	JP 2002-583412	20020424
NZ 529363	A	20050826	NZ 2002-529363	20020424
BG 108187	A	20040930	BG 2002-108187	20020923
US 2004132721	A1	20040708	US 2003-475656	20031023
US 7022696	B2	20060404		
NO 2003004804	A	20031229	NO 2003-4804	20031027
ZA 2003008931	A	20040609	ZA 2003-8931	20031117
JP 2006096766	A2	20060413	JP 2005-336182	20051121
PRIORITY APPLN. INFO.:			EP 2001-110227	A 20010425
			JP 2002-583412	A3 20020424
			WO 2002-EP4494	W 20020424

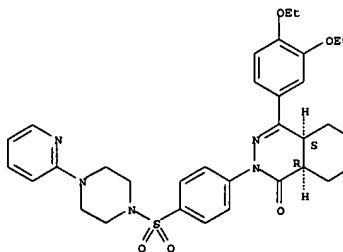
OTHER SOURCE(S): MARPAT 137:337905
 GI

L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 474001-58-6 CAPLUS
 CN Piperazine,
 1-[[4-[(4aR,8aS)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]phenyl]sulfonyl]-4-(2-pyridinyl)-, rel.-(9CI) (CA INDEX NAME)

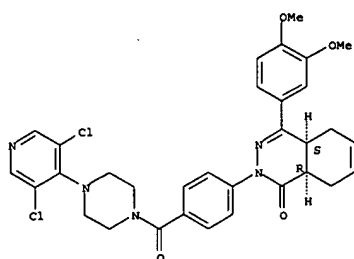
Relative stereochemistry.



RN 474001-67-7 CAPLUS
 CN Piperazine, 1-(3,5-dichloro-4-pyridinyl)-4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

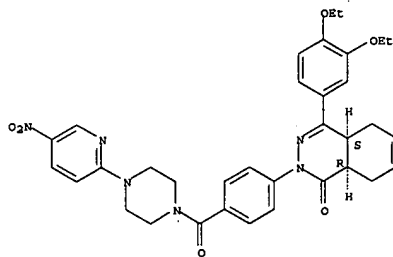
L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 474001-70-2 CAPLUS
 CN Piperazine,
 1-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-(5-nitro-2-pyridinyl)]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

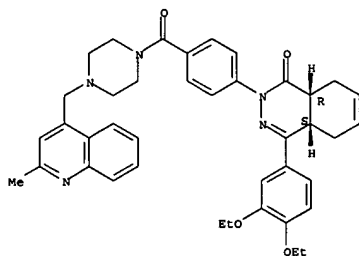


● HCl

PAGE 2-A

L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



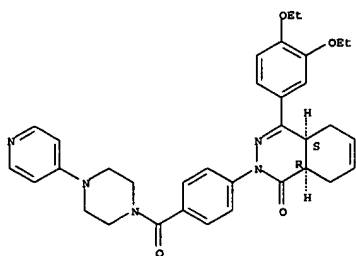
● HCl

PAGE 2-A

RN 474001-79-1 CAPLUS
 CN Piperazine,
 1-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-(4-pyridinyl)]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

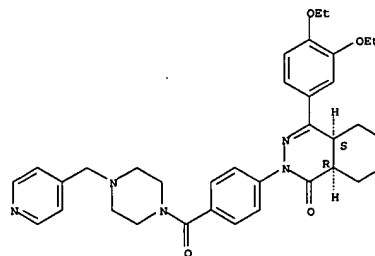


L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 474001-77-9 CAPLUS
 CN Piperazine,
 1-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-(4-pyridinylmethyl)]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

● 2 HCl

RN 474001-78-0 CAPLUS
 CN Piperazine,
 1-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-(2-methyl-4-quinolinyl)methyl]]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

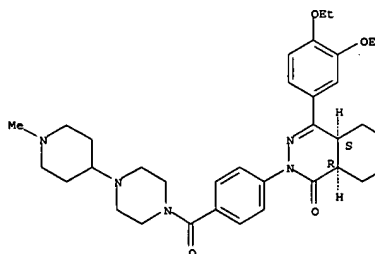
PAGE 2-A

● HCl

RN 474001-80-4 CAPLUS
 CN Piperazine,
 1-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-(1-methyl-4-piperidinyl)]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



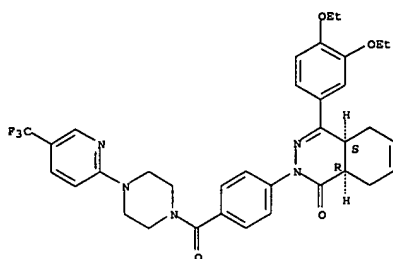
PAGE 2-A

● 2 HCl

RN 474001-81-5 CAPLUS
 CN Piperazine,
 1-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-[5-(trifluoromethyl)-2-pyridinyl]]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCES COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

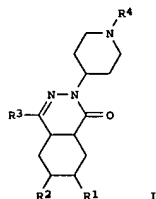
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:637671 CAPLUS
 DOCUMENT NUMBER: 137:185496
 TITLE: Preparation of piperidinyl benzopyridazine derivatives
 INVENTOR(S): as PDE4 inhibitors for treatment of airway disorders
 Hatzelmann, Armin; Bundschuh, Daniela; Kley, Hans-peter; Timmerman, Hendrik; Christiaens, Johannes A. M.; Grundler, Gerhard; Gutterer, Beate; Sterk, Geert Jan
 PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik GmbH, Germany
 SOURCE: PCT Int. Appl., 41 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064584	A1	20020822	WO 2002-EP1547	20020214
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DE, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2438520	AA	20020822	CA 2002-2438520	20020214
EE 200300311	A	20031015	EE 2003-311	20020214
EP 1362044	A1	20031119	EP 2002-701277	20020214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007278	A	20040210	BR 2002-7278	20020214
JP 2004518727	T2	20040624	JP 2002-564515	20020214
CN 1524080	A	20040825	CN 2002-805038	20020214
NZ 527424	A	20050225	NZ 2002-527424	20020214
US 2004067946	A1	20040408	US 2003-467832	20030813
US 6953853	B2	20051011		
NO 2003003618	A	20031015	NO 2003-3618	20030814
BG 108124	A	20040831	BG 2003-108124	20030821
ZA 2003006815	A	20040617	ZA 2003-6815	20030901
US 2005234062	A1	20051020	US 2005-143721	20050603
PRIORITY APPLN. INFO.:			EP 2001-103496	A 20010215
			WO 2002-EP1547	W 20020214
			US 2003-467832	A1 20030813

OTHER SOURCE(S): MARPAT 137:185496
 GI

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Piperidinyl benzopyridazine deriva. [I; wherein R1 and R2 = H, or together form an addnl. bond; R3 = substituted benzene, benzopyran derivative; R4 = (C1-C4)alkoxy, optionally substituted with fluorine] were prepared
 Thus, to a solution of (4aS,8aR)-4-[(3,4-diethoxyphenyl)-2-piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (synthetic preparation given) and p-TsCl in pyridine is stirred to give (4aS,8aR)-4-[(3,4-diethoxyphenyl)-2-

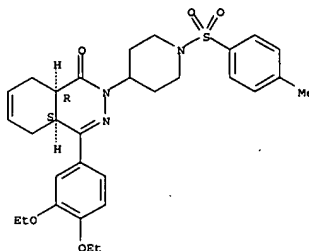
[1-(toluene-4-sulfonyl)-piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one. The prepared compds. are effective PDE4 inhibitors useful in the treatment of airway disorders.

IT 449760-14-9P 449760-15-OP 449760-16-1P
 449760-17-2P 449760-18-3P 449760-19-4P
 449760-20-7P 449760-21-8P 449760-22-9P
 449760-23-OP 449760-24-1P 449760-25-2P
 449760-26-3P 449760-27-4P 449760-28-5P
 449760-29-6P 449760-30-9P 449760-31-OP
 449760-32-1P 449760-33-2P 449760-34-3P
 449760-35-4P 449760-36-5P 449760-37-6P
 449760-38-7P 449760-39-8P 449760-40-1P
 449760-41-2P 449760-42-3P 449760-43-4P
 449760-44-5P 449760-47-8P 449760-48-9P
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 449760-52-5P 449760-53-6P 449760-54-7P
 449760-55-8P 449760-56-9P 449760-57-OP
 449760-58-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperidinyl benzopyridazine deriva. as PDE4 inhibitors for treatment of airway disorders)
 RN 449760-14-9 CAPLUS
 CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-[(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

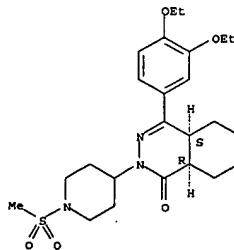
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-15-0 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-[(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

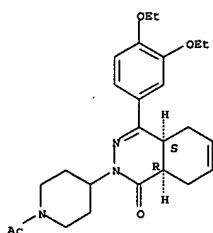
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
 CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-[(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

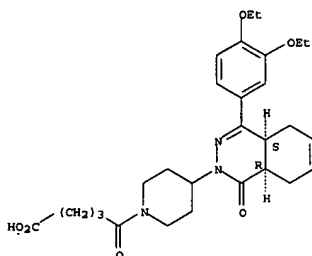
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
 CN 1-Piperidinepentanoic acid;
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-6-oxo- (9CI) (CA INDEX NAME)

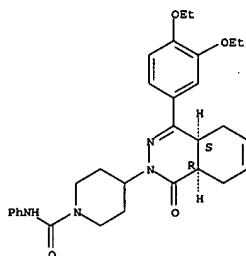
Absolute stereochemistry.



RN 449760-18-3 CAPLUS
 CN Piperidine,
 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-
 2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)-, monohydrochloride (9CI)
 (CA INDEX NAME)

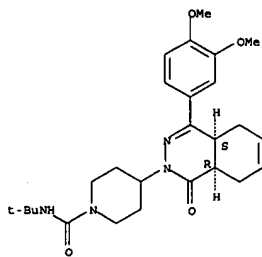
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-21-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA
 INDEX NAME)

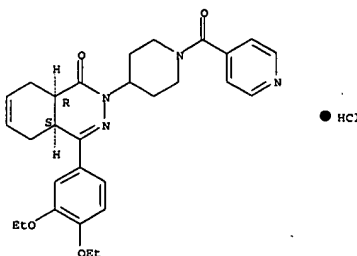
Absolute stereochemistry.



RN 449760-22-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-
 dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-
 (1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

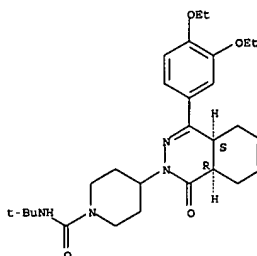
Relative stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-19-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA
 INDEX NAME)

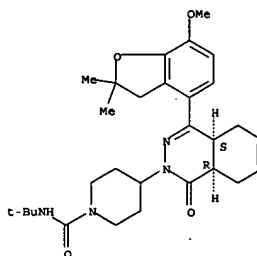
Absolute stereochemistry.



RN 449760-20-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-
 tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

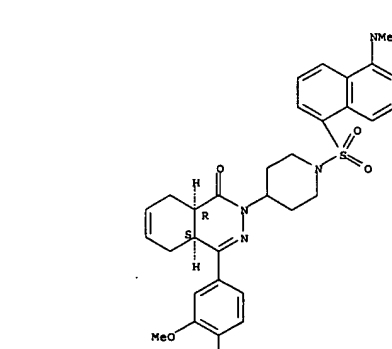
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-23-0 CAPLUS
 CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-
 oxo-2(1H)-phthalazinyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



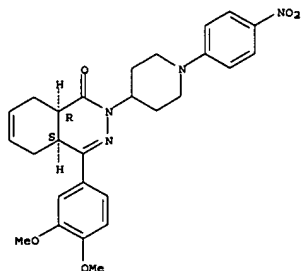
PAGE 1-A

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

RN 449760-24-1 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

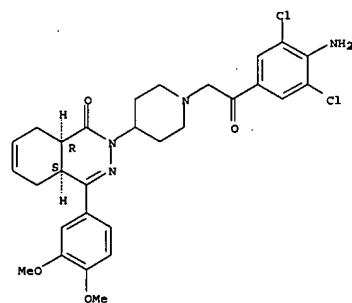
Absolute stereochemistry.



RN 449760-25-2 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

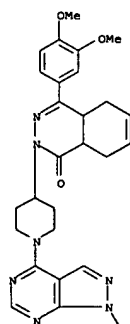
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



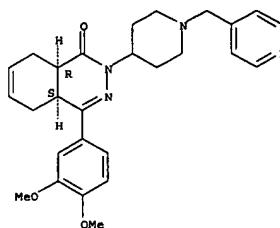
RN 449760-28-5 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

PAGE 1-A



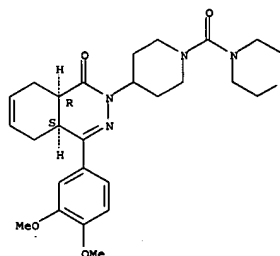
Habte

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-26-3 CAPLUS
 CN Morpholine,
 4-[[4-[(4aS,8aR)-4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-27-4 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

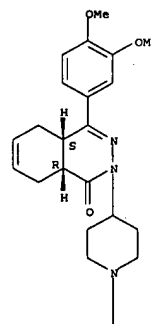
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

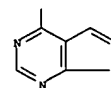
RN 449760-29-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



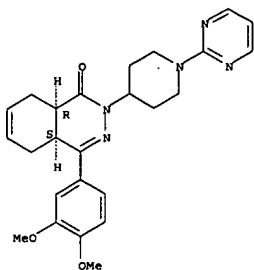
PAGE 2-A



RN 449760-30-9 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-[(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

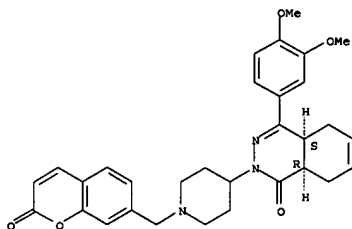
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-31-0 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-((2-oxo-2H-1-benzopyran-7-yl)methyl)-4-piperidinyl]-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

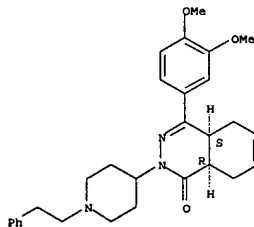


● HCl

RN 449760-32-1 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-((2-oxo-2H-1-benzopyran-7-yl)methyl)-4-piperidinyl]-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

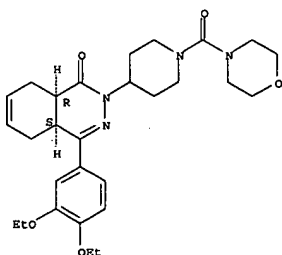
Absolute stereochemistry.



● HCl

RN 449760-35-4 CAPLUS
 CN Morpholine,
 4-[[4-[[4a,5,8,8a-tetrahydro-2-[1-((2-oxo-2H-1-benzopyran-7-yl)methyl)-4-piperidinyl]carbonyl]-1-piperidinyl]-4-piperidinyl]-, dihydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

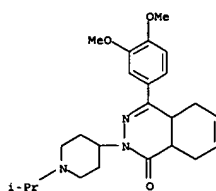
Absolute stereochemistry.



RN 449760-36-5 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-((2-oxo-2H-1-benzopyran-7-yl)methyl)-4-piperidinyl]-, dihydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Habte

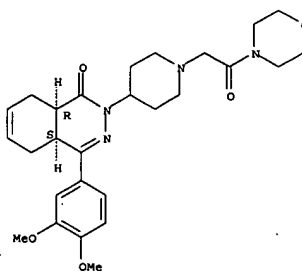
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 449760-33-2 CAPLUS
 CN Morpholine,
 4-[[4-[[4a,5,8,8a-tetrahydro-2-[1-((2-oxo-2H-1-benzopyran-7-yl)methyl)-4-piperidinyl]carbonyl]-1-piperidinyl]-4-piperidinyl]-, dihydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

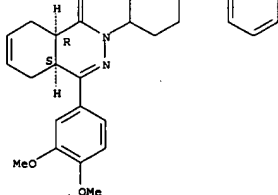


● HCl

RN 449760-34-3 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-((2-oxo-2H-1-benzopyran-7-yl)methyl)-4-piperidinyl]-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

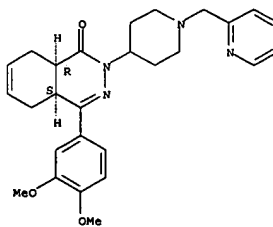
Absolute stereochemistry.



● 2 HCl

RN 449760-37-6 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-((3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-((2-oxo-2H-1-benzopyran-7-yl)methyl)-4-piperidinyl]-, dihydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

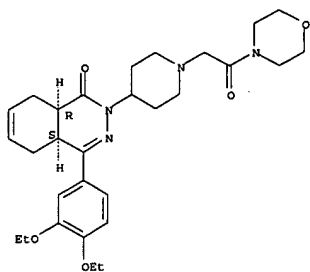


● 2 HCl

RN 449760-38-7 CAPLUS
 CN Morpholine,
 4-[[4-[[4a,5,8,8a-tetrahydro-2-[1-((2-oxo-2H-1-benzopyran-7-yl)methyl)-4-piperidinyl]carbonyl]-1-piperidinyl]-4-piperidinyl]-, dihydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.

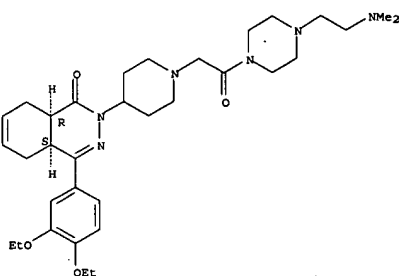


● HCl

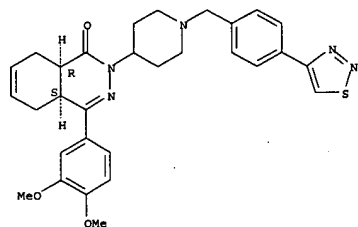
RN 449760-39-8 CAPLUS
 CN 1-Piperazineethanamine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



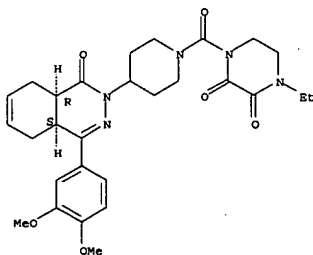
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

RN 449760-42-3 CAPLUS
 CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-43-4 CAPLUS
 CN Benzoic acid, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

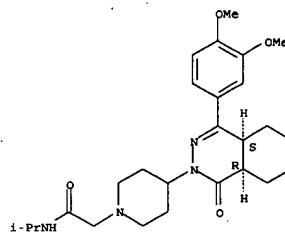
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

● 3 HCl

RN 449760-40-1 CAPLUS
 CN 1-Piperidineacetamide, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

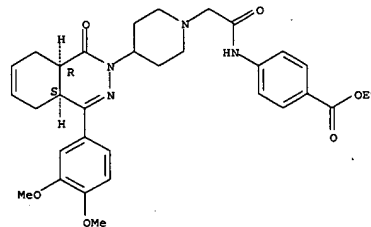
Absolute stereochemistry.



RN 449760-41-2 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, dihydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

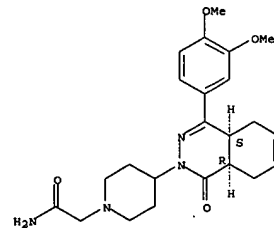
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 449760-44-5 CAPLUS
 CN 1-Piperidineacetamide, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

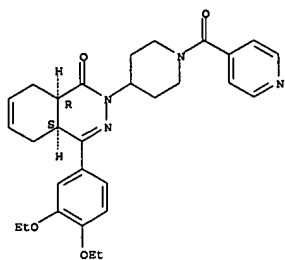


● HCl

RN 449760-47-8 CAPLUS
 CN Piperidine,
 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

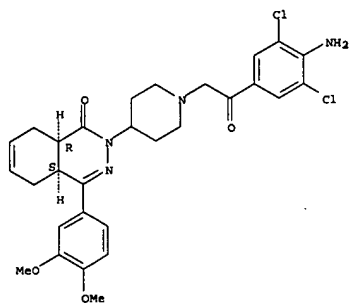
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



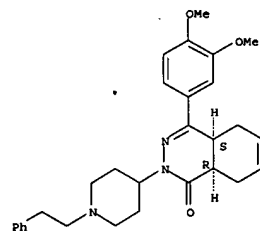
RN 449760-48-9 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-[2-(4-ethoxyphenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



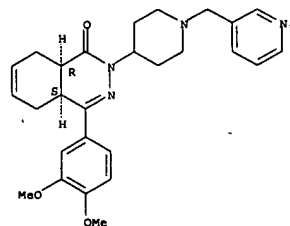
RN 449760-49-0 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-52-5 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

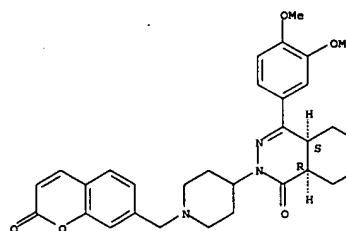


RN 449760-53-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

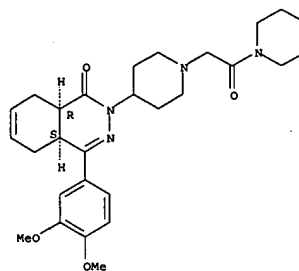
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-50-3 CAPLUS
 CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

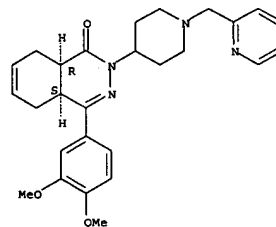
Absolute stereochemistry.



RN 449760-51-4 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)-(9CI) (CA INDEX NAME)

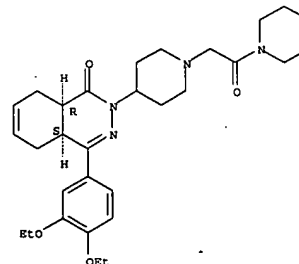
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-54-7 CAPLUS
 CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

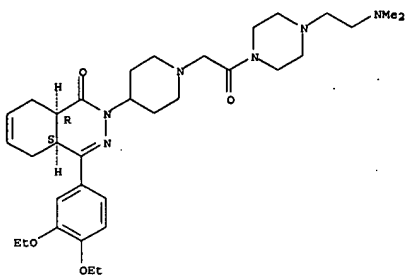
Absolute stereochemistry.



RN 449760-55-8 CAPLUS
 CN 1-Piperazineethanamine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

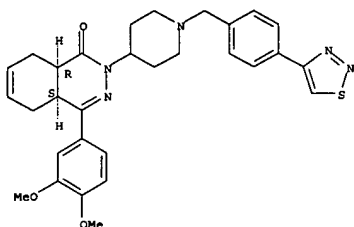
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-
(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR) - (9CI)
(CA INDEX NAME)

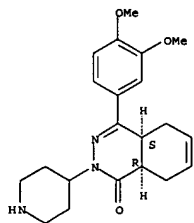
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
CN Benzoic acid, 4-[[[4-{{4aS,8aR}-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

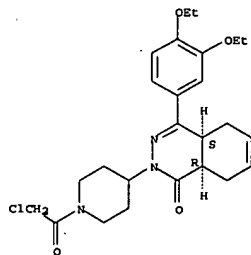
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-12-3 CAPLUS
CN Piperidine,
1-(chloroacetyl)-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

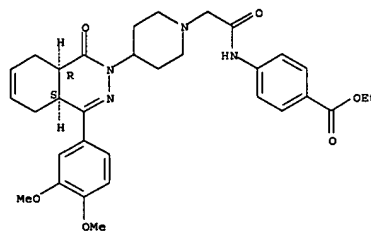
Absolute stereochemistry.



RN 380227-13-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

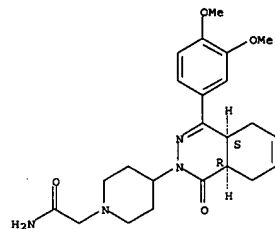
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-58-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

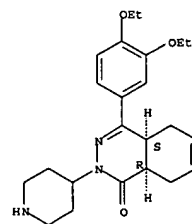


IT 380226-97-1P 380227-12-3P 380227-13-4P
449760-45-6P
RL, RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of piperidinyl benzopyridazine derivs. as PDE4
inhibitors; for

RN 380226-97-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

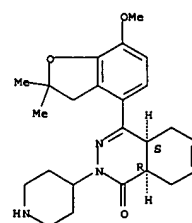
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 449760-45-6 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-
 4a,5,6,8a-tetrahydro-2-(4-piperidinyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX
 NAME)

Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 23 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:157897 CAPLUS

DOCUMENT NUMBER: 137:63213

TITLE: Novel Selective PDE4 Inhibitors. 3. In Vivo Antiinflammatory Activity of a New Series of N-Substituted cis-Tetra- and cis-Hexahydrophthalazinones

AUTHOR(S): Van der Mey, Margaretha; Boss, Hildegard; Hatzelmann, Armin; Van der Laan, Ivo; Sterk, Geert J.; Timmerman, Hendrik

CORPORATE SOURCE: Division of Medicinal Chemistry, Department of Pharmacology, Leiden/Amsterdam Center for Drug Research, Vrije Universiteit, Amsterdam, 1081 HV, Neth.

SOURCE: Journal of Medicinal Chemistry (2002), 45(12), 2520-2525

CODEN: JMCMAH; ISSN: 0022-2625

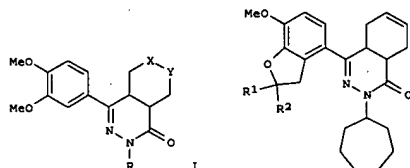
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:63213

GI

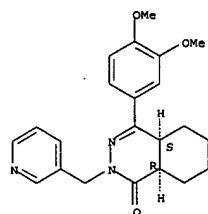


AB The synthesis and biol. activities of a series of N-substituted cis-4a,5,6,7,8,8a-hexa- and cis-4a,5,6,7,8,8a-tetrahydro-2H-phthalazin-1-ones I (XY = (CH₂)₂, HC=CH; R = Me, cyclopentyl, allyl, PhCOCH₂, etc.) are described. It was found that compds. bearing a cycloalkyl group at the 2-position exhibit the highest PDE4 inhibitory activities (pIC₅₀ = 8.6-9.4). The N-cycloheptyl- and N-adamantyltetrahydrophthalazinones I (XY = HC=CH; R = cycloheptyl, 2-adamantyl) and II (R₁ = R₂ = Me, R₁R₂ = (CH₂)₄) show high in vivo antiinflammatory activities after oral application. Addnl., some phthalazinones were found to exhibit potent suppression of LPS-induced TNFα release and show moderate potency against FMLP-stimulated production of ROS.

IT 210467-38-2P 210467-43-9P 439660-83-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (antiinflammatory activity and preparation of PDE4 inhibiting tetra- and hexahydrophthalazinones by alkylation of N-unsubstituted phthalazinones)

L4 ANSWER 23 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS

FORMAT

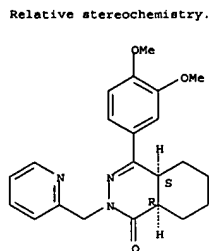
RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 23 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ACCESSION NUMBER: 2002:157897 CAPLUS

DOCUMENT NUMBER: 137:63213

TITLE: Relative stereochemistry.

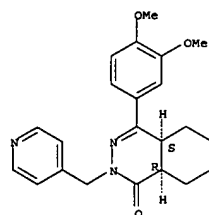


RN 210467-43-9 CAPLUS

CN 1(2H)-Phthalazinone.

4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(4-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 439660-83-0 CAPLUS

CN 1(2H)-Phthalazinone.

4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(3-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 24 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:143287 CAPLUS

DOCUMENT NUMBER: 136:194277

TITLE: Oxo-substituted heterocyclic compounds, therapeutic methods, and compositions for inhibiting poly(ADP-ribose) polymerase (PARP) activity

INVENTOR(S): Li, Jia-he; Tays, Kevin Leonard; Zhang, Jie

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 50 pp., Cont.-in-part of U.S. Ser. No. 79,509, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

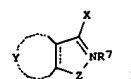
FAMILY ACC. NUM. COUNT: 17

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002022636	A1	20020221	US 1998-145180	19980901
CA 2294118	AA	19990311	CA 1998-2294118	19980902
WO 9911624	A1	19990311	WO 1998-US18195	19980902
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RM: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9892986	A1	19990322	AU 1998-92986	19980902
EP 1009739	A2	20000621	EP 1998-945833	19980902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9812428	A	20000926	BR 1998-12428	19980902
TR 200001557	T2	20010122	TR 2000-200001557	19980902
JP 2002512637	T2	20020423	JP 1999-516977	19980902
NO 2000001002	A	20000427	NO 2000-1002	20000228
US 6235748	B1	20010522	US 2000-524750	20000314
US 2003105102	A1	20030605	US 2002-109730	20020401
PRIORITY APPLN. INFO.:				B2 19970903
				US 1998-79509
				B2 19980515
				US 1998-145180
				A 19980901
				WO 1998-US18195
				W 19980902

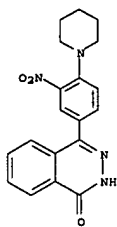
OTHER SOURCE(S): MARPAT 136:194277

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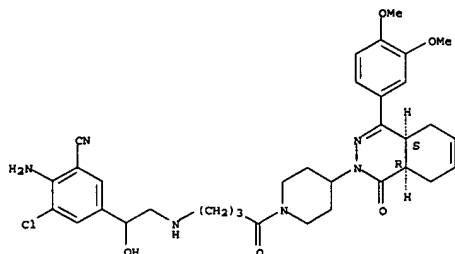


AB PARP-inhibiting oxo-substituted heterocyclic compds., compns. containing

L4 ANSWER 24 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
therapeutic methods of using them, and processes for making them are disclosed. The compds., contg. at least one ring nitrogen, are I [X = double-bonded O, OH; R7 (when present) = H, lower alkyl; Y = atoms necessary to form fused mono-, bi- or tricyclic, carbocyclic or heterocyclic ring, wherein each individual ring has 5-6 ring member atoms];
Z = (i) CHR2CHR3 (R2, R3 = H, alkyl, aryl, aralkyl); (ii) R6C-CR3 (R3, R6 = H, lower alkyl, aryl, aralkyl, halo, NO2, COOR7, NR7R8 (R8 = H, C1-C9 alkyl), or R6 and R3 taken together form fused arom. ring, wherein each individual ring has 5-6 ring members); (iii) R2C=N; (i.v.) CR2(OH)NR7;
(v) C(O)NR7 or a pharmaceutically acceptable base or acid addn. salt, hydrate, ester, solvate, prodrug, metabolite, stereoisomer or mixt. thereof.
IT 218144-45-7, 1(2H)-Phthalazinone, 4-[3-nitro-4-(1-piperidinyl)phenyl]-
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oxo-substituted heterocyclic compds., therapeutic methods, and compns. for inhibiting poly(ADP-ribose) polymerase (PARP) activity)
RN 218144-45-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Ar2 = 8-hydroxy-1H-quinolin-2-on-5-yl, substituted Ph, useful as novel effective bronchial therapeutics, were prep'd. The general procedures for prep'n. of compds. I such as (cis)-VI-fumarate were described. Biol. data for compds. I were given.
IT 380226-56-2P 380226-64-2P 380226-65-3P
380226-67-5P 380226-69-7P 380226-71-1P
380226-72-2P 380226-74-4P 380226-77-7P
380226-78-8P 380226-80-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridazinones as β 2-adrenoreceptor agonists and PDE4 inhibitors)
RN 380226-56-2 CAPLUS
CN Piperidine, 1-[4-[[2-(4-amino-3-chloro-5-cyanophenyl)-2-hydroxyethyl]amino]-1-oxobutyl]-4-[[4a,8aR]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, (2E)-2-butenedioate (1:1)
(salt) (9CI) (CA INDEX NAME)
CM 1
CRN 380226-55-1
CMF C34 H41 Cl N6 O5
Absolute stereochemistry.



CM 2
CRN 110-17-8
CMF C4 H4 O4
Double bond geometry as shown.
HO2C/C=C/CO2H

Habte

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:904118 CAPLUS
DOCUMENT NUMBER: 136:37625
TITLE: Preparation of pyridazinones as β 2-adrenoreceptor agonists and PDE4 inhibitors
Hatzelmann, Armin; Bundschuh, Daniela; Eltze, Manfred;
Van der Laan, Yvonne; Timmermann, Hendrik; Christiaans, Johannes; Brundel, Paulus; Sterk, Geert
Byk Gulden Lomberg Chemische Fabrik G.m.b.H.,
Germany;
Byk Nederland B.V.
PCT Int. Appl., 79 pp.
CODEN: PIXXD2
Patent
English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

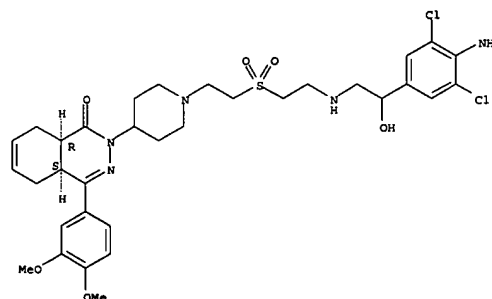
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094319	A1	20011213	WO 2001-EP6230	20010601
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RM: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2411351	AA	20011213	CA 2001-2411351	20010601
EP 1296956	A1	20030402	EP 2001-936419	20010601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011440	A	20030603	BR 2001-11440	20010601
JP 2003535850	T2	20031202	JP 2002-501869	20010601
NZ 522882	A	20040730	NZ 2001-522882	20010601
ZA 2002009598	A	20030729	ZA 2002-9598	20021126
NO 2002005811	A	20030204	NO 2002-5811	20021203
US 2003195215	A1	20031016	US 2003-296411	20030402
US 6931296	B2	20050823		
PRIORITY APPLN. INFO.:			EP 2000-111795	A 20000605
			WO 2001-EP6230	W 20010601

OTHER SOURCE(S): MARPAT 136:37625
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Ar1 = substituted Ph, dihydrobenzofuranyl; R6, R7 = H, alkyl; or R6 and R7 together and with inclusion of the two carbon atoms, to which they are bonded, form II-V; A = CnH2nYXnH2n, YXnH2nZCnH2n; X = a bond, O, S, etc.; Y = a bond, phenylene, cycloalkylene, etc.; Z = O, S, SO2, etc.; m = 0-4; n = 1-4; R8 = H, alkyl;

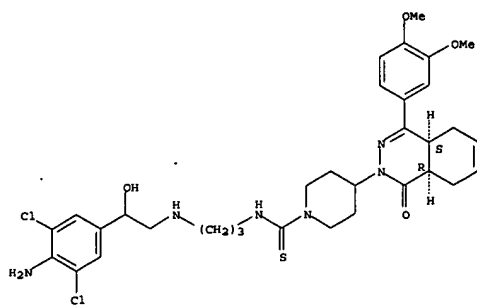
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 380226-64-2 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-[[2-[[2-(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl]amino]ethyl]sulfonyl]ethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)
CM 1
CRN 380226-63-1
CMF C33 H43 Cl2 N5 O6 S
Absolute stereochemistry.



CM 2
CRN 110-17-8
CMF C4 H4 O4
Double bond geometry as shown.
HO2C/C=C/CO2H

RN 380226-65-3 CAPLUS
CN 1-Piperidinecarbothioamide, N-[3-[[2-(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl]amino]propyl]-4-[[4a,8aR]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



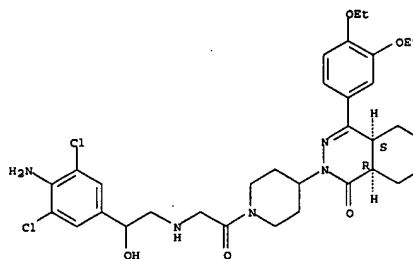
RN 380226-67-5 CAPLUS
 CN Piperidine, 1-[[[2-[(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl]amino]acetyl]-4-[[[4a,5,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)]]]

CM 1

CRN 380226-66-4
 CMF C33 H41 Cl2 N5 O5

Absolute stereochemistry.

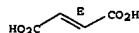
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



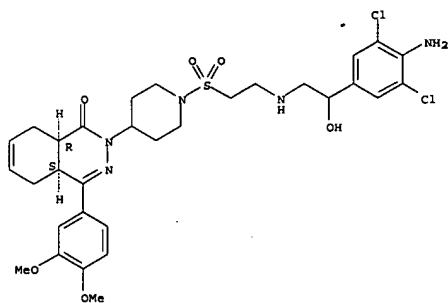
RN 380226-69-7 CAPLUS
 CN Piperidine, 1-[[[2-[(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl]amino]ethyl]sulfonyl]-4-[[[4a,5,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)]]]

CM 1

CRN 380226-68-6
 CMF C31 H39 Cl2 N5 O6 S

Absolute stereochemistry.

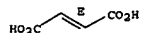
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



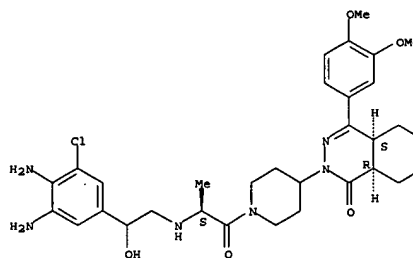
RN 380226-71-1 CAPLUS
 CN Piperidine, 1-[[[2-[(3,4-diamino-5-chlorophenyl)-2-hydroxyethyl]amino]-1-oxopropyl]-4-[[[4a,5,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)]]]

CM 1

CRN 380226-70-0
 CMF C32 H41 Cl N6 O5

Absolute stereochemistry.

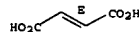
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 110-17-8
 CMF C4 H4 O4

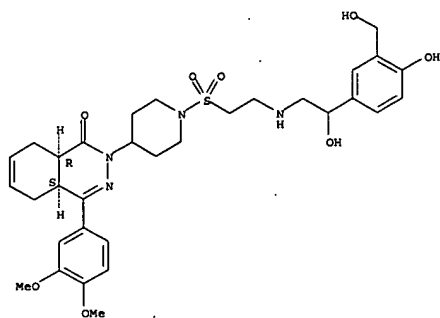
Double bond geometry as shown.



RN 380226-72-2 CAPLUS
 CN Piperidine, 4-[[[4a,5,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[[2-[[2-hydroxy-2-(4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]ethyl]sulfonyl]-, (9CI) (CA INDEX NAME)]]]

Absolute stereochemistry.

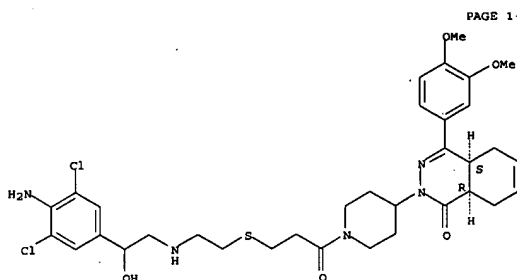
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 380226-74-4 CAPLUS
 CN Piperidine, 1-[3-[[2-[[2-(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl]amino]ethyl]thio]-1-oxopropyl]-4-[[4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

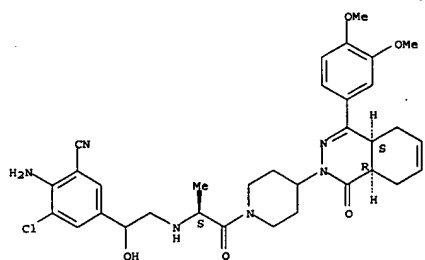
Absolute stereochemistry.

PAGE 1-A



L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

● HCl

RN 380226-80-2 CAPLUS
 CN Benzonitrile, 2-amino-3-chloro-5-[2-[[6-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]hexyl]amino]-1-hydroxyethyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 380226-79-9
 CMP C36 H47 Cl N6 O4

Absolute stereochemistry.

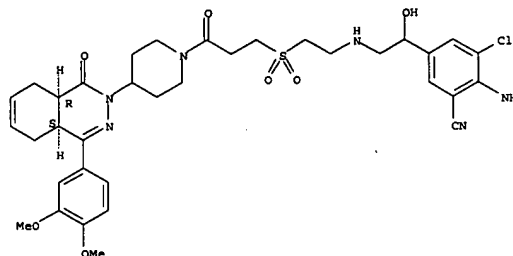
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

● HCl

RN 380226-77-7 CAPLUS
 CN Piperidine, 1-[3-[[2-[[2-(4-amino-3-chloro-5-cyanophenyl)-2-hydroxyethyl]amino]ethyl]sulfonyl]-1-oxopropyl]-4-[[4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

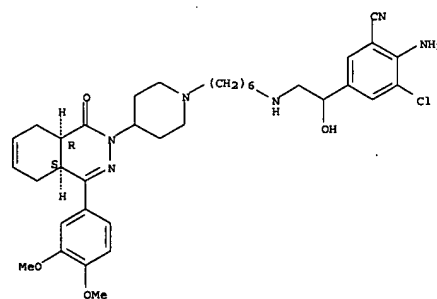
Absolute stereochemistry.



RN 380226-78-8 CAPLUS
 CN Piperidine, 1-[(2S)-2-[[2-(4-amino-3-chloro-5-cyanophenyl)-2-hydroxyethyl]amino]-1-oxopropyl]-4-[[4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

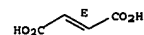
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 110-17-8
 CMP C4 H4 O4

Double bond geometry as shown.



IT 380226-94-8P 380226-95-9P 380226-96-0P
 380226-97-1P 380227-08-7P 380227-10-1P
 380227-11-2P 380227-12-3P 380227-13-4P
 380227-14-5P 380227-15-6P 380227-16-7P
 380227-17-8P 380227-18-9P 380227-19-0P
 380227-20-3P 380227-21-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of pyridazinones as β_2 -adrenoreceptor agonists and PDE4 inhibitors)

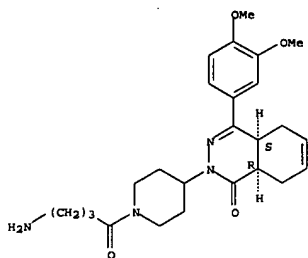
RN 380226-94-8 CAPLUS
 CN Piperidine, 1-(4-amino-1-oxobutyl)-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380226-93-7
 CMP C25 H34 N4 O4

Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



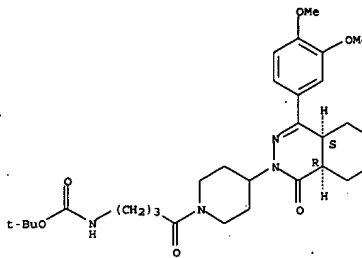
CN 2
CRN 76-05-1
CMP C2 H F3 O2



RN 380226-95-9 CAPLUS
CN Carbanic acid, [4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidiny]-4-oxobutyl]-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

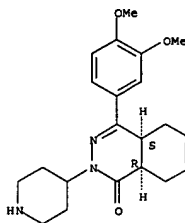
Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 380226-96-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidiny)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

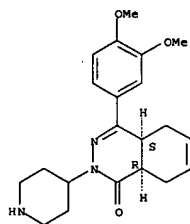
Absolute stereochemistry.



RN 380226-97-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidiny)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

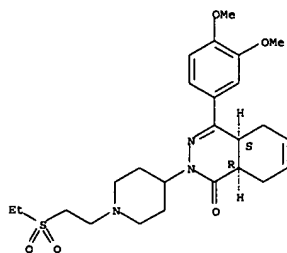
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-08-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-2-[1-[2-(ethylsulfonyl)ethyl]-4-piperidiny]-4a,5,8,8a-tetrahydro-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



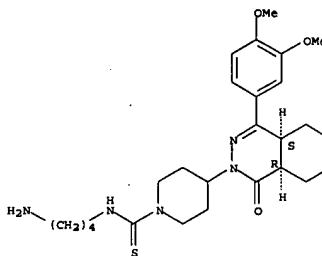
RN 380227-10-1 CAPLUS
CN 1-Piperidinecarbothioamide, N-(4-aminobutyl)-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CN 1
CRN 380227-09-8
CMP C26 H37 N5 O3 S

Habte

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



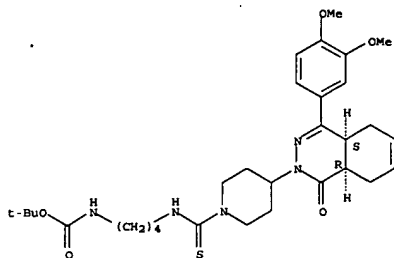
CN 2
CRN 76-05-1
CMP C2 H F3 O2



RN 380227-11-2 CAPLUS
CN Carbanic acid, [4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidiny]thioxomethyl]amino]butyl]-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

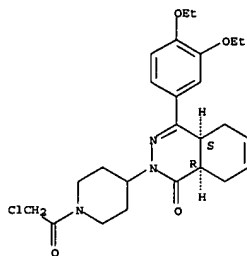
Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 380227-12-3 CAPLUS
CN Piperidine
1-(chloroacetyl)-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-9CI (CA INDEX NAME)

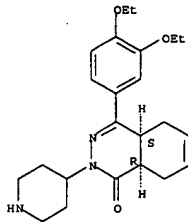
Absolute stereochemistry.



RN 380227-13-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

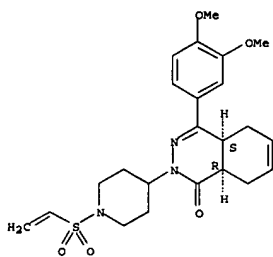
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-14-5 CAPLUS
CN Piperidine, 4-((4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl)-1-(ethenylsulfonyl)- (9CI) (CA INDEX NAME)

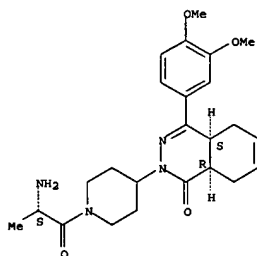
Absolute stereochemistry.



RN 380227-15-6 CAPLUS
CN Piperidine, 1-[(2S)-2-amino-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI)
(CA INDEX NAME)

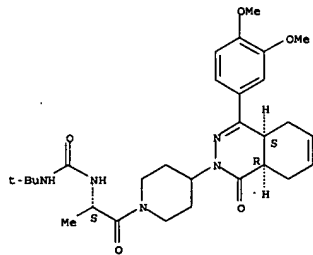
Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 380227-16-7 CAPLUS
CN Piperidine, 4-((4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalaziny)-1-((2S)-2-(((1,1-dimethylethyl)amino)carbonyl)aminol-1-oxopropan-1-yl)-9CI) (CA INDEX NAME)

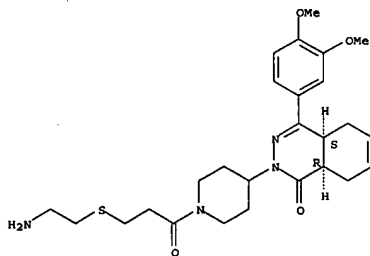
Absolute stereochemistry.



RN 380227-17-8 CAPLUS
CN Piperidine, 1-[3-[(2-aminoethyl)thio]-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI)
(CA INDEX NAME)

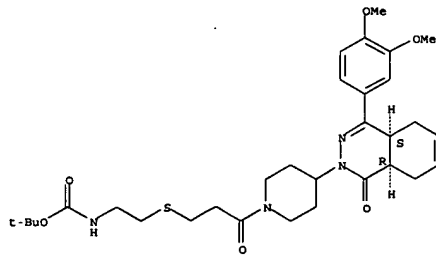
Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 380227-18-9 CAPLUS
CN Carbamic acid, [2-{{[3-[4-{{[4s,8sR]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-3-oxopropyl]thio]ethyl}-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

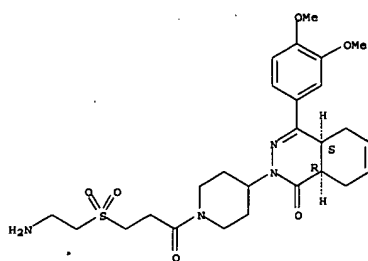


RN 380227-19-0 CAPLUS
 CN Piperidine,
 1-[3-[(2-aminoethyl)sulfonyl]-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8a,8b-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



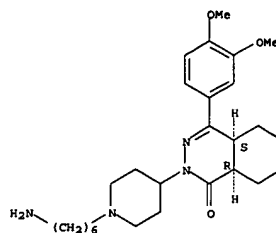
● HCl

RN 380227-20-3 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[1-(6-aminoethyl)-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, monohydrochloride, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-A

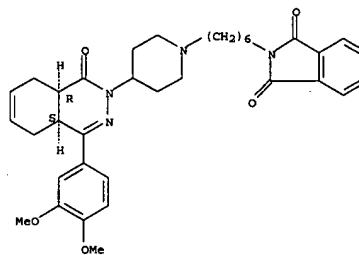
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-21-4 CAPLUS
 CN 1H-Isocindole-1,3(2H)-dione, 2-[6-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

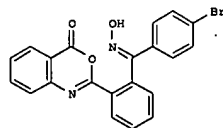


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 26 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

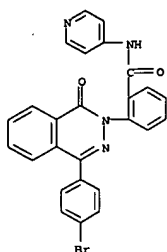
ACCESSION NUMBER: 2001:819481 CAPLUS
 DOCUMENT NUMBER: 137:125128
 TITLE: Synthesis and behavior of a static benzoxazinone derivative towards nitrogen and sulphur nucleophiles
 AUTHOR(S): Kasseb, E. A.; El-Hashash, M. A.; Soliman, F. M. A.; Ali, R. S.
 CORPORATE SOURCE: Industrial Education College, Cairo, Egypt
 SOURCE: Egyptian Journal of Chemistry (2001), 44(1-3), 169-179
 PUBLISHER: National Information and Documentation Centre
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 137:125128
 GI



I

AB 2-[2-(4-bromohydroxyiminobenzyl)phenyl]-4-(H)-3,1-benzoxazin-4-one (I) was prepared via the interaction of 1-(4-bromophenyl)-4-(H)-3,2-benzoxazin-4-one with anthranilic acid in which hetero-ring opening takes place followed by cyclization. Reactions of I with nitrogen and sulfur nucleophiles were evaluated. The hitherto unknown reaction of the hetero-ring fission of I with aliphatic amino acids was studied. When compound I was treated with glutamic acid in aqueous pyridine, 2-(4-bromo-hydroxyiminobenzyl)benzoylamino-N-(1,3-dicarboxypropyl)benzamide was obtained. The phthalazinone derivative, 2-[2-(carboxy-thiomethoxycarbonyl)phenyl]-4-(4-bromophenyl)phthalazin-1-one, was obtained when I was allowed to react with thioglycolic acid in boiling n-butanol.
 IT 444334-60-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and N- and S-nucleophile reactions of bromohydroxyiminobenzylphenylbenzoxazinone via ring opening/cyclization sequence with anthranilic acid)
 RN 444334-60-5 CAPLUS
 CN Benzamide, 2-[4-(4-bromophenyl)-1-oxo-2(1H)-phthalazinyl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:
THIS17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 27 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:48306 CAPLUS
DOCUMENT NUMBER: 134:266260TITLE: Studies on isocyanides and related compounds; a
facileAUTHOR(S): synthesis of 4-phenyl-1-(2H)phthalazinone-2-alkanoic
acid amides
Marccaccini, Stefano; Pepino, Roberto; Polo, Cecilia;
Pozo, Ma CruzCORPORATE SOURCE: CNR, Centro di Studio sulla Chimica e la Struttura
deiComposti Eterociclici e loro Applicazioni,
Dipartimento di Chimica Organica "Ugo Schiff",
Universita di Firenze, Florence, 50121, ItalySOURCE: Synthesis (2001), (1), 85-88
CODEN: SYNTBP; ISSN: 0039-7881PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal

LANGUAGE: English

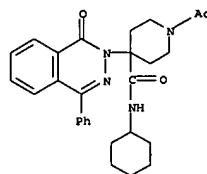
OTHER SOURCE(S): CASREACT 134:266260

AB A convenient synthesis of 4-phenyl-1-oxo-(2H)phthalazine-2-alkanoic
amidesby the Ugi 4-component condensation (Ugi 4-CC) is reported. The reaction
between acetaldehyde azine, 2-benzoylbenzoic acid, and cyclohexyl
isocyanide spontaneously afforded N-cyclohexyl-2-(1,2-dihydro-1-oxo-4-
phenylphthalazin-2-yl)propionamide upon elimination of MeCHO from the
intermediate Ugi 4-CC adduct. Starting from less reactive azines arising
from ketones, the corresponding Ugi 4-CC adducts did not spontaneously
cyclize, whereas phenylacetaldehyde azine afforded a mixture of the Ugi4-CC
adduct and cyclized product. In all of the cases, the adducts wereeasily
cyclized in acidic medium.

IT 332049-47-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oxophthalazinealkanoic amides)

RN 332049-47-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-acetyl-N-cyclohexyl-4-(1-oxo-4-phenyl-2(1H)-
phthalazinyl)- (9CI) (CA INDEX NAME)REFERENCE COUNT:
THIS

28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR

L4 ANSWER 27 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:535119 CAPLUS
DOCUMENT NUMBER: 133:135320TITLE: Preparation of 2H-phthalazin-1-one derivatives as
poly(ADP-ribose) polymerase inhibitorsINVENTOR(S): Seko, Takuya; Takeuchi, Jun; Takahashi, Shinya; Naka,
MasaoPATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 307 pp.

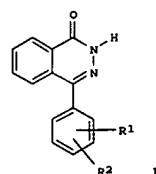
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044726	A1	20000803	WO 2000-JP319	20000124
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2000030767	A5	20000818	AU 2000-30767	20000124
EP 1148053	A1	20011024	EP 2000-900889	20000124
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
US 6677333	B1	20040113	US 2001-889925	20010725
PRIORITY APPLN. INFO.:			JP 1999-16788	A 19990126
			JP 1999-233099	A 19990819
			WO 2000-JP319	W 20000124

OTHER SOURCE(S): MARPAT 133:135320
OI

AB The title compds. I [R1 = amino-substituted alkyl, etc.; R2 = H, halo,

Habte

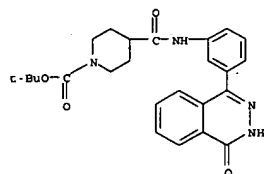
10/18/2006

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 etc.) are prepd. I inhibit poly(ADP-ribose) polymerase and are useful as
 preventives and/or remedies for various ischemic diseases (brain, heart,
 digestive tract, skeletal muscle, retina, etc.), inflammatory diseases
 (inflammatory intestinal diseases, multiple encephaloclerosis,
 arthritis,
 etc.), nerve degeneration diseases (extrapyramidal disorder, Alzheimer's
 disease, muscular dystrophy, etc.), diabetes, shock, head trauma, renal
 insufficiency, hyperalgesia, etc. These compds. are also useful as
 sensitizers for agents against retroviruses (HIV, etc.) and chemotherapy
 for cancer. 4-[3-(5-Aminovalerylaminophenyl)-2H-phthalazin-1-one in
 vitro showed IC50 of 42 nM against poly(ADP-ribose) polymerase.
 Formulations are given.

IT 286951-31-3P 286951-41-5P 286951-47-1P
 286951-71-1P 286951-72-2P 286953-30-8P
 286953-31-9P 286953-70-6P 286953-71-7P
 286953-80-8P 286953-81-9P 286953-82-0P
 286953-83-1P 286953-84-2P 286954-00-5P
 286954-01-6P 286954-04-9P 286954-05-0P
 286954-06-1P 286954-07-2P 286954-08-3P
 286954-09-4P 286954-10-7P 286954-11-8P
 286954-16-3P 286954-17-4P 286954-18-5P
 286954-19-6P 286954-46-9P 286954-47-0P
 286955-29-1P 286955-30-4P 286955-31-5P
 286955-32-6P 286955-33-7P 286955-34-8P
 286955-35-9P 286955-36-0P

RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological)
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 2H-phthalazin-1-one derivs. as poly(ADP-ribose)

polymerase
 inhibitors)
 RN 286951-31-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[3-(3,4-dihydro-4-oxo-1-
 phthalazinyl)phenyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA
 INDEX NAME)

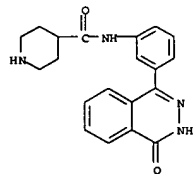


RN 286951-41-5 CAPLUS
 CN 4-Pyridineacetamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-
 (9CI)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RN 286951-72-2 CAPLUS
 CN 4-Piperidinecarboxamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-,
 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 286951-71-1
 CMP C20 H20 N4 O2



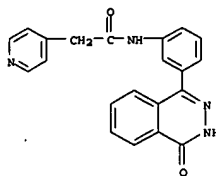
CM 2

CRN 76-05-1
 CMP C2 H F3 O2

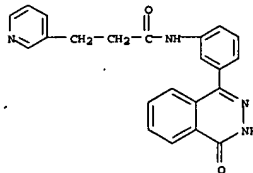


RN 286953-30-8 CAPLUS
 CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-,
 monohydrochloride (9CI) (CA INDEX NAME)

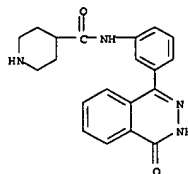
L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (CA INDEX NAME)



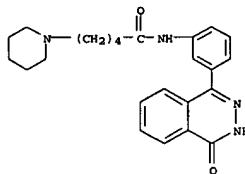
RN 286951-47-1 CAPLUS
 CN 3-Pyridinepropanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-
 (9CI) (CA INDEX NAME)



RN 286951-71-1 CAPLUS
 CN 4-Piperidinecarboxamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-
 (9CI) (CA INDEX NAME)

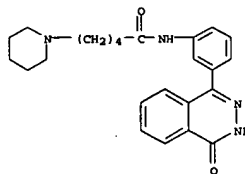


L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



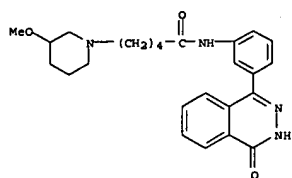
• HCl

RN 286953-31-9 CAPLUS
 CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-
 (9CI) (CA INDEX NAME)



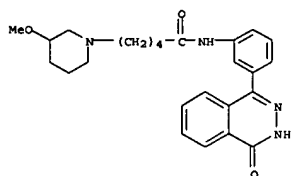
RN 286953-70-6 CAPLUS
 CN 1-Piperidinepentanamide,
 N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-
 methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 286953-71-7 CAPLUS
 CN 1-Piperidinepentanamide,
 N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-
 methoxy- (9CI) (CA INDEX NAME)

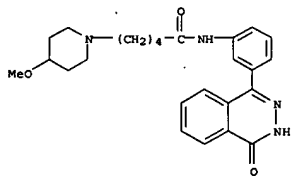


RN 286953-80-8 CAPLUS
 CN 1-Piperidinepentanamide,
 N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-
 methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN 1-Piperidinepentanamide,
 N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-
 methoxy-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 286953-80-8
 CMP C25 H30 N4 O3



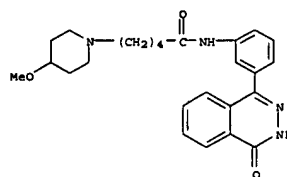
CM 2

CRN 75-75-2
 CMP C H4 O3 S



RN 286953-83-1 CAPLUS
 CN 1-Piperidinepentanamide,
 N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-
 methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

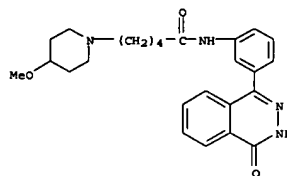
L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 286953-81-9 CAPLUS
 CN 1-Piperidinepentanamide,
 N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-
 methoxy-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

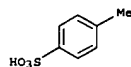
CM 1

CRN 286953-80-8
 CMP C25 H30 N4 O3



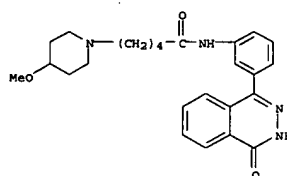
CM 2

CRN 104-15-4
 CMP C7 H8 O3 S



RN 286953-82-0 CAPLUS

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

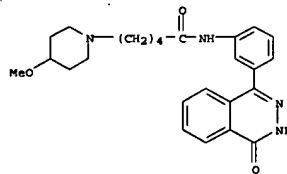


● HCl

RN 286953-84-2 CAPLUS
 CN 1-Piperidinepentanamide,
 N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-
 methoxy-, sulfate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 286953-80-8
 CMP C25 H30 N4 O3

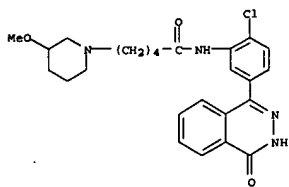


CM 2

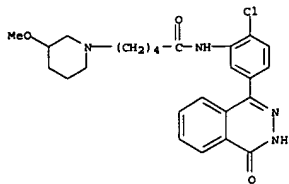
CRN 7664-93-9
 CMP H2 O4 S



L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RN 286954-00-5 CAPLUS
 CN 1-Piperidinepentanamide, N-[2-chloro-5-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy- (9CI) (CA INDEX NAME)



RN 286954-01-6 CAPLUS
 CN 1-Piperidinepentanamide, N-[2-chloro-5-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

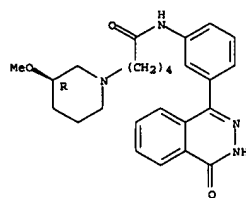


● HCl

RN 286954-04-9 CAPLUS
 CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

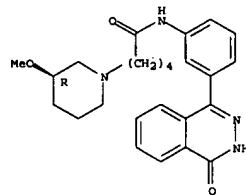
L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 286954-05-0 CAPLUS
 CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, (3R)- (9CI) (CA INDEX NAME)

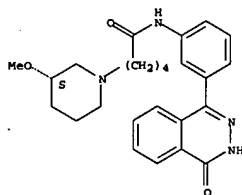
Absolute stereochemistry.



RN 286954-06-1 CAPLUS
 CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, (3S)- (9CI) (CA INDEX NAME)

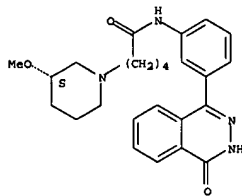
Absolute stereochemistry.

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 286954-07-2 CAPLUS
 CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

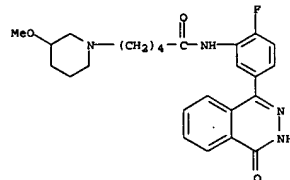
Absolute stereochemistry.



● HCl

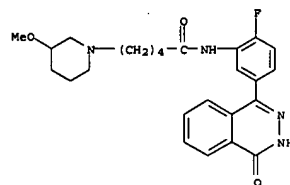
RN 286954-08-3 CAPLUS
 CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-fluorophenyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

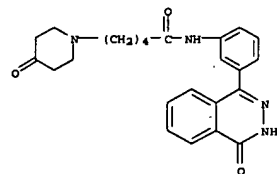


● HCl

RN 286954-09-4 CAPLUS
 CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-fluorophenyl]-3-methoxy- (9CI) (CA INDEX NAME)

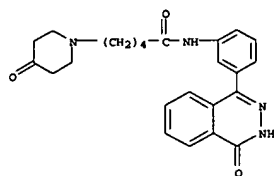


RN 286954-10-7 CAPLUS
 CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)



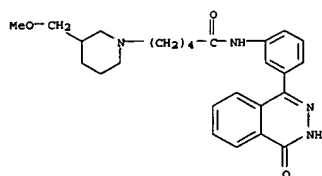
L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 286954-11-8 CAPLUS
 CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

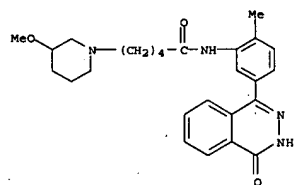
RN 286954-16-3 CAPLUS
 CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-(methoxymethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

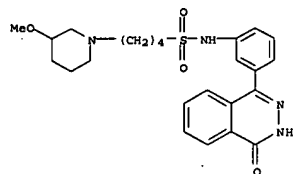
RN 286954-17-4 CAPLUS
 CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-(methoxymethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

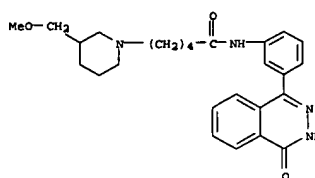
RN 286954-46-9 CAPLUS
 CN 1-Piperidinebutanesulfonamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



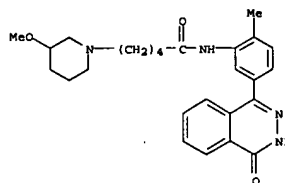
● HCl

RN 286954-47-0 CAPLUS
 CN 1-Piperidinebutanesulfonamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

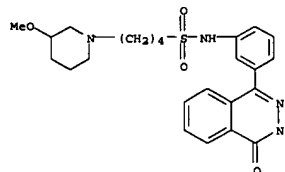


RN 286954-18-5 CAPLUS
 CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-methylphenyl]-3-methoxy- (9CI) (CA INDEX NAME)

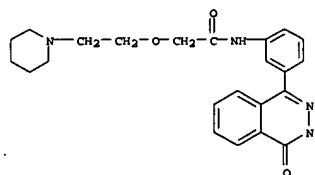


RN 286954-19-6 CAPLUS
 CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-methylphenyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

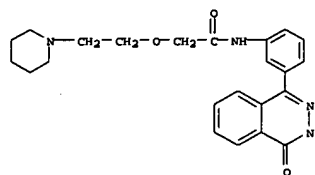
L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 286955-29-1 CAPLUS
 CN Acetamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-2-[2-(1-piperidinylethoxy)]- (9CI) (CA INDEX NAME)



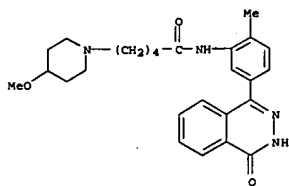
RN 286955-30-4 CAPLUS
 CN Acetamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-2-[2-(1-piperidinylethoxy)]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

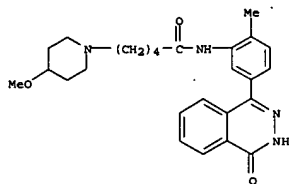
RN 286955-31-5 CAPLUS

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-methylphenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



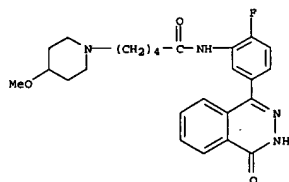
● HCl

RN 286955-32-6 CAPLUS
 CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-methylphenyl]-4-methoxy-, (9CI) (CA INDEX NAME)



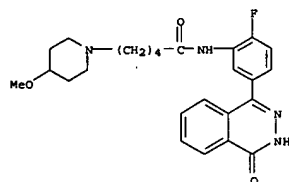
RN 286955-33-7 CAPLUS
 CN 1-Piperidinepentanamide, N-[2-chloro-5-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-methoxy-, (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



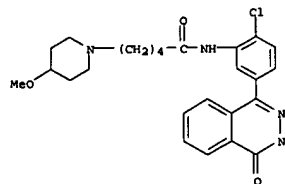
● HCl

RN 286955-36-0 CAPLUS
 CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-fluorophenyl]-4-methoxy-, (9CI) (CA INDEX NAME)

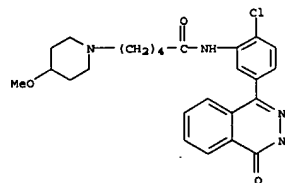


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 286955-34-8 CAPLUS
 CN 1-Piperidinepentanamide, N-[2-chloro-5-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 286955-35-9 CAPLUS
 CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-fluorophenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:137239 CAPLUS
 DOCUMENT NUMBER: 132:194292
 TITLE: Preparation of medicine composition containing pyridylamines
 INVENTOR(S): Ukita, Tatsuzo; Sugawara, Masakatsu; Ikezawa, Ichiro; Yoshikawa, Hideo; Naito, Kazuaki
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
 CODEN: JKOUAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000063275	A2	20000229	JP 1999-164565	19990611
PRIORITY APPLN. INFO.:			JP 1998-164045	A 19980612

OTHER SOURCE(S): MARPAT 132:194292
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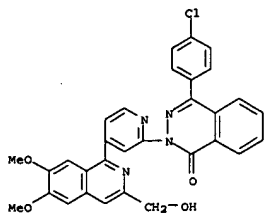
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; Q = N containing substituted benzoheterocyclic ring; Q1 = N containing substituted benzoheterocyclic ring], stereoisomers, pharmaceutical acceptable salts are prepared as active components in antiasthmatics.

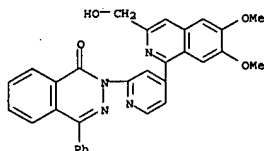
The title compound II was prepared
 IT 209261-40-5P 209261-41-6P 209261-49-4P
 209261-70-1P 259730-96-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyridylamines as antiasthmatics)

RN 209261-40-5 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(4-chlorophenyl)-2-[4-[3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

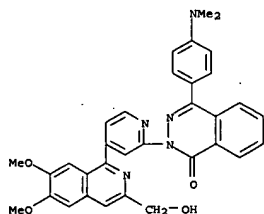


RN 209261-41-6 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[4-{3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl}-2-pyridinyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 209261-49-4 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[4-{6,7-diethoxy-3-(hydroxymethyl)-1-isoquinolinyl}-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

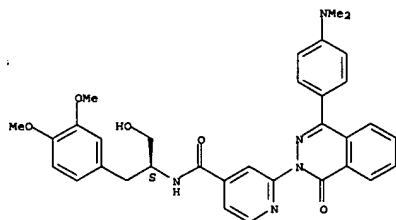
L4 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

IT 209261-89-2P 209261-97-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of pyridylamines as antiaesthetics)
 RN 209261-89-2 CAPLUS
 CN 4-Pyridinecarboxamide, N-[(1S)-2-(3,4-dimethoxyphenyl)-1-(hydroxymethyl)ethyl]-2-[4-{4-(dimethylamino)phenyl}-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

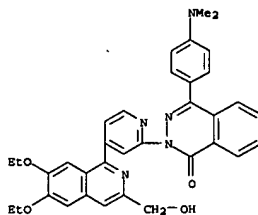


RN 209261-97-2 CAPLUS
 CN 4-Pyridinecarboxamide, N-[(1S)-2-(acetoxy)-1-[(3,4-dimethoxyphenyl)methyl]ethyl]-2-[4-{4-(dimethylamino)phenyl}-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Habe

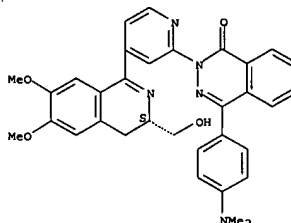
L4 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 209261-70-1 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[4-{(3S)-3,4-dihydro-3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl}-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

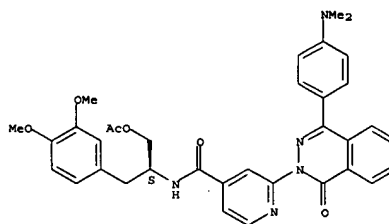
Absolute stereochemistry.



● HCl

RN 259730-96-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[4-(dimethylamino)phenyl]-2-[4-{3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl}-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

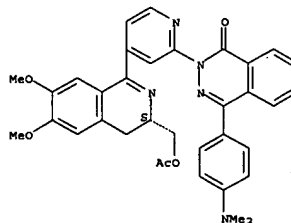
L4 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 209261-56-3P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyridylamines as antiaesthetics)

RN 209261-56-3 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[4-{(3S)-3-[(acetoxy)methyl]-3,4-dihydro-6,7-dimethoxy-1-isoquinolinyl}-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L4 ANSWER 30 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:404955 CAPLUS

DOCUMENT NUMBER: 131:58842

TITLE:

Preparation of phthalazinylidihydrobenzofurane as cyclic nucleotide phosphodiesterase inhibitors.
 Thibaut, Ulrich; Hatzelmann, Armin; Boss, Hildegard; Hafner, Dietrich; Beume, Rolf; Kley, Hans-Peter; Timmerman, Hendrik; Van Der Laan, Ivonne Johanna; Ulrich, Wolf-Rüdiger; Sterk, Geert Jan; Van Der Mey, Margareth

PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

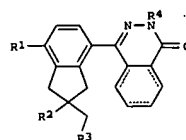
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931090	A1	19990624	WO 1998-EP8054	19981210
W: AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2314111	AA	19990624	CA 1998-2314111	19981210
AU 9922701	A1	19990705	AU 1999-22701	19981210
AU 753576	B2	20021024		
EP 1042319	A1	20001011	EP 1998-966288	19981210
EP 1042319	B1	20040204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, PL, RO				
EE 20000335	A	20011015	EE 2000-335	19981210
EE 4312	B1	20040615		
JP 2002508368	T2	20020319	JP 2000-539014	19981210
IL 126359	A1	20030312	IL 1998-136359	19981210
AT 258930	E	20040215	AT 1998-966288	19981210
PT 1042319	T	20040630	PT 1998-966288	19981210
ES 2216349	T3	20041016	ES 1998-966288	19981210
PL 191236	B1	20060428	PL 1998-341239	19981210
US 6380196	B1	20020430	US 2000-554088	20000803
PRIORITY APPL. INFO.:			EP 1997-122039	A 19971215
			WO 1998-EP8054	W 19981210

OTHER SOURCE(S): MARPAT 131:58842
 GI

L4 ANSWER 30 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. (I; R1 = alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R2 = alkyl; R3 = H, alkyl; R2R3 = spiro-linked 5-7 membered (O- or S-interrupted) hydrocarbon ring; R4 = R5, (CH2)mR6, (CH2)pAr; R5 =

H, alkyl, cycloalkyl, cycloalkylmethyl, polycycloalkyl, (substituted) Ph pyridyl; R6 = OH, halo, NO2, cyano, CO2H, alkoxy, carbonyl, amino, aminocarbonyl; Y = O, S, bond; Ar = naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, quinazolinyl, quinoxalyl, cinnolyl, purinyl,

pyrrolyl, (substituted) Ph, etc.; dotted lines = optional double bonds), were prepared Thus, (cis)-2-(2,3-dihydro-7-methoxybenzofuran-2'-spiro-1'-cyclopentane-4-carbonyl)-1,2,3,6-tetrahydrobenzoic acid (preparation given).

4-hydrazinobenzoic acid, and pyridine hydrochloride were refluxed 5 h in pyridine to give (cis)-4-(2,3-dihydro-7-methoxybenzofuran-2'-spiro-1'-

cyclopentane-4-yl)-2-(p-carboxyphenyl)-4a,5,8a-tetrahydro-2H-phthalazin-1-one. The latter inhibited PDE4 with -log IC50 = 9.38.

IT 227967-24-OP

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phthalazinylidihydrobenzofurane as cyclic nucleotide phosphodiesterase inhibitors)

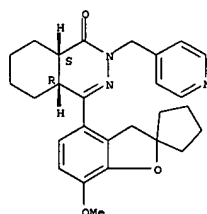
RN 227967-24-0 CAPLUS

CN 1(2H)-Phthalazinone,

4a,5,6,7,8,8a-hexahydro-4-(7-methoxy-2,3-dihydro-7-methoxybenzofuran-2'-spiro-1'-cyclopentane-4-yl)-2-(4-pyridinylmethyl)-, monohydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 30 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 13. THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 31 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:184260 CAPLUS

DOCUMENT NUMBER: 130:209323

TITLE:

Preparation of PARP inhibitors
 Jackson, Paul F.; Li, Jia-He; MacLin, Keith M.; Zhang,

Jie

PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 17

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911649	A2	19990311	WO 1998-US18185	19980902
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MM, SD, SE, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6346536	B1	20020212	US 1997-922548	19970903
US 6635642	B1	20031021	US 1998-145176	19980901
CA 2294074	AA	19990311	CA 1998-2294074	19980902
AU 9893748	A1	19990322	AU 1998-93748	19980902
EP 1012153	A1	20000628	EP 1998-946812	19980902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRIORITY APPL. INFO.:			US 1997-922520	A 19970903
			US 1997-922548	A 19970903
			US 1998-79512	A 19980515
			US 1998-145176	A 19980901
			WO 1998-US18185	W 19980902

AB PARP inhibitors were prepared and tested for their activity. E.g., 8-(aminocarbonyl)-4-quinolinecarboxylic acid was prepared

IT 218144-45-7P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

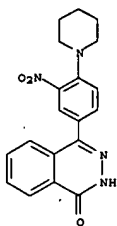
(preparation of PARP inhibitors)

RN 218144-45-7 CAPLUS

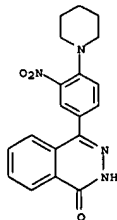
CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(1-piperidinyl)phenyl]- (9CI) (CA

INDEX NAME)

L4 ANSWER 31 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 32 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 therapeutic methods of using them, and processes for making them are disclosed. The compds., contg. at least one ring nitrogen, are I [X = double-bonded O, OH; R7 (when present) = H, lower alkyl; Y = atoms necessary to form fused mono-, bi- or tricyclic, carbocyclic or heterocyclic ring, wherein each individual ring has 5-6 ring member atoms;
 Z = (i) CHR2CHR3 (R2, R3 = H, alkyl, aryl, aralkyl); (ii) R6C-CR3 (R3, R6 = H, lower alkyl, aryl, aralkyl, halo, NO2, COOR7, NR7R8 (R8 = H, Cl-C9 alkyl), or R6 and R3 taken together form fused arom. ring, wherein each individual ring has 5-6 ring members); (iii) R2C=N; (i.v.) CR2(OH)NR7;
 (v) C(O)NR7] or a pharmaceutically acceptable base or acid addn. salt, hydrate, ester, solvate, prodrug, metabolite, stereoisomer or mixt. thereof.
 IT 218144-45-7
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);
 USES
 (Uses)
 (oxo-substituted heterocyclic compds., therapeutic methods, and compns. for inhibiting poly(ADP-ribose) polymerase (PARP) activity)
 RN 218144-45-7 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

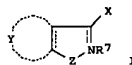
Habte

L4 ANSWER 32 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:184237 CAPLUS
 DOCUMENT NUMBER: 130:218328
 TITLE: Oxo-substituted heterocyclic compounds, therapeutic methods, and compositions for inhibiting poly(ADP-ribose) polymerase (PARP) activity
 INVENTOR(S): Li, Jie-He; Tays, Kevin L.; Zhang, Jie
 PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 152 pp.
 CODEN: PIXXD3
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 17
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911624	A1	19990311	WO 1998-US18195	19980902
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW			
RM:	GH, GM, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002022636	A1	20020221	US 1998-145180	19980901
CA 2294118	AA	19990311	CA 1998-2294118	19980902
AU 9892986	A1	19990322	AU 1998-92986	19980902
EP 1009739	A2	20000621	EP 1998-945833	19980902
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
BR 9812428	A	20000926	BR 1998-12428	19980902
JP 2002512637	T2	20020423	JP 1998-516977	19980902
NO 2000061002	A	20000427	NO 2000-1002	20000228
PRIORITY APPLN. INFO.:			US 1997-922520	A 19970903
			US 1998-79509	A 19980515
			US 1998-145180	A 19980901
			WO 1998-US18195	W 19980902

OTHER SOURCE(S): MARPAT 130:218328
 GI



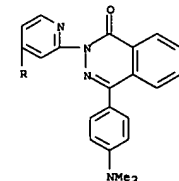
AB PARP-inhibiting oxo-substituted heterocyclic compds., compns. containing them,

L4 ANSWER 33 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

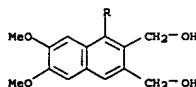
ACCESSION NUMBER: 1998:561163 CAPLUS
 DOCUMENT NUMBER: 129:239891
 TITLE: Naphthalene derivatives as antiaesthetics
 INVENTOR(S): Ukita, Tatsuzo; Ikezawa, Ichiro; Yamagata, Shinsuke
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp.
 CODEN: JKKXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10226647	A2	19980825	JP 1997-342351	19971212
JP 3217109	B2	20011210		
PRIORITY APPLN. INFO.:			JP 1996-333356	A 19961213

AB Naphthalene deriva. (Markush's structures included) and their pharmacol. acceptable salts are claimed as antiaesthetics, with phosphodiesterase IV-inhibiting activity, and for treatment of airway inflammation. The antiaesthetic, phosphodiesterase IV-inhibiting actions were tested in animal models.
 IT 186461-10-9P 186461-29-OP 186461-30-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (naphthalene deriva. as antiaesthetics)
 RN 186461-10-9 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[4-[2,3-bis(hydroxymethyl)-6,7-dimethoxy-1-naphthalenyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



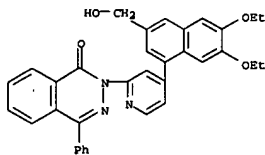
● HCl



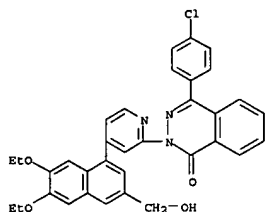
10/18/2006

L4 ANSWER 33 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

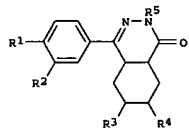
RN 186461-29-0 CAPLUS
 CN 1(2H)-Phthalazinone,
 2-[4-[6,7-diethoxy-3-(hydroxymethyl)-1-naphthalenyl]-
 2-pyridinyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 186461-30-3 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(4-chlorophenyl)-2-[4-[6,7-diethoxy-3-(hydroxymethyl)-1-naphthalenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. [I; R1 = alkoxy, fluoroalkoxy; R2 = alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R3, R4 = H, or R3R4 = bond; R5 = R6, (CH2)mR7, (CH2)nCOR8, CH(R9)2, (CH2)pAr, R6 = H, alkyl, cycloalkyl, cycloalkylmethyl, alkenyl, alkynyl, naphthyl, phenylalkenyl, pyridyl, pyrazinyl, indanyl, etc.; R7 = OH, halo, cyano, NO2, ONO2, CO2H, PhO, alkoxy, cycloalkoxy, alkylcarbonylamino, etc.; R8 = (substituted) Ph, naphthyl, phenanthryl, anthracenyl; R9 = (CH2)qPh; Ar = naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, quinazolinyl, cinnolinyl, isoquinolinyl, imidazolyl, pyrazolyl, oxazolyl, thiazolyl, furyl, thienyl, pyrrolyl, (substituted) Ph, etc.; m = 1-8; n = 1-4; p = 1-6; q = 0-2], were prepared Thus, cis-4-(3,4-dimethoxyphenyl)-2-propyl-4a,5,6,7,8,8a-hexahydro-2H-phthalazin-1-one (preparation outlined) inhibited PDE 4 with -log IC50 > 7.5.

IT 210466-85-6P 210466-87-8P 210467-37-1P
 210467-38-2P 210467-39-3P 210467-40-6P
 210467-41-7P 210467-42-8P 210467-43-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOI (Biological study); PREP (Preparation); USES (Uses) (preparation of arylphthalazinones as inhibitors of cyclic nucleotide phosphodiesterase)

RN 210466-85-6 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-[4-(1-piperidinyl)butyl]-, monohydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:509189 CAPLUS
 DOCUMENT NUMBER: 129:136174
 TITLE: Preparation of arylphthalazinones as inhibitors of cyclic nucleotide phosphodiesterase.
 INVENTOR(S): Van Der Mey, Margaretha; Van Der Leen, Ivonne
 Johanna;

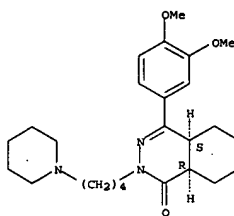
Timmerman, Hendrik; Hatzelmann, Armin; Boss, Hildegard; Hafner, Dietrich; Beume, Rolf; Kley, Hans-Peter; Sterk, Geert Jan
 Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
 PATENT ASSIGNEE(S):
 SOURCE: PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9831674	A1	19980723	WO 1998-EP124	19980112
W: AL, AU, BA, BG, BR, CA, CN, CZ, DE, GE, HU, ID, IL, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RM: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2276455	AA	19980723	CA 1998-2276455	19980112
AU 9858629	A1	19980807	AU 1998-58629	19980112
AU 735934	B2	20010719		
EP 971901	A1	20000119	EP 1998-901959	19980112
EP 971901	B1	20030226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 9900274	A	20000215	EE 1999-274	19980112
EE 3968	B1	20030217		
BR 9806752	A	20000314	BR 1998-6752	19980112
NZ 336573	A	20001027	NZ 1998-336573	19980112
JP 2001508078	T2	20010619	JP 1998-533635	19980112
IL 130659	A1	20020725	IL 1998-130659	19980112
AT 233247	E	20030315	AT 1998-901959	19980112
SK 283270	B6	20030401	SK 1999-951	19980112
PT 971901	T	20030731	PT 1998-901959	19980112
ES 2193508	T3	20031101	ES 1998-901959	19980112
CN 1127487	B	20031112	CN 1998-803169	19980112
CZ 293815	B6	20040818	CZ 1999-2533	19980112
PL 189418	B1	20050831	PL 1998-334561	19980112
NO 9903301	A	19990910	NO 1999-3301	19990702
NO 313137	B1	20020819		
US 6103718	A	20000815	US 1999-341135	19990714
HK 1024692	A1	20030620	HK 2000-103993	20000630
PRIORITY APPL. INFO.:			EP 1997-100488	A 19970115
			WO 1998-EP124	W 19980112

OTHER SOURCE(S): MARPAT 129:136174

GI

L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



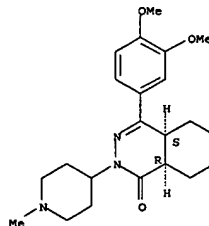
• HCl

RN 210466-87-8 CAPLUS
 CN 1(2H)-Phthalazinone,
 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(1-methyl-4-piperidinyl)-, (4aR,8aS)-rel-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 210466-86-7
 CMP C22 H31 N3 O3

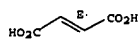
Relative stereochemistry.



CM 2

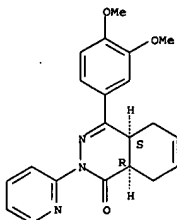
CRN 110-17-8
 CMP C4 H4 O4

L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Double bond geometry as shown.



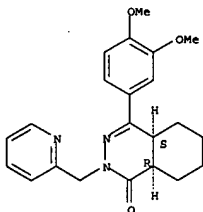
RN 210467-37-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(2-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



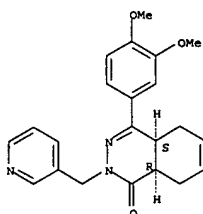
RN 210467-38-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(2-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



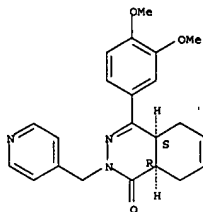
RN 210467-39-3 CAPLUS

L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Relative stereochemistry.



RN 210467-42-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

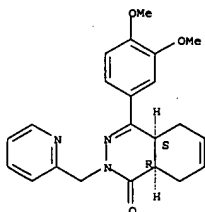


RN 210467-43-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(4-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

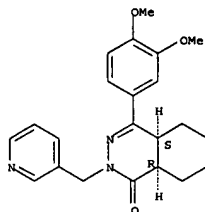
L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(2-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 210467-40-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(3-pyridinylmethyl)-, monohydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

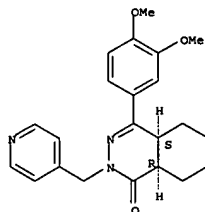
Relative stereochemistry.



• HCl

RN 210467-41-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(3-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1998:398243 CAPLUS
 DOCUMENT NUMBER: 129:81741
 TITLE: Preparation of pyridines as antiasthmatics
 INVENTOR(S): Ukita, Tatsu; Sugahara, Masakatsu; Ikezawa, Katsuo;
 Kikkawa, Hideo; Naito, Kazuaki
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 59 pp.
 CODEN: EPXDXW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

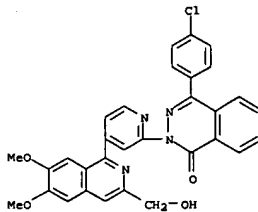
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 848000	A1	19980617	EP 1997-309947	19971210
EP 848000	B1	20020612		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 5965730	A	19991012	US 1997-985042	19971204
TW 429257	B	20010411	TW 1997-86118300	19971205
AT 219075	E	20020615	AT 1997-309947	19971210
PT 848000	T	20020930	PT 1997-309947	19971210
ES 2178741	T3	20030101	ES 1997-309947	19971210
CA 2224635	AA	19980613	CA 1997-2224635	19971211
CA 2224635	C	20060131		
CN 1184813	A	19980617	CN 1997-125491	19971212
CN 1127498	B	20031112		
JP 10226685	A2	19980825	JP 1997-342352	19971212
HK 1012505	A1	20021025	HK 1998-113891	19981217
PRIORITY APPLN. INFO.:			JP 1996-333357	A 19961213

OTHER SOURCE(S): MARPAT 129:81741
 GI

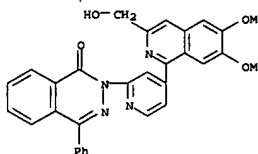
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A = II-VI (wherein R1, R2 = H, (un)protected OH; R31, R41, R42 = (un)protected CH2OH; R32 = H, lower alkyl, (un)protected CH2OH; R33 = (un)substituted lower alkyl; the dotted line means the presence or absence of a double bond); R5, R6 = H, (un)protected NH2, or NR5R6 = (un)substituted heterocycle], which show excellent bronchoconstriction inhibitory activity and/or anti-inflammatory activity of airways, and therefore are useful in the prophylaxis or treatment of asthma, were prepared. Thus, reaction of
 4-(3-pyridyl)phthalazin-1(2H)-one
 with 2-bromo-4-[6,7-dimethoxy-2-(4-pyridyl)methyl]phthalazin-1(2H)-on-4-ylpyridine in the presence of K2CO3 and CuI in DMF afforded the title compound VII. Compds. I are effective at 0.003-3 mg/kg/day.
 IT 209261-40-5P 209261-41-6P 209261-49-4P
 209261-56-3P 209261-70-1P 209261-89-2P
 209261-97-2P

L4 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of pyridines as antiasthmatics)
 RN 209261-40-5 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[4-(4-chlorophenyl)-2-[4-[3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-4-phenyl]-9CI (CA INDEX NAME)

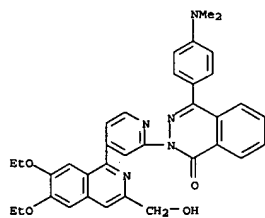


RN 209261-41-6 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[4-[3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-4-phenyl]-9CI (CA INDEX NAME)



RN 209261-49-4 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[4-[6,7-diethoxy-3-(hydroxymethyl)-1-isoquinolinyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

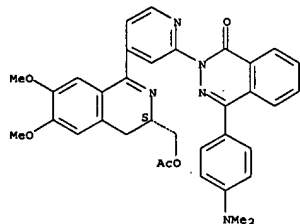
L4 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 209261-56-3 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[4-[(3S)-3-[(acetyloxy)methyl]-3,4-dihydro-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

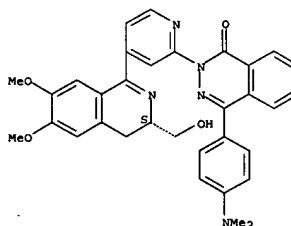


● HCl

RN 209261-70-1 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[4-[(3S)-3,4-dihydro-3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

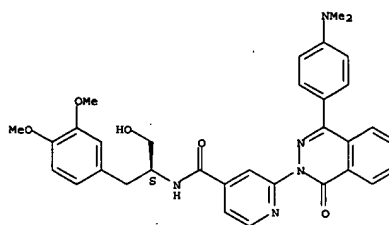
L4 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 209261-89-2 CAPLUS
 CN 4-Pyridinecarboxamide, N-[(1S)-2-(3,4-dimethoxyphenyl)-1-(hydroxymethyl)ethyl]-2-[4-[4-(dimethylamino)phenyl]-1-oxo-2(1H)-phthalazinyl]-9CI (CA INDEX NAME)

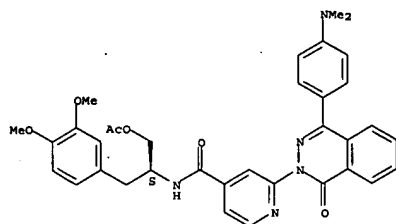
Absolute stereochemistry.



RN 209261-97-2 CAPLUS
 CN 4-Pyridinecarboxamide, N-[(1S)-2-(acetyloxy)-1-[(3,4-dimethoxyphenyl)methyl]ethyl]-2-[4-[4-(dimethylamino)phenyl]-1-oxo-2(1H)-phthalazinyl]-9CI (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

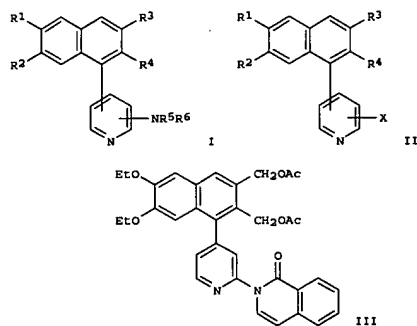
L4 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:127403 CAPLUS
DOCUMENT NUMBER: 126:131466
TITLE: Preparation of naphthalene derivatives as bronchoconstriction inhibitors
INVENTOR(S): Ukita, Tatsuzo; Ikezawa, Katsuo; Yamagata, Shinsuke
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 76 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 748805	A1	19961218	EP 1996-304033	19960604
EP 748805	B1	19980408		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
IL 118469	A1	20000813	IL 1996-118469	19960530
AU 9654693	A1	19970102	AU 1996-54693	19960604
AU 706156	B2	19990610		
AT 164843	E	19980415	AT 1996-304033	19960604
ES 2116131	T3	19980701	ES 1996-304033	19960604
CA 2178974	AA	19961216	CA 1996-2178974	19960614
CA 2178974	C	20060606		
NO 9602527	A	19961216	NO 1996-2527	19960614
NO 310109	B1	20010521		
JP 09059255	A2	19970304	JP 1996-152761	19960614
JP 3031090	B2	20000417		
BR 9602802	A	19981006	BR 1996-2802	19960614
RU 2129120	C1	19990420	RU 1996-112130	19960614
US 6005106	A	19991221	US 1996-663991	19960614
ZA 9604652	A	19961212	ZA 1996-4652	19960615
CN 1142497	A	19970212	CN 1996-106608	19960617
CN 1063748	B	20010328		
US 5969140	A	19991019	US 1998-109099	19980702
US 6214996	B1	20010410	US 1998-201820	19981201
PRIORITY APPLN. INFO.:				A 19950615
				US 1996-663991 A3 19960614

OTHER SOURCE(S): MARPAT 126:131466
GI

L4 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds. [I; R1, R2 = H, (un)protected OH; one of R3 and R4 is (un)protected HOCH2, and the other is H, lower alkyl, (un)protected HOCH2;

R5, R6 = H, (un)substituted lower alkyl, (un)substituted Ph,

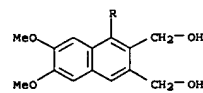
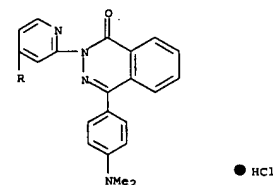
(un)protected

NH2; R5 and R6 may combined together with the adjacent N to form (un)substituted heterocyclyl and pharmaceutically acceptable salts thereof are prepared by reacting compds. (II; X = halo; R1, R2, R3, R4 = same as above) or N-oxide of II with HNR5R6 (R5, R6 = same as above). I, possessing bronchoconstriction inhibitory activity, are useful in the prophylaxis or treatment of asthma. Thus, 1-(4-pyridyl)-2,3-bis(acetoxymethyl)-6,7-diethoxynaphthalene N-oxide was reacted with 1-chloroisquinoline at 150-160° to give the title compound (III). I showed antigen-induced bronchoconstriction inhibitory activity more than 30 times as strong as those of theophylline.

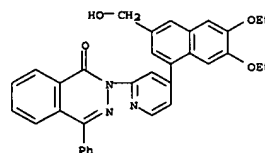
IT 186461-10-9P 186461-29-OP 186461-30-3P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of naphthalene derivs. as bronchoconstriction inhibitors)

RN 186461-10-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[2,3-bis(hydroxymethyl)-6,7-dimethoxy-1-naphthalenyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

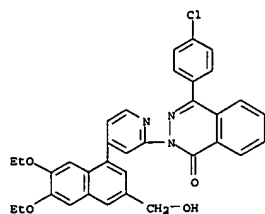


RN 186461-29-0 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[6,7-diethoxy-3-(hydroxymethyl)-1-naphthalenyl]-2-pyridinyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 186461-30-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-(4-chlorophenyl)-2-[4-[6,7-diethoxy-3-(hydroxymethyl)-1-naphthalenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

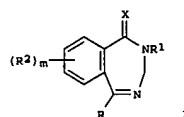
L4 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 37 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995:435810 CAPLUS
 DOCUMENT NUMBER: 122:187599
 TITLE: Preparation of phthalazinone derivatives as pesticides.
 INVENTOR(S): Bowden, Keith; Bushey, Dean F.; D'Silva, Themistocles;
 Neil;
 Patent Assignee(s): Ray, Nicholas Ch.; Smith, Philip Henry G.
 SOURCE: Rhone-Poulenc Agriculture Ltd., UK
 Eur. Pat. Appl., 44 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

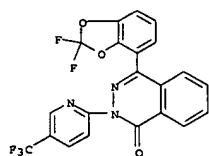
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 634404	A1	19950118	EP 1994-110570	19940707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9467351	A1	19950127	AU 1994-67351	19940708
FI 9403317	A	19950114	FI 1994-3317	19940712
BR 9402264	A	19950114	BR 1994-2264	19940712
HU 67328	A2	19950328	HU 1994-2078	19940712
CA 2127933	AA	19950114	CA 1994-2127933	19940713
ZA 9405095	A	19950222	ZA 1994-5095	19940713
CN 1103866	A	19950621	CN 1994-108593	19940713
JP 07165730	A2	19950627	JP 1994-161320	19940713
PRIORITY APPLN. INFO.:			US 1993-90718	A 19930713
			US 1993-90726	A 19930713

OTHER SOURCE(S): CASREACT 122:187599; MARPAT 122:187599
 GI



AB Title compds. [I; R, R1 = H, (halo-substituted) alkyl, alkenyl, alkynyl, (substituted) aryl(alkyl); R2 = cyano, NO2, amino, halo, (halo-substituted) alkyl, alkenyl, alkynyl, (substituted) Ph, carbamoyl, acylamino, sulfonylamino, etc.; X = O, S; m = 0-4], were prepared. Thus, 2-(3-(trifluoromethylbenzoyl)benzoic acid (preparation given).

L4 ANSWER 37 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 2,4-difluorophenylhydrazine hydrochloride, and Et3N were refluxed in PhMe with azeotropic removal of H2O to give 2-(2,4-difluorophenyl)-4-(3-(trifluoromethylphenyl)phthalazin-1-one. Several I at 500 ppm gave
 70-100% kill of Epilachna varivestis and Heliothis virescens, and at 54000 g/ha pre- or postemergent gave 290% redn. in 21 weed species.
 IT 161716-38-7P
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of phthalazinone derivs. as pesticides)
 RN 161716-38-7 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(2,2-difluoro-1,3-benzodioxol-4-yl)-2-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

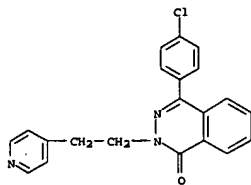


L4 ANSWER 38 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1994:680683 CAPLUS
 DOCUMENT NUMBER: 121:280683
 TITLE: Aryl-fused and heteroaryl-fused 2,4-diazocine antiarrhythmic agents.
 INVENTOR(S): Johnson, Robert Ed; Schlegel, Donald Charles; Ezrin, Alan Mark
 PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA
 SOURCE: Eur. Pat. Appl., 131 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 597540	A1	19940518	EP 1993-203115	19931106
EP 597540	B1	19960605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2104060	AA	19940511	CA 1993-2104060	19930813
AU 9344720	A1	19940522	AU 1993-44720	19930817
JP 06220025	A2	19940809	JP 1993-236532	19930922
HU 67281	A2	19950328	HU 1993-3135	19931104
FI 9304895	A	19940511	FI 1993-4895	19931105
AT 138916	E	19960615	AT 1993-203115	19931106
NO 9304058	A	19940511	NO 1993-4058	19931109
US 5380721	A	19950110	US 1994-250995	19940531
US 5624922	A	19970429	US 1995-449457	19950524
PRIORITY APPLN. INFO.:			US 1992-974396	A 19921110
			US 1993-21926	A 19930224
			US 1990-580065	B2 19900910
			US 1991-743853	B2 19910613
			US 1994-250995	A3 19940531
			US 1994-308893	A3 19940919

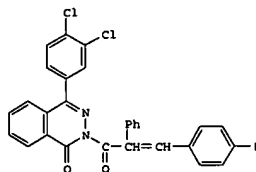
OTHER SOURCE(S): MARPAT 121:280683
 GI For diagram(s), see printed CA Issue.
 AB The title compds. [I; A = (un)substituted aryl or heteroaryl ring, etc.; R1 = H, lower alkyl benzyl, naphthyl, thienyl, pyridinyl, (un)substituted Ph; R2 = alkyl; R3 = (un)substituted alkylamine (un)substituted alkoxy, (un)substituted alkylthio, (un)substituted alkyl; R4 = H, lower alkyl, allyl, acetyl, etc.; R5 = H, lower alkyl, naphthyl, thienyl, pyridinyl, benzyl, etc.], useful as antiarrhythmic agents, are prepared. Thus, benzodiazepene II, m.p. 114-115° was prepared and demonstrated a 0.31 mg/kg dose to increase the effective refractory in an anesthetized guinea pig model by >20 ms.
 IT 158425-30-OP
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in preparation of diazocine antiarrhythmic agents)
 RN 158425-30-0 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(4-chlorophenyl)-2-[2-(4-pyridinyl)ethyl]- (9CI)

L4 ANSWER 38 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(CA INDEX NAME)



L4 ANSWER 39 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:191650 CAPLUS

DOCUMENT NUMBER: 120:191650
TITLE: Behavior of 1-[4-(3',4'-dichlorophenyl)-1-(2H)-phthalazinone-2-yl]-3-(4-chlorophenyl)-2-propen-1-one towards different nucleophiles
AUTHOR(S): El-Farargy, Ahmed; Yassin, Fathi; Hafez, Taghrid
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
SOURCE: Collection of Czechoslovak Chemical Communications (1993), 58(8), 1937-43
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The reactions of the title compound I with nucleophiles was reported. Some

deriva. of I were tested as reaction bactericides.

IT 153682-68-9 153682-69-0 153682-70-3

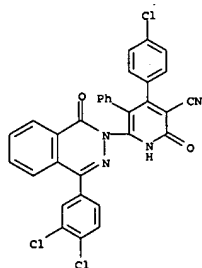
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation as bactericide)

RN 153682-68-9 CAPLUS

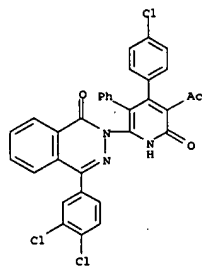
CN 3-Pyridinecarbonitrile,

4-(4-chlorophenyl)-6-[4-(3,4-dichlorophenyl)-1-oxo-2(1H)-phthalazinyl]-1,2-dihydro-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

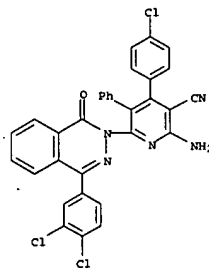


RN 153682-69-0 CAPLUS
CN 1-(2H)-Phthalazinone, 2-[5-acetyl-4-(4-chlorophenyl)-1,6-dihydro-6-oxo-3-phenyl-2-pyridinyl]-4-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



RN 153682-70-3 CAPLUS
CN 3-Pyridinecarbonitrile, 2-amino-4-(4-chlorophenyl)-6-[4-(3,4-dichlorophenyl)-1-oxo-2(1H)-phthalazinyl]-5-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

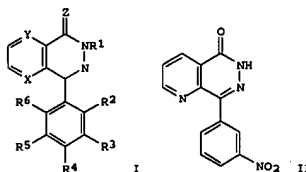


L4 ANSWER 40 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993:580830 CAPLUS
 DOCUMENT NUMBER: 119:180830
 TITLE: Benzopyridazinone and pyridopyridazinone and pyridazinethione compounds with phosphodiesterase IV inhibiting activity
 INVENTOR(S): Wilhelm, Robert Stephen; Loe, Bradley Earl; Devens, Bruce Henry; Alvarez, Robert; Martin, Michael Grant
 PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., USA
 SOURCE: PCT Int. Appl., 174 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

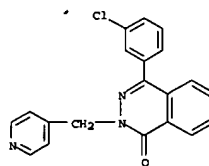
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9307146	A1	19930415	WO 1992-US8398	19921008
W: AU, CA, FI, HU, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9227815	A1	19930503	AU 1992-27815	19921008
AU 670544	B2	19960725		
ZA 9207755	A	19940408	ZA 1992-7755	19921008
EP 612321	A1	19940831	EP 1992-922090	19921008
EP 612321	B1	19990825		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
JP 07500321	T2	19950112	JP 1993-507078	19921008
JP 3245165	B2	20020107		
HU 66969	A2	19950130	HU 1994-949	19921008
IL 103388	A1	19970930	IL 1992-103388	19921008
ES 2105920	A1	19971016	ES 1992-1998	19921008
ES 2105920	B1	19980701		
AT 183745	E	19990915	AT 1992-922090	19921008
ES 2135416	T3	19991101	ES 1992-922090	19921008
CA 2117059	C	20030520	CA 1992-2117059	19921008
CN 1088927	A	19940706	CN 1993-100542	19930101
CN 1045962	B	19991027		
NO 9401210	A	19940405	NO 1994-1210	19940405
NO 302888	B1	19980504		
FI 9401567	A	19940406	FI 1994-1567	19940406
FI 108229	B1	20011214		
US 5716954	A	19980210	US 1995-369041	19950105
PRIORITY APPLN. INFO.:			US 1991-775679	A 19911009
			WO 1992-US8398	A 19921008
			US 1993-86954	B1 19930702

OTHER SOURCE(S): MARPAT 119:180830
 GI

L4 ANSWER 40 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

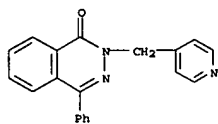


AB The title compds. I (X, Y = N, C; Z = oxygen, sulfur; R1-4 = H, alkyl, cyano, etc.) and their use in antiasthmatics, analgesics, inflammation inhibitors, prevention of allograft rejection, and graft-vs.-host rejection and autoimmune disease are claimed. Ring opening of 2,3-pyridinedicarboxylic acid anhydride followed by condensation with 3-nitrobenzaldehyde gave 7-(3-nitrophenyl)furo[3,4-b]pyridin-5-one. This was converted to 2-(hydroxy(3-nitrophenyl)methyl)-3-pyridinecarboxylate. The latter was oxidized to give 2-(3-nitrobenzoyl)-3-pyridinecarboxylic acid which was condensed with hydrazine to give 8-(3-nitrophenyl)pyrido[2,3-d]pyridazin-5-one (II).
 IT 150348-60-OP 150348-74-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as phosphodiesterase inhibitor)
 RN 150348-60-0 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(3-chlorophenyl)-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

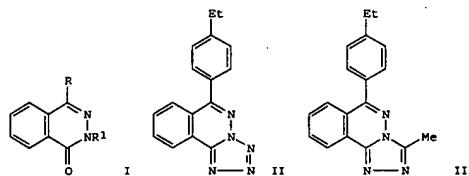


RN 150348-74-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-phenyl-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 40 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

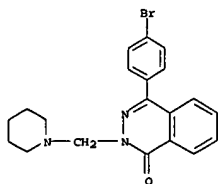


L4 ANSWER 41 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1991:247220 CAPLUS
 DOCUMENT NUMBER: 114:247220
 TITLE: Some reactions of 4-aryl-1(2H)-phthalazinones
 AUTHOR(S): Soliman, A. Y.; Bakeer, H. M.; Sayed, M. A.; Islam, I.; Mohamed, A. A.
 CORPORATE SOURCE: Dep. Chem., Fac. Educ., Fayoum, Egypt
 SOURCE: Chinese Journal of Chemistry (1990), (6), 549-54
 CODEN: CJOCEV; ISSN: 1001-604X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Arylphthalazinones I (R = CH2Ph, 4-BrC6H4, 4-EtC6H4, R1 = H), prepared from cyclocondensation of 2-RCOC6H4CO2H with hydrazine, underwent Grignard and Mannich reactions. Thus, the Mannich reaction of I (R = CH2Ph, R1 = H) with CH2O and piperidine gives I (R = CH2Ph, R1 = piperidinomethyl). Title compds. also underwent other reactions which ultimately gave tricyclic compds., e.g. tetrazolophthalazine II and triazolophthalazine III. Thus, I (R = 4-EtC6H4, R1 = H) was chlorinated and cyclocondensed with NaN3 to give II.
 IT 133958-80-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 133958-80-2 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(4-bromophenyl)-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 42 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:122240 CAPLUS

DOCUMENT NUMBER: 114:122240

TITLE: Synthesis and pharmacology of 1-oxo-pyridazine- and 1-oxo-phthalazine-2-acetic acid derivatives
 AUTHOR(S): Horn, Heidmarie; Morgenstern, Eve; Unverferth, K.
 CORPORATE SOURCE: Sek. Biowiss., Univ. Leipzig, Leipzig, O-7010, Germany

SOURCE: Pharmazie (1990), 45(10), 724-7

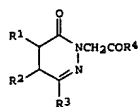
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 114:122240

GI



AB The title compds. I (R1 = H, Et; R2 = H; R1R2 = C4H4, etc.; R3 = Ph, 4-MeOC6H4, 4-ClC6H4, 2-thienyl, etc.; R4 = CO2H, CONR5R6; R5 = H; R5R6 = piperidino, etc.) were prepared by cyclocondensation of benzoylpropionic acid deriva. with H2NNHCH2CO2Et and sequential hydrolysis or aminolysis. I (R1R2 = C4H4, R3 = 1H-imidazol-2-yl, R4 = CO2Et) and I (R1R2 = C4H4, R3 = 4-BrC6H4, R4 = CO2Na) had weakly anticonvulsant activity in mice.

Other I had sedative activity.

IT 132544-92-4P

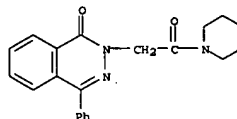
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 132544-92-4 CAPLUS

CN Piperidine, 1-[(1-oxo-4-phenyl-2(1H)-phthalazinyl)acetyl]- (9CI) (CA

INDEX NAME)



L4 ANSWER 43 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:178837 CAPLUS

DOCUMENT NUMBER: 112:178837

TITLE: Bromoalkylphthalazinones and isomeric oxazolinium salts as intermediates and synthons
 AUTHOR(S): Csampai, A.; Kormendy, K.; Sohar, P.; Ruff, F.
 CORPORATE SOURCE: Res. Group Pept. Chem., Hung. Acad. Sci., Budapest, H-1445, Hung.

SOURCE: Tetrahedron (1989), 45(17), 5539-48

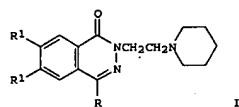
CODEN: TETRAH; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 112:178837

GI



AB 2-(ω-Piperidinoalkyl)phthalazin-1(2H)-ones I (R = H, Me, Ph, OH, NH2, Cl; R1 = H, MeO) can be prepared from the 2-hydroxyalkyl compds. both

via the open-chain 2-bromoalkyl deriva. and via their cyclic isomers. Substitution reactions of bromoalkyl compds. may be assisted by polar solvents and by neighboring group effect of hydrazinocarbonyl moiety. Nucleophiles attack the condensed oxazolinium ring in tricyclic intermediates at the saturated carbon bonded to the oxygen with ring opening.

Addition of piperidine to unsatd. iminohydrin-carbon was only found with a tetracyclic bis cation having both oxazolinium and strong electron-withdrawing amidinium parts.

IT 126278-55-5P 126278-61-3P

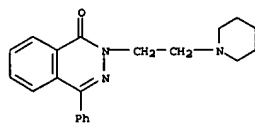
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 126278-55-5 CAPLUS

CN 1(2H)-Phthalazinone, 4-phenyl-2-[2-(1-piperidinyl)ethyl]- (9CI) (CA

INDEX NAME)



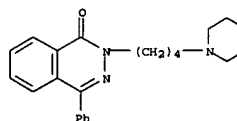
RN 126278-61-3 CAPLUS

Habte

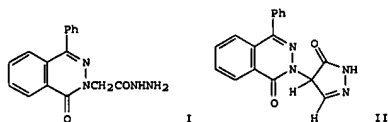
L4 ANSWER 43 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CN 1(2H)-Phthalazinone, 4-phenyl-2-[4-(1-piperidinyl)butyl]- (9CI) (CA

INDEX NAME)

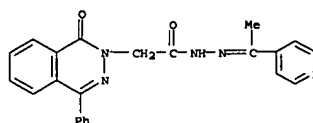


L4 ANSWER 44 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1990:158176 CAPLUS
 DOCUMENT NUMBER: 112:158176
 TITLE: Synthesis and reactions of phthalazine derivatives.
 Part I. Acylation and condensation of
 1(2H)-oxo-4-phenylphthalazine-2-acetic acid
 hydrazide:
 synthesis of heterobicyclics and
 heterobicyclicmethanes
 AUTHOR(S): El-Gendy, Z.; Abdel-Rahman, R. M.
 CORPORATE SOURCE: Fac. Educ., Ain-Shams Univ., Cairo, Egypt
 SOURCE: Indian Journal of Chemistry, Section B: Organic
 Chemistry Including Medicinal Chemistry (1989),
 28B(8), 647-53
 CODEN: IJSBDB; ISSN: 0376-4699
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 112:158176
 GI

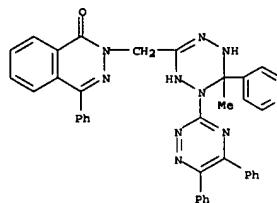


AB Acylation and condensation of 1(2H)-oxo-4-phenylphthalazine-2-acetic acid hydrazide (I) with esters, acid halides, substituted isothiocyanates, acrylonitrile, aldehydes, oxo acids, ketones, and 1,2- and 1,3-bicarbonyl compds. have been carried out to get heterobicyclic compds. and substituted heterobicyclicmethanes. E.g., reaction of I with HC(OEt)3 at reflux 4 h gave 70% phthalazinyldipyrzalone II.
 IT 126081-24-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and cyclization of)
 RN 126081-24-1 CAPLUS
 CN 2(1H)-Phthalazineacetic acid, 1-oxo-4-phenyl-, [1-(4-pyridinyl)ethylidene]hydrazide (9CI) (CA INDEX NAME)

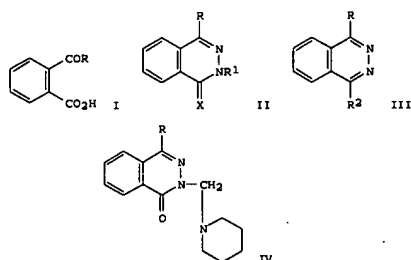
L4 ANSWER 44 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 126081-31-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 126081-31-0 CAPLUS
 CN 1(2H)-Phthalazinone, 2-[[1-(5,6-diphenyl-1,2,4-triazin-3-yl)-1,2,5,6-tetrahydro-6-methyl-6-(4-pyridinyl)-1,2,4,5-tetrazin-3-yl]methyl]-4-phenyl- (9CI) (CA INDEX NAME)

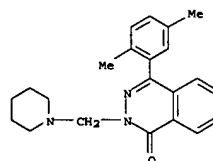


L4 ANSWER 45 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1987:156382 CAPLUS
 DOCUMENT NUMBER: 106:156382
 TITLE: Synthesis and reactions of phthalazine derivatives
 AUTHOR(S): Afify, A. A.; Sayed, M. A.; El-Faragry, A.; Tamam, G. H.
 CORPORATE SOURCE: Fac. Sci., Ain Shams Univ. Abbassia, Cairo, Egypt
 SOURCE: Journal of the Chemical Society of Pakistan (1986),
 8(1), 53-7
 CODEN: JCSPDF; ISSN: 0253-5106
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 106:156382
 GI

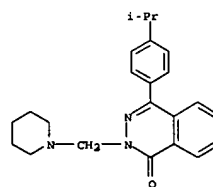


AB Arylbenzoic acids I (R = 4-Me2CHC6H4, 2,5-Me2C6H3) on treatment with hydrazines give the corresponding phthalazinones II (R1 = e.g. H, Ph; X = O). II (R1 = H; X = O) reacts with POCl3 to yield chlorophthalazine III (R2 = Cl) which reacts with NH4 to give III (R2 = NHNH2). Phthalazinone II (R1 = H; X = O) undergoes alkylation to give alkylarylphthalazine III (R2 = Et, CH2CO2Et) and also reacts with P2S5 to give the corresponding thione II (R1 = H; X = S). Phthalazinones II (R1 = H; X = O) undergo Mannich reaction to give piperidinomethylphthalazinones IV.
 IT 106536-72-5P 106536-73-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 106536-72-5 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(2,5-dimethylphenyl)-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 45 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 106536-73-6 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[4-(1-methylethyl)phenyl]-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)

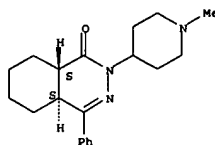


L4 ANSWER 46 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1960:118213 CAPLUS
 DOCUMENT NUMBER: 54:118213
 ORIGINAL REFERENCE NO.: 54:22593b-1
 TITLE: Synthetic drugs. V. Preparation of basically substituted 6-pyridazinones
 AUTHOR(S): Jucker, E.; Süss, R.
 CORPORATE SOURCE: Sandoz, Basel, Switz.
 SOURCE: Helvetica Chimica Acta (1959), 42, 2506-14
 CODEN: HCAVAV; ISSN: 0018-019X
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 AB cf. preceding abstract Basically substituted pyridazinones were prepared by known methods. The already known 2-benzoylcyclohexane-1-carboxylic acid of m.p. 139-40° (Pieser and Novello, CA 36, 31715) was assigned the cis configuration; the epimeric trans derivative also was prepared. Some of the prepared compds. showed analgesic properties. To a cooled solution of KCN in water β -benzoylacrylic acid was added with stirring. The precipitated K salt was filtered off, dissolved in water and treated with 2N HCl to give 62% α -cyano- β -benzoylpropionic acid, separated as an oil, m. 101-3° (Et₂O). trans-2-Benzoylcyclohexane-1-carboxylic acid (I) was prepared in 92% yield, m. 151-2° (Et₂O) as described by F. and N. (loc. cit.) for the cis epimer (II). I also was obtained from II by heating a solution in 2N NaOH for 16 hrs. at 100°. It was refluxed with N-methyl-4-piperidylhydrazine in EtOH for 24 hrs. to precipitate 74% cis-1-(1-methyl-4-piperidyl)-3-phenyl-4,5-tetramethylene-4,5-dihydro-6-pyridazinone (III), m. 146° (EtOH); the trans epimer (IV) was prepared in an analogous way in 78% yield, m. 155° (EtOH). To a solution of IV in AcOH a solution of Br in AcOH was added dropwise. The mixture was heated 2 hrs. to 120°, AcOH removed by distillation and the residue recrystd. from H₂O and EtOH to give 62% 1-(1-methyl-4-piperidyl)-3-phenyl-4,5-tetramethylene-6-pyridazinone-HBr (V), m. 220-2°; the free base m. 179-80° (acetone). V was obtained from III in 69% yield. The following 1-(1-methyl-4-piperidyl)-6-pyridazinone derivs. were prepared in this way: 3-methyl-, m. 87-9° (after sublimation at 80° in high vacuo); 3-phenyl-, m. 122-5° (acetone) [HBr salt decomposing 310° (H₂O)]; 3,5-dimethyl (HCl salt), m. 252-4° (EtOH); 3-methyl-5-phenyl-, m. 140° (Et₂O-petr. ether); 3-phenyl-5-methyl (HCl salt hydrate), m. 284° (EtOH); 3-phenyl-5-cyano-, m. 223° (EtOH) [HCl salt decomposing 280° (H₂O)]; 3,5-diphenyl-, m. 243° (acetone); 3-phenyl-4,5-diethyl (HCl salt hemihydrate), m. 268-70° (EtOH)]. The monohydrazone from biacetyl and N-methyl-4-piperidylhydrazine, Et cyanoacetate, AcOH, and NH₄OAc were refluxed 15 hrs. in benzene while water was removed continuously. The benzene was distilled and the residue taken up in CHCl₃. The solution was cooled and shaken with 20% KOH, 18% HCl, and 2N HCl, resp., made alkaline with 18% KOH and again extracted with CHCl₃. After removing the CHCl₃, the residue was taken up in Et₂O, petr. ether added, and the solution filtered through an

L4 ANSWER 47 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1957:71500 CAPLUS
 DOCUMENT NUMBER: 51:71500
 ORIGINAL REFERENCE NO.: 51:129231,12924a-e
 TITLE: The relation between chemical constitution and sweet taste. Derivatives of p-methoxybenzoylbenzoic acid
 AUTHOR(S): Runti, Carlo; Galimberti, Sergio
 CORPORATE SOURCE: Univ. Trieste, Italy
 SOURCE: Annali di Chimica (Rome, Italy) (1957), 47, 250-9
 CODEN: ANCRAT; ISSN: 0003-4592
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 GI For diagram(s), see printed CA Issue.
 AB The sweet taste of 2-(4-MeOC₆H₄CO)C₆H₄CO₂H (I) (Mohler, C.A. 44, 8558d); Orndorff and Kelley, C.A. 16, 2858) is not shared by its cyclic Me ester (II) or by phthalazones prepared from it. I reacts with derivs. of PhNHNH₂ to give 2-substituted 4-(4-methoxyphenyl) phthalazones, and with several carbohydrazides and semicarbazides to give the parent 4-(4-methoxyphenyl)phthalazone (III). I is esterified either by refluxing
 2.56 g. I in 50 cc. dry MeOH and 3 cc. concentrated H₂SO₄ 4 hrs., or by shaking 1.2 g. I in 20 cc. 2N NaOH with 10 cc. Me₂SO₄ 20 min., or with CH₂N₂; in each case the only product was II, m. 83-4° (from MeOH), assigned the structure o-C₆H₄.CO.O.C(OMe)C₆H₄OMe-p because it is unaffected by NH₃ or N₂H₄. No isomeric ester, m. 63° (Meyer and Turnau, C.A. 4, 441), could be found. I (2 g.) in the min. volume of EtOH shaken and refluxed a few min. with 1.9 g. p-BrC₆H₄NNH₂ and a little NaOAc in 20 cc. H₂O then chilled for several hrs. ppts. 2.6 g. 2-(p-bromophenyl)-4-(p-methoxyphenyl)-1-phthalazone, m. 179-80°. Similarly, 2 g. I refluxed 5 hrs. in 10 cc. 50% AcOH with 40 cc. H₂O and 1.6 g. p-O₂NC₆H₄NNH₂ gives 2.7 g. 2-(p-nitrophenyl)-4-(p-methoxyphenyl)-1-phthalazone, m. 220°; 2 g. I in EtOH with 5 cc. PhNHNH₂ in 5 cc. 50% AcOH gives 2.1 g. 2-phenyl-4-(p-methoxyphenyl)-1-phthalazone, m. 127-8°; 1 g. I fused at 150-60° with 0.7 g. 2,4-dinitrophenylhydrazine then extracted with boiling EtOH gives 2-(2,4-dinitrophenyl)-4-(p-methoxyphenyl)-1-phthalazone, m. 95-105° (softening 80°). I (2.56 g.) fused at 150-70° 10 min. with 1.5 g. isonicotinoyl hydrazide, cooled, and extracted with boiling EtOH gives 2-isonicotinoyl-4-(p-methoxyphenyl)-1-phthalazone, m. 238° (depressed by III), which is hydrolyzed to III by refluxing 15 min. with NaOH. Similar fusion of I with (CH₃CONHNH₂)₂, CO(NHNH₂)₂, PhNHCONHNH₂, PhNHCSNNH₂, or p-ETOC₆H₄NHCONHNH₂, gives only III. An alc. solution of
 1 g. I, 0.4 g. NH₂OH.HCl, and 0.3 g. Na₂CO₃ refluxed 90 min. then chilled several hrs. ppts. a cyclic oxime, m. 145°, probably o-C₆H₄.CO.O.N:CC₆H₄OMe-p
 IT 102467-13-0, 1(2H)-Phthalazinone, 2-isonicotinoyl-4-(p-methoxyphenyl)- (preparation of)
 RN 102467-13-0 CAPLUS
 CN 1(2H)-Phthalazinone, 2-isonicotinoyl-4-(p-methoxyphenyl)- (6CI) (CA INDEX NAME)

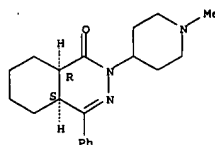
L4 ANSWER 46 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 Al₂O₃-column. The filtrate was treated with a 30% HBr-AcOH soln. to give 46.0 g. 1-(1-methyl-4-piperidyl)-3,4-dimethyl-5-cyano-6-pyridazinone-HBr from 65.6 g. of the monohydrazone, decomp. 238-40° (MeOH-Et₂O); free base m. 103-5° (Et₂O). The following compds. were prepd. in this way: 1-(1-methyl-4-piperidyl)-3,4-tetramethylene-5-cyano-6-pyridazinone-HCl, decomp. 247-50° (EtOH-Et₂O); 1-(1-isopropyl-4-piperidyl)-3,4-dimethyl-5-cyano-6-pyridazinone-HCl, decomp. 276-8° (EtOH-Et₂O), and 1-(1-methyl-2-dimethylaminoethyl)-3,4-dimethyl-5-cyano-6-pyridazinone-HBr, m. 209-11° (EtOH).
 IT 879006-23-2, 1(2H)-Phthalazinone, 4a,5,6,7,8,8a-hexahydro-2-(1-methyl-4-piperidyl)-4-phenyl-, trans- 879006-25-4, 1(2H)-Phthalazinone, 4a,5,6,7,8,8a-hexahydro-2-(1-methyl-4-piperidyl)-4-phenyl-, cis- (preparation of)
 RN 879006-23-2 CAPLUS
 CN 1(2H)-Phthalazinone, 4a,5,6,7,8,8a-hexahydro-2-(1-methyl-4-piperidyl)-4-phenyl-, trans- (6CI) (CA INDEX NAME)

Relative stereochemistry.



RN 879006-25-4 CAPLUS
 CN 1(2H)-Phthalazinone, 4a,5,6,7,8,8a-hexahydro-2-(1-methyl-4-piperidyl)-4-phenyl-, cis- (6CI) (CA INDEX NAME)

Relative stereochemistry.



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